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(FILE 'HOME' ENTERED AT 13:48:12 ON 16 SEP 2010)
    FILE 'REGISTRY' ENTERED AT 13:51:19 ON 16 SEP 2010
L1
               STRUCTURE UPLOADED
L2
            50 S L1
L3
           3126 S L1 SSS FUL
L4
               STRUCTURE UPLOADED
L5
          1192 S L4 SUB=L3 FUL
L6
          1934 S L3 NOT L5
          3421 S 2436.13/RID
L7
L8
          1182 S L5 AND L7
          1811 S L6 AND CAPLUS/LC
L9
           123 S L6 NOT L9
L10
L11
          1159 S L8 AND CAPLUS/LC
L12
            23 S L8 NOT L11
    FILE 'CAPLUS' ENTERED AT 13:57:38 ON 16 SEP 2010
L13
          440 S L6
            26 S L8
L14
L15
           ANALYZE L13 1- RN HIT :
                                     1811 TERMS
    FILE 'REGISTRY' ENTERED AT 13:58:27 ON 16 SEP 2010
           1 S 237430-03-4/RN
L16
           100 S 142273?/RN
L17
L18
             1 S 210101-16-9/RN
L19
             1 S 168626-94-6/RN
L20
             7 S L17 AND L6
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L21
          492 S 5300.5/RID
L22
           11 S 4469.23/RID
L23
          1495 S L6 NOT (L21 OR L22)
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L24
           247 S L23
L25
           ANALYZE L24 1- RN HIT: 1412 TERMS
     FILE 'REGISTRY' ENTERED AT 14:09:41 ON 16 SEP 2010
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L26
     FILE 'CAPLUS' ENTERED AT 14:09:48 ON 16 SEP 2010
           120 S L26
L27
            96 S L27 NOT (2010/SO OR 2009/SO OR 2008/SO OR 2007/SO OR 2006/SO
L28
=> d 11
L1 HAS NO ANSWERS
L1
               STR
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10/565,702



G1 O,S,N

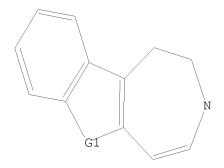
G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> d 14

L4 HAS NO ANSWERS

L4 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

L28 ANSWER 1 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:563318 CAPLUS

DOCUMENT NUMBER: 152:548103

TITLE: Preparation of dihydrochromenopyrazolecarboxamide

derivatives and analogs for use as glutamate receptor

INVENTOR(S): Bertinato, Peter; Fichman, Merav; Ghosh, Shomir; Lin,

Jian; Segal, Dalia; Zhang, Zhaoda

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 132pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KIND DATE			APPL	ICAT	ION I	DATE						
WO	2010049366				A1 20100506				WO 2	 009-:	 EP64	20091023					
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CL,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,
		ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
		KE,	KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,
		MD,	ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PE,
							RS,	•	•	•							•
							TT,										•
	RW:			•			CZ,	•	•	•							
		•	•	•	•	•	LV,	•	•	•	•	•	•	•	•	•	•
		•	•	•	•	•	CF,	•	•	•	•	•	•	•	•	•	•
		•	•	•	•	•	GM,	•	•	•	•	•		•	•	•	•
		•	•		•	•	•	•	•	•	•	•	<i></i>	~_,	~_,	,	00,
PRIORIT	ZM, ZW, AM, PRIORITY APPLN. INFO.:				114,	<i>D</i> 1,	110,	102,			,			P 20081027			
OTHER S	OTHER SOURCE(S):																
GT																	

GΙ

Title compds. I [A = O, CONH, NHCO, etc.; ring B = aryl, heteroaryl, or heterocyclyl; T = CO or CR7R8, wherein at least one is CR7R8; each V independently = N or CR2; X = NR4 or CR7R8; Y and Z independently = N or NR11; R2 = alkoxy, alkyl, aryl, etc.; R3 = cycloalkyl, CN, halo, heteroaryl, etc.; R4 = alkyl, alkylsulfonyl, alkanoyl, H, etc.; R7 and R8 independently = alkoxy, alkyl, halo, H, OH, or haloalkyl; or taken together are oxo, carbocycle, or heterocycle; R11 = alkyl, cycloalkyl, or haloalkyl; m = 1 to 3; n = 0 to 2], and their pharmaceutically acceptable salts, are prepared and disclosed as glutamate receptor modulators. Thus, e.g., II was prepared by coupling of 6-fluorochroman-4-one with di-Et oxalate followed by cyclization with methylhydrazine, hydrolysis, and amidation with 3-chloroaniline. Select I were evaluated in mGluR5 antagonist activity assays, e.g., II demonstrated an IC50 value of <1  $\mu M$ .

IT 1225376-75-9P 1225376-77-1P 1225376-80-6P 1225377-18-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydrochromenopyrazolecarboxamide derivs. and analogs for use as glutamate receptor modulators)

RN 1225376-75-9 CAPLUS

CN Pyrazolo[3,4-d]pyrido[3,2-b]azepine-3-carboxamide, 1,4,5,6-tetrahydro-1,6-dimethyl-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 1225376-77-1 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepine-3-carboxamide, 1,4,5,6-tetrahydro-1-methyl-N-(6-methyl-2-pyridinyl)-5-oxo- (CA INDEX NAME)

RN 1225376-80-6 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepine-3-carboxamide, 1,4,5,6-tetrahydro-1,6-dimethyl-N-(6-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 1225377-18-3 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepine-3-carboxamide, 9-fluoro-1,4,5,6-tetrahydro-1-methyl-N-(6-methyl-2-pyridinyl)-5-oxo- (CA INDEX NAME) 10/565,702

6

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:529065 CAPLUS

DOCUMENT NUMBER: 152:493519

TITLE: Methods and motor neuron survival-promoting compounds

for treatment of neurodegenerative disorders

INVENTOR(S): Rubin, Lee; Sinor, Amy; Makhortova, Nina Ruslanovna;

Yang, Yin Miranda; Bennett, Monica Hayhurst

PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA

SOURCE: PCT Int. Appl., 236pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAI	PATENT NO.					KIND DATE		-	APPL	ICAT	ION 1	DATE					
WO	2010048273			A2 20100429			WO 2009-US61468							0091			
WO	2010048273			A3 20100819													
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		CA,	CH,	CL,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,
		ES,	FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
		ΚE,	KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,
		MD,	ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PE,
		PG,	PH,	PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,
		SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
		SK,	SM,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
		SN,	TD,	ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,
		ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA	
PRIORITY	APP	LN.	INFO	.:						US 2	-800	1072	80P		P 2	0081	021
										US 2	009-	2233	66P		P 2	0090	706

- AB Methods, compds. and compns. for promoting motor neuron survival and the treatment of a neurodegenerative disorders such as Spinal Muscular Atrophy (SMA) are described herein. In one aspect, the invention provides for a method of promoting motor neuron survival, the method comprising: contacting a motor neuron with a compound that modulates a biol. pathway or a target described herein. The compds. that modulate the biol. pathway or target described herein can be a small mols., peptides, antibodies, antibody fragments, peptidomimetics (e.g., peptoids), amino acids, amino acid analogs, polynucleotides, polynucleotide analogs, nucleotides, nucleotide analogs, organic or inorg. compds. etc.
- IT 676596-65-9
  - RL: PAC (Pharmacological activity); PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (methods and motor neuron survival-promoting compds. for treatment of neurodegenerative disorders)
- RN 676596-65-9 CAPLUS
- CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

L28 ANSWER 3 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:507048 CAPLUS

DOCUMENT NUMBER: 152:496169

TITLE: Methods and compositions for stem cell self-renewal,

particularly hematopoietic stem cell (HSC), by

modulating Wnt pathway

INVENTOR(S): Perry, John M.; Li, Linheng; Grindley, Justin C.

PATENT ASSIGNEE(S): Stowers Institute for Medical Research, USA

SOURCE: U.S. Pat. Appl. Publ., 85pp., Cont.-in-part of Appl.

No. PCT/US2008/005230.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
	US 20100099186				A1 20100422			US 2009-589551											
	WO	2008	1339	04		A1 20081106			•	WO 2	008-1	JS52.	30		20080423				
		W:	ΑE,	ΑG,	AL,	ΑM,	AO,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,	
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
			ΤG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
			AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM								
PRIOR	RITY	APP	LN.	INFO	.:						US 2	007-	9260	65P		P 2	0070	423	
											US 2	008-	6669.	3P		P 2	0080	222	
										,	WO 2	008-	JS52.	30		A2 2	0800	423	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

The present invention relates to methods and kits for expanding a stem cell population using a modulator of the Wnt pathway. More particularly, the invention relates, inter alia, to methods, kits, and compns. for expanding a stem cell population, particularly a hematopoietic stem cell population, in a population of mononuclear cells. The kit comprises a GSK-3 $\beta$  (glycogen synthase kinase 3 $\beta$ ) inhibitor, and instructions for the use of the inhibitor. It was demonstrated, that loss of PTEN with constitutively active  $\beta$ -catenin leads to HSC expansion with loss of early hematopoietic progenitors. It was also demonstrated, that ex vivo pharmacol. manipulation of the PTEN/Akt and Wnt/ $\beta$ -catenin signaling pathways cooperatively drive functional HSC expansion. Bone marrow cells harvested from C57BI/6 (CD45.2) mice were cultured in a HSC expansion media that included CHIR99021, a reversible small mol. inhibitor of GSK-3 $\beta$ . After 14 days, cultured cells were transplanted into

IT 676596-65-9, 1-Azakenpaullone

and the longterm survival of the recipients.

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(as reversible GSK-3 $\beta$  inhibitor; methods and compns. for stem cell

lethally irradiated mice. Ex vivo expansion in the presence of CHIR99021 substantially increased the level of longterm, multilineage engraftment

self-renewal, particularly hematopoietic stem cells (HSCs), by modulating Wnt pathway with GSK-3 $\beta$  inhibitors)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

L28 ANSWER 4 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2009:1165454 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 151:396147

TITLE: Benzazepine compound conivaptan derivatives,

compositions, and therapeutic use INVENTOR(S): Liu, Julie F.; Persichetti, Rose A. PATENT ASSIGNEE(S): Concert Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPL	ICAT							
	WO 2009117144 A1 WO 2009117144 A9					2009 2009		,	WO 2			20090320					
,, 0	W:	AE, CA, FI, KG, ME, PL, TM,	AG, CH, GB, KM, MG, PT, TN,	AL, CN, GD, KN, MK, RO, TR,	AM, CO, GE, KP, MN, RS, TT,	AO, CR, GH, KR, MW, RU, TZ,	AT, CU, GM, KZ, MX, SC, UA,	AU, CZ, GT, LA, MY, SD, UG,	DE, HN, LC, MZ, SE, US,	DK, HR, LK, NA, SG, UZ,	DM, HU, LR, NG, SK, VC,	DO, ID, LS, NI, SL, VN,	DZ, IL, LT, NO, SM, ZA,	EC, IN, LU, NZ, ST, ZM,	EE, IS, LY, OM, SV, ZW	EG, JP, MA, PG, SY,	ES, KE, MD, PH, TJ,
	RW: Y APP DURCE	IE, SK, TD, ZW, LN.	IS, TR, TG, AM, INFO	IT, BF, BW, AZ,	LT, BJ, GH, BY,	LU, CF, GM, KG,	CZ, LV, CG, KE, KZ,	MC, CI, LS, MD,	MK, CM, MW, RU,	MT, GA, MZ,	NL, GN, NA, TM,	NO, GQ, SD, AP,	PL, GW, SL, EA,	PT, ML, SZ, EP,	RO, MR, TZ, OA	SE, NE, UG,	SI, SN, ZM,

PRIO OTHE

GΙ

The invention discloses compds. that are benzazepines derivs. and AΒ pharmaceutically acceptable salts thereof. More specifically, the invention discloses benzazepines derivs. that are derivs. of conivaptan. Compds. of the invention include I (Z1a, Z1b, Z2a, Z2b = H, D; R1 = CD3, CH2D. CDH2, CD3, provided that when R1 is CH3 at least one Z is D). The invention also provides compns. comprising one or more compds. of the invention and a carrier, as well as the use of the compds. and compns. in methods for treating diseases and conditions that are beneficially treated by administering a dual antagonist of arginine vasopressin (AVP) V1A and V2 receptors, e.g. conivaptan.

Ι

## 10/565,702

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ΙT
                        1129433-64-2
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                        1187823-45-5
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                        1187823-48-8
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                                                                                                                                                                                                 1187823-53-5
                        1187823-51-3
                                                                                                             1187823-52-4
                        1187823-54-6
                        RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
                          (Biological study); USES (Uses)
                                         (Benzazepine compound conivaptan derivs., compns., and therapeutic use)
RN
                        1129433-64-2 CAPLUS
CN
                        [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3)imidazo[4,5-dihydro-2-(methyl-d3
                        d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)
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PAGE 1-A

PAGE 2-A

RN 1129433-66-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-4,5-d2-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl-4,5-d2)carbonyl]phenyl]- (CA INDEX NAME)

RN 1187823-44-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-4,5-d2-2-(methyl-d3)imidazo[4,5-d][1]benzazepin-6(1H)-yl-4,5-d2]carbonyl]phenyl]- (CA INDEX NAME)

RN 1187823-45-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-4-d-2-(methyl-d3)imidazo[4,5-d][1]benzazepin-6(1H)-yl-4-d]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1187823-46-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-5-d-2-(methyl-d3)imidazo[4,5-d][1]benzazepin-6(1H)-yl-5-d]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1187823-47-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-4-d-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl-4-d)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

1187823-48-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-5-d-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl-5-d)carbonyl]phenyl]- (CA INDEX NAME)

RN

PAGE 2-A

RN 1187823-49-9 CAPLUS

[1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-4-d-2-(methyl-d)imidazo[4,5-d][1]benzazepin-6(1H)-yl-4-d]carbonyl]phenyl]- (CA INDEX NAME)

CN

PAGE 2-A

RN 1187823-50-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-5-d-2-(methyl-d)imidazo[4,5-d][1]benzazepin-6(1H)-y1-5-d]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1187823-51-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(methyl-d)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1187823-52-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-4,5-d2-2-(methyl-d2)imidazo[4,5-d][1]benzazepin-6(1H)-yl-4,5-d2]carbonyl]phenyl]- (CA INDEX NAME)

RN 1187823-53-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-4-d-2-(methyl-d2)imidazo[4,5-d][1]benzazepin-6(1H)-yl-4-d]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1187823-54-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-5-d-2-(methyl-d2)imidazo[4,5-d][1]benzazepin-6(1H)-yl-5-d]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

L28 ANSWER 5 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1050008 CAPLUS

DOCUMENT NUMBER: 151:236777

TITLE: FXR agonists for treating vitamin D associated

diseases

INVENTOR(S):
Harnish, Douglas

PATENT ASSIGNEE(S): Wveth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 53pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090215748	A1	20090827	US 2008-318039		20081219
PRIORITY APPLN. INFO.:			US 2007-8307P	P	20071220
ACCIONNEND HITCHORY DOD	TTO DAMES		THE FOLIA DEADERS FOR		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

Provided are certain methods of treating at least one condition that can be treated by elevating the vitamin D receptor (VDR) activity level in a patient with at least one farnesoid X receptor (FXR) agonist. Also provided are certain methods of modulating levels of Cytochrome P 450, family 27, subfamily B, polypeptide 1 (CYP27B1) and 1α,25-dihydroxyvitamin D3 in cells, certain methods of modulating VDR activity levels, certain methods of modulating levels of an extracellular matrix protein, renin angiotensin system (RAS) pathway, parathyroid hormone, serum creatinine, serum albumin, proteinuria, lipid metabolism, renal lipid deposition, mesangial expansion, glomerulosclerosis, kidney inflammation, blood pressure, bone resorption, and bone formation, certain methods of identifying FXR modulators, certain methods of diagnosing the risk that a patient will develop at least one condition that can be treated by elevating the VDR activity level, and certain methods of characterizing the levels of FXR activity in mammals.

IT 629664-83-1 837429-85-3 837429-86-4

837429-88-6 837429-90-0,

 $6-(3,4-\text{Difluoro-benzoyl})-4,4-\text{dimethyl}-5,6-\text{dihydro-}4\text{H-thieno} \ [2,3-d] \ \text{azepine-}$ 

8-carboxylic acid ethyl ester 837429-91-1 837429-92-2 837429-93-3 847865-38-7 847865-39-8 847865-40-1 1088713-88-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(FXR agonists for treating vitamin D associated diseases)

RN 629664-83-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopentane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-85-3 CAPLUS

CN Imidazo[4,5-d]azepine-4-carboxylic acid, 6-(4-fluorobenzoyl)-3,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

RN 837429-86-4 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-88-6 CAPLUS

CN Azepino[4,5-b]indole-5-carboxylic acid, 3-(4-fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydro-, ethyl ester (CA INDEX NAME)

RN 837429-90-0 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-4,4-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-91-1 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-diethyl ester (CA INDEX NAME)

RN 837429-92-2 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 837429-93-3 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-1,4,4-trimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 847865-38-7 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclobutane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-39-8 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

10/565,702

RN 847865-40-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, 1-methylethyl ester (CA INDEX NAME)

RN 1088713-88-5 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-dimethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

## 10/565,702

L28 ANSWER 6 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:769550 CAPLUS

DOCUMENT NUMBER: 151:94051

TITLE: Farnesoid X receptor (FXR) agonists for the treatment

of nonalcoholic fatty liver and cholesterol gallstone

diseases

INVENTOR(S): Zhang, Songwen; Harnish, Douglas; Evans, Mark J.;

Wang, Juan

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 61pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163474	A1	20090625	US 2008-253010	20081016
RIORITY APPLN. INFO.:			US 2007-960925P P	20071019

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

The invention provides methods for treating nonalcoholic fatty liver disease with farnesoid X receptor (FXR) agonists. The invention also provides methods for modulating levels of keratinocyte-derived chemokine (KC), alanine aminotransferase (ALT), aspartate aminotransferase (AST), cytokeratin 18 (CK-18), matrix metalloproteinase-9 (MMP-9), matrix metalloproteinase-14 (MMP-14), tissue inhibitor of metalloproteinase 1 (TIMP-1), and Cytochrome P 450 2E1 (CYP2E1); methods for identifying FXR modulators; and methods for treating patients with existing cholesterol gallstone disease.

IT 629664-83-1 837429-85-3 837429-86-4 837429-89-7 837429-90-0 837429-91-1 837429-92-2 837429-93-3 847865-38-7 847865-39-8 847865-40-1 1088713-88-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(FXR agonist for treatment of nonalcoholic fatty liver and cholesterol gallstone disease)

RN 629664-83-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopentane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-85-3 CAPLUS

CN Imidazo[4,5-d]azepine-4-carboxylic acid,

6-(4-fluorobenzoyl)-3,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

RN 837429-86-4 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-89-7 CAPLUS

CN Azepino[4,5-b]indole-5-carboxylic acid, 3-(4-fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydro-1,1-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-90-0 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-4,4-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-91-1 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-diethyl ester (CA INDEX NAME)

RN 837429-92-2 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 837429-93-3 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-1,4,4-trimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 847865-38-7 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclobutane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-39-8 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-40-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, 1-methylethyl ester (CA INDEX NAME)

10/565,702

RN 1088713-88-5 CAPLUS
CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid,
6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-dimethyl
ester (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L28 ANSWER 7 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:647976 CAPLUS

DOCUMENT NUMBER: 151:1373

TITLE: 1,4,5,6-Tetrahydropyrrolo[2,3-d]azepines AND -imidazo[4,5-d]azepines as modulators of nuclear

receptor activity

INVENTOR(S): Mehlmann, John Francis; Lundquist, Joseph Theodore,

IV; Mahaney, Paige Erin; Crawley, Matthew Lantz; Kim,

Callain Younghee

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 26pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090137554	A1	20090528	US 2008-255216	20081021
PRIORITY APPLN. INFO.:			US 2007-999990P P	20071022
3 CCTCIN/FILE ILTCHOPIL FOR				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 151:1373

GΙ

Disclosed are chemical entities including compds. of Formula (I and pharmaceutically acceptable salts thereof, wherein X is chosen from CN, CF3, CF2H, S(0)nR8, and S(0)2N(R9)R10; n is 1, 2 or 3; Y is chosen from CR11 and N; Z is chosen from O and NH; R1 is chosen from optionally substituted alkyl, cycloalkyl, etc.; R2 is H or optionally substituted alkyl; R3 is chosen from -C(0)R12 and -C(0)N(R9)R10; R4, R5, R6 and R7 are independently chosen from H and optionally substituted alkyl; R8 is chosen from optionally substituted alkyl or cycloalkyl; R9 and R10 is chosen from H or optionally substituted aryl or heteroaryl, etc.; R11 is H or lower alkyl; R12 is H, optionally substituted aryl or heteroaryl, etc.); compns. comprising one or more such chemical entities; and methods of using one or more such chemical entities for modulating the activity of certain nuclear receptors (e.g., farnesoid X) or for the treatment or prevention of one or more symptoms of disease or disorder related to the activity of those receptors.

IT 1158716-04-1P 1158716-05-2P 1158716-06-3P 1158716-07-4P 1158716-08-5P 1158716-09-6P

1158716-10-9P 1158716-11-0P 1158716-12-1P

1158716-13-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tetrahydropyrroloazepines and -imidazoazepines as modulators of farnesoid X receptors for disease treatment)

RN 1158716-04-1 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-05-2 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-6-(cyclohexylcarbonyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-06-3 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-6-(3-fluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-07-4 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-6-(4-fluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-08-5 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-6-(4-cyanobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-09-6 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 6-(3-chlorobenzoyl)-2-cyano-1,4,5,6-tetrahydro-4,4-dimethyl-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-10-9 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-1,4,5,6-tetrahydro-4,4-dimethyl-6-(2-thienylcarbonyl)-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-11-0 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-1,4,5,6-tetrahydro-4,4-dimethyl-6-[3-(trifluoromethyl)benzoyl]-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-12-1 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-1,4,5,6-tetrahydro-4,4-dimethyl-6-[(tetrahydro-2H-pyran-4-yl)carbonyl]-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-13-2 CAPLUS

CN Spiro[4H-pyran-4,4'(1'H)-pyrrolo[2,3-d]azepine]-8'-carboxylic acid, 2'-cyano-6'-(3,4-difluorobenzoyl)-2,3,5,5',6,6'-hexahydro-, 1-methylethyl ester (CA INDEX NAME)

IT 1155659-03-2P 1158716-22-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(tetrahydropyrroloazepines and -imidazoazepines as modulators of farnesoid X receptors for disease treatment)

RN 1155659-03-2 CAPLUS

CN Pyrrolo[2,3-d]azepine-8-carboxylic acid, 2-cyano-1,4,5,6-tetrahydro-4,4-dimethyl-, 1-methylethyl ester (CA INDEX NAME)

RN 1158716-22-3 CAPLUS

CN Spiro[4H-pyran-4,4'(1'H)-pyrrolo[2,3-d]azepine]-8'-carboxylic acid,

2'-cyano-2,3,5,5',6,6'-hexahydro-, 1-methylethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L28 ANSWER 8 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:615712 CAPLUS

DOCUMENT NUMBER: 150:555909

TITLE: 1,4,5,6,7,8-Hexahydro-pyrrolo[2,3-d]azepines and

-imidazo[4,5-d]azepines as modulators of nuclear

receptor activity

INVENTOR(S): Mehlmann, John Francis; Lundquist, Joseph Theodore,

IV; Mahaney, Paige Erin; Crawley, Matthew Lantz; Kim,

Callain Younghee

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 25pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090131409	A1	20090521	US 2008-255232		20081021
PRIORITY APPLN. INFO.:			US 2007-11P	P	20071022

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 150:555909

GΙ

Disclosed are chemical entities including compds. of Formula (I and pharmaceutically acceptable salts thereof, wherein X is chosen from CN, CF3, CF2H, S(0)nR8, and S(0)2N(R9)R10; n is 1, 2 or 3; Y is chosen from CR11 and N; Z is chosen from O and NH; R1 is chosen from optionally substituted alkyl, cycloalkyl, etc.; R2 is H or optionally substituted alkyl; R3 is chosen from -C(0)R12 and -C(0)N(R9)R10; R4, R5, R6 and R7 are independently chosen from H and optionally substituted alkyl; R8 is chosen from optionally substituted alkyl or cycloalkyl; R9 and R10 is chosen from H or optionally substituted aryl or heteroaryl, etc.; R11 is H or lower alkyl; R12 is H, optionally substituted aryl or heteroaryl, etc.); compns. comprising one or more such chemical entities; and methods of using one or more such chemical entities for modulating the activity of certain nuclear receptors (e.g., farnesoid X) or for the treatment or prevention of one or more symptoms of disease or disorder related to the activity of those receptors.

IT 1155659-03-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L28 ANSWER 9 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:292039 CAPLUS

DOCUMENT NUMBER: 150:298942

TITLE: Deuterium-enriched conivaptan

INVENTOR(S): Czarnik, Anthony W. PATENT ASSIGNEE(S): Protia, LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 11pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090069295	A1	20090312	US 2008-196330	20080822
PRIORITY APPLN. INFO.:			US 2007-970983P P	20070909

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 150:298942

AB The present application describes deuterium-enriched conivaptan, pharmaceutically acceptable salt forms thereof, and methods of treating using the same.

 IT
 1129433-59-5
 1129433-60-8
 1129433-61-9

 1129433-62-0
 1129433-63-1
 1129433-64-2

1129433-65-3 1129433-66-4

RL: PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(deuterium-enriched conivaptan for treatment of hyponatremia)

RN 1129433-59-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

RN 1129433-60-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

# PAGE 1-A

PAGE 2-A

RN 1129433-61-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

PAGE 2-A

RN 1129433-62-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1129433-63-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1129433-64-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(methyl-d3)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1129433-65-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1129433-66-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-4,5-d2-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl-4,5-d2)carbonyl]phenyl]- (CA INDEX NAME)

L28 ANSWER 10 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2008:1457368 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 150:16134

TITLE: Farnesoid X receptor (FXR) agonists for reducing

lectin-like oxidized low-density lipoprotein receptor

1 (LOX-1) expression, and therapeutic use

INVENTOR(S): Harnish, Douglas; Zhang, Songwen PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 26pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	US 20080300235 PRITY APPLN. INFO.: GNMENT HISTORY FOR U	A1 S PATEN		US 2008-130322 US 2007-924822P IN LSUS DISPLAY FORM	_	20080530 20070601
AB	<del>-</del>			eating at least one of the lectin-like ox		
	lipoprotein recepto	r 1 (LC so prov	X-1) in a pa rided are met	atient with farnesoid	l X	receptor
ΙT	629664-83-1 837		•		1	
	acid ethyl ester		_	oimidazo(4,5-d)azepir	1e-4	-carboxylic
	-	oy1)-5,	6-dihydro-4F	H-thieno(2,3-d)azepir	ne-8	-carboxylic

3-(4-Fluorobenzoyl)1,2,3,6,7,8,9,10-octahydroazepino[4,5-b]indole-5carboxylic acid ethyl ester 837429-89-7,

3-(4-Fluorobenzoy1)-1,1-dimethyl-1,2,3,6,7,8,9,10-octahydroazepino[4,5b]indole-5-carboxylic acid ethyl ester 837429-90-0

837429-91-1, 6-(3,4-Difluorobenzoyl)-4,4-dimethyl-1,4,5,6-

tetrahydropyrrolo[2,3-d]azepine-2,8-dicarboxylic acid diethyl ester 837429-92-2 837429-93-3 847865-38-7

847865-39-8 847865-40-1 1088713-88-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(FXR agonists for reducing LOX-1 expression, and therapeutic use)

RN 629664-83-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopentane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-85-3 CAPLUS

CN Imidazo[4,5-d]azepine-4-carboxylic acid, 6-(4-fluorobenzoyl)-3,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

RN 837429-86-4 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-88-6 CAPLUS

CN Azepino[4,5-b]indole-5-carboxylic acid, 3-(4-fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydro-, ethyl ester (CA INDEX NAME)

RN 837429-89-7 CAPLUS

CN Azepino[4,5-b]indole-5-carboxylic acid, 3-(4-fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydro-1,1-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-90-0 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-4,4-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-91-1 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-diethyl ester (CA INDEX NAME)

RN 837429-92-2 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 837429-93-3 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-1,4,4-trimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 847865-38-7 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclobutane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-39-8 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-40-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, 1-methylethyl ester (CA INDEX NAME)

RN 1088713-88-5 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-dimethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L28 ANSWER 11 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2008:1455334 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 150:16058

FXR agonists for the treatment of malignancies TITLE:

INVENTOR(S): Hartman, Helen B.; Evans, Mark J. PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 25pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE		
					-			
	US 20080299118	A1	20081204	US 2008-130221		20080530		
PRIOF	RITY APPLN. INFO.:			US 2007-924823P	Р	20070601		
ASSIG	SNMENT HISTORY FOR US	S PATENI	AVAILABLE	IN LSUS DISPLAY FORMA	T			
AB	Provided are certain	n method	ls of treatin	ng malignancies with	far	rnesoid X		
	receptor agonists.	Also pr	rovided are d	certain methods of in	iduc	cing RECK		
	gene expression with	n farnes	soid X recept	or agonists and meth	ods	of reducing		
	at least one feature	e of a c	cell with far	nesoid X receptor ac	joni	ists.		
ΙT	629664-83-1 8374	429-85-3	3,					
	6-(4-Fluorobenzoyl)	-3,6,7,8	3-tetrahydro:	imidazo[4,5-D]azepine	∋-4-	-carboxylic		
		227420	) C 1					

ic acid ethyl ester 837429-86-4,

6-(3,4-Difluorobenzoyl)-5,6-dihydro-4H-thieno[2,3-D]azepine-8-carboxylic acid ethyl ester 837429-88-6,

3-(4-Fluorobenzoyl)1,2,3,6,7,8,9,10-octahydroazepino[4,5-b]indole-5carboxylic acid ethyl ester 837429-89-7,

3-(4-Fluorobenzoyl)-1,1-dimethyl-1,2,3,6,7,8,9,10-octahydroazepino[4,5-dimethyl-1,2,3,6,7,8,9,10-octahydroazepino[4,5-dimethyl-1,2,3,6,7,8,9,10-octahydroazepino[4,5-dimethyl-1,2,3,6,7,8,9,10-octahydroazepino[4,5-dimethyl-1,2,3,6,7,8,9,10-octahydroazepino[4,5-dimethyl-1,2,3,6,7,8,9,10-octahydroazepino[4,5-dimethyl-1,2,3,6,7,8,9]]b]indole-5-carboxylic acid ethyl ester 837429-90-0,

6-(3,4-Difluorobenzoyl)-4,4-dimethyl-5,6-dihydro-4H-thieno[2,3-d]azepine-8carboxylic acid ethyl ester 837429-91-1,

6-(3,4-Difluorobenzoyl)-4,4-dimethyl-1,4,5,6-tetrahydropyrrolo[2,3-

d]azepine-2,8-dicarboxylic acid diethyl ester 837429-92-2

837429-93-3 847865-38-7 847865-39-8

847865-40-1 1088713-88-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(farnesoid X receptor agonists for treatment of malignancies by inducing RECK gene expression)

RN 629664-83-1 CAPLUS

Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopentane]-5-carboxylic acid, CN 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-85-3 CAPLUS

CN Imidazo[4,5-d]azepine-4-carboxylic acid, 6-(4-fluorobenzoyl)-3,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

RN 837429-86-4 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-88-6 CAPLUS

CN Azepino[4,5-b]indole-5-carboxylic acid, 3-(4-fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydro-, ethyl ester (CA INDEX NAME)

RN 837429-89-7 CAPLUS

CN Azepino[4,5-b]indole-5-carboxylic acid, 3-(4-fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydro-1,1-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-90-0 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-4,4-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-91-1 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-diethyl ester (CA INDEX NAME)

RN 837429-92-2 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 837429-93-3 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-1,4,4-trimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 847865-38-7 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclobutane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-39-8 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-40-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, 1-methylethyl ester (CA INDEX NAME)

RN 1088713-88-5 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-dimethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L28 ANSWER 12 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1339565 CAPLUS

DOCUMENT NUMBER: 149:509677

TITLE: Methods and compositions for stem cell self-renewal,

particularly hematopoietic stem cell (HSC), by

modulating PTEN and Wnt pathways

INVENTOR(S): Perry, John M.; Li, Linheng; Grindley, Justin C. PATENT ASSIGNEE(S): Stowers Institute for Medical Research, USA

SOURCE: PCT Int. Appl., 110pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

Ρ.	PATENT NO.			KIND DATE			APPLICATION NO.						DATE 					
W	0 2	008	1339	) 4		A1	_	2008	1106							2	0080	423
	•	W:	ΑE,	ΑG,	AL,	ΑM,	AO,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			ΤG,	BW,	GH,	GM,	KE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
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		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	IT,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
			SK,	TR,	AL,	BA,	MK,	RS										
J	P 2	0105	52449	99		T		2010	0722		JP 2	010-	5062	43		2	0800	423
U	S 2	0100	00991	186		A1		2010	0422		US 2	009-	5895	51		2	0091	023
U	S 2	0100	01963	337		A1		2010	0805		US 2	010-	4510	38		2	0100	405
PRIORI											US 2	007-	9260	65P	-	P 2	0070	423
											US 2	008-	6669.	3P		P 2	0800	222
											WO 2	008-	JS52.	30	1	W 2	0800	423
											WU Z	008-	JS52.	30	_	N Z	0080	423

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention relates to methods for expanding a stem cell population without significant stem cell differentiation by modulating a PTEN phosphatase pathway and a Wnt pathway. More particularly, the invention relates, to methods and compns. for expanding a stem cell population, particularly a hematopoietic stem cell (HSC) population obtained from peripheral blood, cord blood, or bone marrow. The expanded HSC population comprises cells with a phenotype consisting of CD34-, CD34+/CD38-Thy1+/CD90+/Kit-/Lin-/CD133+/VEGFR2+, CD150+/CD48-/CD244-, CD150-/CD48-/CD244+, CD150-/CD48+/CD244+, and combinations thereof. In one embodiment the invention provides a kit for expanding HSC population for subsequent transplantation into a patient in need thereof. comprises a PTEN inhibitor, a GSK-3 $\beta$  (glycogen synthase kinase  $3\beta$ ) inhibitor, and instructions for the use of the inhibitors. It was demonstrated, that loss of PTEN with constitutively active  $\beta$ -catenin leads to HSC expansion with loss of early hematopoietic progenitors. It was also demonstrated, that ex vivo pharmacol.

manipulation of the PTEN/Akt and Wnt/ $\beta$ -catenin signaling pathways cooperatively drive functional HSC expansion.

IT 676596-65-9, 1-Azakenpaullone

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(reversible GSK-3 $\beta$  inhibitor; methods and compns. for stem cell self-renewal, particularly hematopoietic stem cell (HSC), by modulating PTEN and Wnt pathways)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2008:1218777 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 149:458368

TITLE: Photosensitive material composition for lithographic

printing plate precursors and method for image

formation on the same

INVENTOR(S): Ishiji, Yohei; Matsushita, Tetsunori PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 37pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008242241	A	20081009	JP 2007-85012	20070328
PRIORITY APPLN. INFO.:			JP 2007-85012	20070328
OTHER SOURCE(S):	MARPAT	149:458368		

GI

$$(R^{1})_{1}$$
 $(R^{7})_{m}$ 
 $(R^{8})_{n}$ 
 $(R^{6})_{m}$ 
 $(R^{2})_{m}$ 
 $(R^{2})_{m}$ 
 $(R^{3})_{m}$ 
 $(R^{5})_{1}$ 

Ι

The title composition contains hexaarylbiimidazole, a chemical sensitizer dye AB of

350-850 nm maximum absorption, and ethylenic unsatd. polymerizable compds., wherein the hexaarylbiimidazole has general structure I(R1-3,5-7 =mono-valent non-metallic group; R4,8 = di-valent non-metallic group; 1,m,n = integer 0-5). The composition shows good storageability and provides printing plate precursor showing high sensitivity short-wavelength semiconductor laser beams.

ΙT 1068163-76-7 1068163-78-9

> RL: TEM (Technical or engineered material use); USES (Uses) (hexaarylbiimidazole in photosensitive material composition for lithog. printing plate precursors)

RN 1068163-76-7 CAPLUS

1(8H),2'-Bidibenz[b,f]imidazo[4,5-d]azepine, CN 2,2'-bis(2-chlorophenyl)-2',8'-dihydro-8,8'-dimethyl- (CA INDEX NAME)

PAGE 2-A

RN 1068163-78-9 CAPLUS

CN 1(8H),2'-Bidibenz[b,f]imidazo[4,5-d]azepine, 2,2'-bis(2-chloro-4-methoxyphenyl)-2',8'-dihydro-8,8'-dimethyl- (CA INDEX NAME)

PAGE 2-A

L28 ANSWER 14 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:977734 CAPLUS

DOCUMENT NUMBER: 149:285597

TITLE: Inducing the differentiation of stem cells into

cardiovascular progenitor cells by modulation of the

Wnt signaling pathway

INVENTOR(S): Chien, Kenneth R.; Qyang, Yibing; Martin-Puig, Silvia

PATENT ASSIGNEE(S): The General Hospital Corporation, USA

SOURCE: PCT Int. Appl., 139pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008098184 WO 2008098184	– ––– A2 A3		WO 2008-US53449	20080208
W: AE, AC CA, CF FI, GF KG, KN ME, MC PL, PI	, AL, AM, AC, CN, CO, CR, GD, GE, GH, KN, KP, KR, MK, MN, MW, RO, RS, RU	O, AT, AU, A R, CU, CZ, I H, GM, GT, H R, KZ, LA, I W, MX, MY, N U, SC, SD, S	AZ, BA, BB, BG, BH, B DE, DK, DM, DO, DZ, E HN, HR, HU, ID, IL, I LC, LK, LR, LS, LT, L MZ, NA, NG, NI, NO, N SE, SG, SK, SL, SM, S UZ, VC, VN, ZA, ZM, Z	C, EE, EG, ES, N, IS, JP, KE, U, LY, MA, MD, Z, OM, PG, PH, V, SY, TJ, TM,
RW: AT, BE IE, IS TR, BE TG, BV	, BG, CH, CY , IT, LT, LU , BJ, CF, CG , GH, GM, KE	Y, CZ, DE, I U, LV, MC, M G, CI, CM, C E, LS, MW, M	DK, EE, ES, FI, FR, G MT, NL, NO, PL, PT, R GA, GN, GQ, GW, ML, M MZ, NA, SD, SL, SZ, T IJ, TM, AP, EA, EP, O	B, GR, HR, HU, O, SE, SI, SK, R, NE, SN, TD, Z, UG, ZM, ZW,
EP 2222837 R: AT, BE	A2 , BG, CH, CY , IT, LI, LT	20100901 Y, CZ, DE, I	JP 2009-549261 EP 2008-729415 DK, EE, ES, FI, FR, G MC, MT, NL, NO, PL, P	20080208 B, GR, HR, HU,

PRIORITY APPLN. INFO.: US 2007-900496P P 20070209 WO 2008-US53449 W 20080208 AB Methods of inducing stem cells to differentiate and enter the Islet 1+ (Isl1+) lineage that leads to the development of cardiovascular tissue is described. These cells that have entered the Isl1+ lineage can then be

described. These cells that have entered the Isl1+ lineage can then be induced to enter endothelial, smooth muscle, or cardiac lineages. The differentiation can be brought about by either activating or inhibiting Wnt-dependent signal transduction pathways. Cells are induced to enter the pathway by inhibiting Wnt-dependent signaling, and cells that have entered the pathway can be induced to expand by activating Wnt signaling. Another aspect of the present invention relates to use of cells of the isl1+ lineage in subjects for therapeutic and preventative treatment of cardiovascular diseases.

IT 676596-65-9D, 1-Azakenpaullone, analogs

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(for regulation of cell differentiation; inducing differentiation of stem cells into cardiovascular progenitor cells by modulation of Wnt signaling pathway)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA

# INDEX NAME)

L28 ANSWER 15 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:946469 CAPLUS

DOCUMENT NUMBER: 149:215928

TITLE: Drosophila models for diseases affecting learning and

memory

INVENTOR(S): McBride, Sean M.J.; Jongens, Thomas A.; Choi,

Catherine H.

PATENT ASSIGNEE(S): Yeshiva University, USA

SOURCE: U.S. Pat. Appl. Publ., 65 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE			APPLICATION NO.						DATE		
	20080187492 2005104836						20080807 20060526								 0071 0050			
	₩:	CN, GE, LC, NI,	CO, GH, LK, NO, SY,	CR, GM, LR, NZ,	CU, HR, LS, OM,	AT, CZ, HU, LT, PG,	AU, DE, ID, LU, PH, TR,	AZ, DK, IL, LV, PL,	BA, DM, IN, MA, PT,	BB, DZ, IS, MD, RO,	BG, EC, JP, MG, RU,	BR, EE, KE, MK, SC,	BW, EG, KG, MN, SD,	BY, ES, KM, MW, SE,	BZ, FI, KP, MX, SG,	CA, GB, KR, MZ, SK,	CH, GD, KZ, NA, SL,	
PRIORITY		AT, IS, CG, KE, KZ,	BE, IT, CI, LS, MD,	LT, CM, MW, RU,	LU, GA,	MC, GN, NA,	CZ, NL, GQ, SD,	PL, GW,	PT, ML, SZ,	RO, MR,	SE, NE, UG,	SI, SN, ZM,	SK, TD, ZW,	TR, TG, AM,	BF, BW, AZ,	BJ, GH,	CF, GM, KG,	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

Methods of evaluating a compound for the ability to reduce a mental defect in a metazoan are provided, where the mental defect is caused by Fragile X syndrome, a tauopathy, Huntington's disease, neurofibromatosis 1, or Parkinson's disease. The methods comprise determining whether the compound reduces a mental effect of the analogous disease in a Drosophila melanogaster. Also provided are methods of evaluating a compound for the ability to improve learning or memory in a mammal. The methods comprise determining whether the compound improves learning or memory in a Drosophila melanogaster that is deficient in a dFRM1. Addnl., methods of treatment of a mammal deficient in expression of an FMR1 gene are provided. The methods comprise treating the mammal with a compound in a pharmaceutically acceptable excipient, where the compound inhibits expression or activity of a group II or group I metabotropic glutamate receptor (mGluR), an inositol trisphosphate receptor (InsP3R), a glycogen synthase kinase-3 $\beta$  (GSK-3 $\beta$ ), or a phosphodiesterase-4 (PDE-4) in the mammal.

IT 676596-65-9, 1-Azakenpaullone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Drosophila models for screening drugs for diseases affecting learning and memory)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

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L28 ANSWER 16 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN ACCESSION NUMBER: 2008:946238 CAPLUS DOCUMENT NUMBER: 149:231486 TITLE: Modulation of neurogenesis with biguanides and GSK3-\beta agents INVENTOR(S): Barlow, Carrolee; Carter, Todd; Morse, Andrew;
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Treuner, Kai; Lorrain, Kym I.

PATENT ASSIGNEE(S): Braincells, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 43pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT	NO.			KIND DATE			i			ION I							
	WO 2008	0978	61		A1 20080807 A2 20080814 A3 20090827			1	US 2	008-	24923	3						
	W:	AE, CA, FI, KG,	AG, CH, GB, KM,	AL, CN, GD, KN,	AM, CO, GE, KP,	AO, CR, GH, KR,	AT, CU, GM, KZ, MX,	AU, CZ, GT, LA,	AZ, DE, HN, LC,	DK, HR, LK,	DM, HU, LR,	DO, ID, LS,	DZ, IL, LT,	EC, IN, LU,	EE, IS, LY,	EG, JP, MA,	ES, KE, MD,	
	R₩:	TN, AT, IE, TR,	TR, BE, IS, BF,	TT, BG, IT, BJ,	TZ, CH, LT, CF,	UA, CY, LU, CG,	SC, UG, CZ, LV, CI, LS,	US, DE, MC, CM,	UZ, DK, MT, GA,	VC, EE, NL, GN,	VN, ES, NO, GQ,	ZA, FI, PL, GW,	ZM, FR, PT, ML,	ZW GB, RO, MR,	GR, SE, NE,	HR, SI, SN,	HU, SK, TD,	
	RITY APP GNMENT H The ins conditi	AM, LN. ISTO tant	AZ, INFO RY F dis	BY, .: OR U	KG, S PA	KZ, TENT desc	MD, AVA	RU, ILAB s met	TJ, LE II	TM, US 2 N LSI s fo:	AP, 007- US D r tr	EA, 88803 ISPLA eatin	EP, 30P AY Fo	OA ORMA isea	P 2	00702 and	202	or
IT	increas based of $GSK3-\beta$ cells. 676596-	n use agent	e of ts,	one to st	or i	more late	big or	uani	des .	in c	idmc	natio	on w	ith	one o	or mo		
	RL: PAC (Biolog (mod	(Pho ical ulat	arma stud	colo dy); of ne	gica USE	l ac S (U	tivi ses)	_			_					s)		
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OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L28 ANSWER 17 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:825260 CAPLUS

DOCUMENT NUMBER: 149:112667

TITLE: Aminoalkyl methacrylate copolymer E for maintaining

solubility of poorly water-soluble drug

INVENTOR(S): Yoshida, Takatsune; Yoshihara, Keiichi; Umejima,

Hiroyuki; Kurimoto, Ippei Astellas Pharma Inc., Japan

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japa SOURCE: PCT Int. Appl., 40pp.

SOURCE: PCT Int. Appl. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.	PATENT NO.					KIND DATE			APPLICATION NO.						DATE 			
M	2008 C	0818	 29		A1 20080710			,	WO 2	007-	JP74	998		20071226				
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,	
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	
		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	
		GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM										
C	A 2673	959			A1		2008	0710	1	CA 2	007-	2673	959		2	0071	226	
U	S 2008	0221	047		A1		2008	0911		US 2	007-	3473			2	0071	226	
E:	P 2127	677			A1		2009	1202		EP 2	007-	8602	27		2	0071	226	
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
PRIORI'	TY APF	LN.	INFO	.:						US 2	006-	8771	65P		P 2	0061	227	
									,	WO 2	007-	JP74	998	1	W 2	0071	226	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Disclosed is a pharmaceutical composition containing an aminoalkyl methacrylate copolymer E uniformly blended with an acidic substance and a poorly water-soluble drug. This pharmaceutical composition enables to maintain solubility of

the poorly water-soluble drug for at least 30 min. For example, Eudragit E, diluted HCl, Tween 80, and distilled water were blended and spray-dried. The above product, tacrolimus, and sucrose were ball milled to obtain a solid dispersion.

IT 1034748-23-6D, derivs.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aminoalkyl methacrylate copolymer for maintaining solubility of poorly water-soluble drug)

RN 1034748-23-6 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro- (CA INDEX NAME)

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 18 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:493006 CAPLUS

DOCUMENT NUMBER: 148:472014

TITLE: Thienodibenzoazulene compounds as tumor necrosis

factor inhibitors and their preparation,

pharmaceutical compositions and use in the treatment

of inflammation

INVENTOR(S):
Mercep, Mladen; Mesic, Milan; Pesic, Dijana;

Zupanovic, Zeljko; Hrvacic, Boska

PATENT ASSIGNEE(S): Pliva Farmaceutska Industrija, Dionicko Drustvo,

Croatia

SOURCE: U.S. Pat. Appl. Publ., 23pp., Cont.-in-part of Appl.

No. PCT/HR2001/00027.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

P.	PATENT NO.						KIND DA		DATE .		APPLICATION NO.					DATE			
U	 S	2003	0153	750		A1 20030814				 US 2	002-	2982		20021118					
U	S	6897	211			В2		2005	0524										
H	R	2000	0003	10		A2		2002	0228		HR 2	000-	310		20000517				
M	O	2001	08789	90		A1		2001	1122		WO 2	001-	HR27			2	0010	516	
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	
			HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
			VN,	YU,	ZA,	ZW													
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
U	S	2005	0171	091		A1		2005	0804		US 2	005-	9074	3		2	0050	325	
PRIORI	PRIORITY APPLN. INFO.:									HR 2	000-	310			A 2	0000	517		
								WO 2001-HR27					A2 20010516						
										US 2	002-	2982	17		A1 2	0021	118		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 148:472014; MARPAT 148:472014 GI

AB The invention relates to the dibenzoazulene compds. of formula I as well as to their pharmaceutical prepns. for the inhibition of tumor necrosis factor alpha (TNF- $\alpha$ ) and interleukine 1 (IL-1) in mammal at all diseases and conditions where these mediators are excessively secreted. The compds. of the invention also demonstrate an analgetic action and can be used to relieve pain. Compds. of formula I wherein X is CH2, O, SOO-2 and NH and derivs.; R1-R9 are independently H, halo, C1-7 alkyl, alkenyl, (hetero)aryl, OH, C1-7 alkoxy, etc.; R10 is C2-15 alkyl, C2-15 alkenyl, C2-15 alkynyl, (hetero)aryl, C1-15 haloalkyl, etc.; and their pharmaceutically acceptable salts and solvates thereof, are claimed.

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Example compound II $\bullet$ HCl was prepared by O-alkylation of 3-(8-oxa-1-thiadibenzo[e,h]azulene)methanol with 3-dimethylaminopropyl chloride. All the invention compds. were evaluated for their TNF- $\alpha$  inhibitory activity (some data given).

IT 1019856-26-8P 1019856-27-9P 1019856-28-0P 1019856-29-1P 1019856-40-6P 1019856-41-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thienodibenzoazulene compds. as TNF inhibitors useful in the treatment of inflammation)

RN 1019856-26-8 CAPLUS

CN Methanone, [2-[[3-(dimethylamino)propoxy]methyl]-8H-dibenzo[b,f]thieno[3,2-d]azepin-8-yl]phenyl- (CA INDEX NAME)

RN 1019856-27-9 CAPLUS

CN Methanone, [2-[[2-(dimethylamino)ethoxy]methyl]-8H-dibenzo[b,f]thieno[2,3-d]azepin-8-yl]phenyl- (CA INDEX NAME)

RN 1019856-28-0 CAPLUS

CN 1-Propanamine, 3-(8H-dibenzo[b,f]thieno[2,3-d]azepin-2-ylmethoxy)-N,N-dimethyl- (CA INDEX NAME)

$$Me_2N-(CH_2)_3-O-CH_2$$

RN 1019856-29-1 CAPLUS

CN Ethanamine, 2-(8H-dibenzo[b,f]thieno[2,3-d]azepin-2-ylmethoxy)-N,N-dimethyl- (CA INDEX NAME)

$$\text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{O}-\text{CH}_2$$

RN 1019856-40-6 CAPLUS

CN 1-Propanamine, N,N-dimethyl-3-[[8-(phenylmethyl)-8H-dibenzo[b,f]thieno[2,3-d]azepin-2-yl]methoxy]- (CA INDEX NAME)

$$Me_2N-(CH_2)_3-O-CH_2$$

RN 1019856-41-7 CAPLUS

CN Ethanamine, N, N-dimethyl-2-[[8-(phenylmethyl)-8H-dibenzo[b,f]thieno[3,2-d]azepin-2-yl]methoxy]- (CA INDEX NAME)

IT 1019856-55-3P 1019856-61-1P 1019856-62-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of thienodibenzoazulene compds. as TNF inhibitors
 useful in the treatment of inflammation)
RN 1019856-55-3 CAPLUS

CN 8H-Dibenzo[b,f]thieno[3,2-d]azepine-2-methanol, 8-(phenylmethyl)- (CA INDEX NAME)

RN 1019856-61-1 CAPLUS
CN Methanone, [2-(hydroxymethyl)-8H-dibenzo[b,f]thieno[2,3-d]azepin-8-yl]phenyl- (CA INDEX NAME)

RN 1019856-62-2 CAPLUS

CN 8H-Dibenzo[b,f]thieno[3,2-d]azepine-2-methanol (CA INDEX NAME)

IT 1019856-84-8 1019856-89-3 1019856-90-6

RL: RCT (Reactant); RACT (Reactant or reagent) (starting material; preparation of thienodibenzoazulene compds. as TNF

(starting material; preparation of thienodibenzoazulene compos. as TNF inhibitors useful in the treatment of inflammation)

RN 1019856-84-8 CAPLUS

CN 8H-Dibenzo[b,f]thieno[3,2-d]azepine-2-carboxylic acid, 8-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

RN 1019856-89-3 CAPLUS

CN 8H-Dibenzo[b,f]thieno[2,3-d]azepine-2-carboxylic acid, 8-benzoyl-, ethyl ester (CA INDEX NAME)

RN 1019856-90-6 CAPLUS
CN 8H-Dibenzo[b,f]thieno[2,3-d]azepine-2-carboxylic acid, ethyl ester (CA INDEX NAME)

L28 ANSWER 19 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:381058 CAPLUS

DOCUMENT NUMBER: 148:394352

TITLE: HMG CoA reductase inhibitor combination for modulation

of neurogenesis

INVENTOR(S): Barlow, Carrolee; Carter, Todd A.; Morse, Andrew;

Treuner, Kai; Lorrain, Kym I.; Redwine, Jeff;

Hoffmaster, Christine Braincells, Inc., USA

PATENT ASSIGNEE(S): Braincells, Inc., USA SOURCE: PCT Int. Appl., 141pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	ATENT	NO.			KIN	D	DATE		-	APPL	ICAT		DATE				
							A2 20080327			WO 2	007-		20070920				
M(	2008		-		АЗ		2008	_									
	W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,
		GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	AP,	EA,	EP,	OA					
ΙA	J 2007	2997.	26		A1		2008	0327		AU 2	007-	2997.	20070920				
CZ	A 2664	421			A1		2008	0327	1	CA 2	007-	20070920					
U:	S 2008	0103	105		A1		2008	0501		US 2	007-	8587	90		2	0070	920
El	2076	288			Α2		20090708			EP 2	007-	8429	12		2	0070	920
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
		AL,	BA,	HR,	MK,	RS	•	,	•	•	·	·	·	·	•	•	
PRIORI	TY APP		US 2	006-	8267	10P		P 2	0060	922							

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The instant disclosure describes methods of treating diseases and conditions of the central and peripheral nervous system including by stimulating or increasing neurogenesis, neuroproliferation, and/or neurodifferentiation. The disclosure includes compns. and methods based on use of an HMGCR modulating agent, optionally in combination with one or more other neurogenic agents, to stimulate or increase a neurogenic response and/or to treat disease. Atorvastatin combined with folic acid synergistically enhanced differentiation of human neural stem cells in witro

WO 2007-US79079

IT 676596-65-9, 1-Azakenpaullone 1015242-98-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitor combination for modulation of neurogenesis)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

RN 1015242-98-4 CAPLUS

CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)- $\beta$ ,  $\delta$ -dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, ( $\beta$ R,  $\delta$ R)-, mixt. with 9-bromo-7,12-dihydropyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one (CA INDEX NAME)

CM 1

CRN 676596-65-9 CMF C15 H10 Br N3 O

CM 2

CRN 134523-00-5 CMF C33 H35 F N2 O5

Absolute stereochemistry.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

INVENTOR(S):

L28 ANSWER 20 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2008:285086 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 148:347284

TITLE: Prediction of an agent's or agents' activity across

> different cells and tissue types Theodorescu, Dan; Lee, Jae Kyun

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 124pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE					APPL	ICAT		DATE				
							20080306 20081009			WO 2	007-	20070828					
	W:																
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AP,	EA,	EP,	OA					
US	2008	0118	576 <sup>°</sup>	•	A1	•	2008	0522	•	US 2	007-	8463	40		2	0070	828
EP	2062	181			A2		2009	0527		EP 2	007-		2	0070	828		
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
		•	•	•	•	•	,	- •	,	,	,	,	- *	,	,	,	,
RIT	WO 2008027912 A2 20080306 WO 2007-US77022 20070828		828														

PRIO

US 2006-840834P P 20061122 WO 2007-US77022 W 20070828

The present invention relates to a novel algorithm that uses mol. profile AR signatures to extrapolate the physiol. processes of one type of cell set (e.g., cell line, tissue, normal or diseased) to predict the activity of an agent or agents against another type of cell set that has never been exposed to the agent in question (drug efficacy prediction). The novel algorithm also allows one to predict the therapeutic response of a patient to a therapeutic regimen even though the patient (or patients) may have never been exposed to that agent before, thereby allowing for selecting a therapeutic agent or combination of agents that would best suit the patient (i.e., personalized medicine). The present invention also relates to methods of using the agents identified by the novel algorithm to treat a variety of diseases, including cancer.

153079-85-7, NSC 672230 ΙT

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prediction of an agent's or agents' activity across different cells and tissue types for treatment of diseases such as cancer)

RN 153079-85-7 CAPLUS

Indolo[3,2-d][1,2,4]triazolo[4,3-a][1]benzazepine, 9,14-dihydro-6-methyl-

10/565,702

(CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L28 ANSWER 21 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1177815 CAPLUS

DOCUMENT NUMBER: 147:464593

TITLE: Culture of non-embryonic multipotent progenitor cells

at high cell density in the presence of a GSK-3

inhibitor

INVENTOR(S): Mays, Robert W.

PATENT ASSIGNEE(S): Athersys, Inc., USA
SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Р	ATENT	NO.			KIN	D	DATE		APPLICATION NO.							DATE			
	0 200		A2 20071018				1	WO 2	006-		20060731								
W	0 200	71172	A3 2008011																
	W:	ΑE,	ΑG,	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,		
		KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	ME,	MG,	MK,		
		MN,	MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,		
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,		
		UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW										
	RW	: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,		
							MC,												
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	KZ,	MD,	RU,	IJ,	TM,	AP,	EA,	EP,	OA	·	•	•	,	•	•		
U	US 20080194024						2008								20080125				
PRIORI	TY AP	PLN.	INFO	.:					1	US 2	005-	7038.	23P		P 2	0050	729		
									1	wo 2	006-1	JS29	547	1	w 2	0060	731		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 147:464593

AB The present invention is directed to the culture of mammalian non-embryonic stem cells (i.e., multipotent adult progenitor cells), that can differentiate into cell types of more than one embryonic lineage, at high densities in culture under conditions that maintain differentiation capacity during expansion; more particularly, culturing non-embryonic stem cells at high densities in the presence of a glycogen synthase kinase 3 inhibitor, such as 6-bromoindirubin-3'-oxime (BIO).

IT 676596-65-9, 1-Azakenpaullone

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(glycogen synthase kinase 3 inhibitor; culture of non-embryonic multipotent progenitor cells at high cell d. in presence of glycogen synthase kinase 3 inhibitor)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

L28 ANSWER 22 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:383636 CAPLUS

DOCUMENT NUMBER: 146:401967

TITLE: Preparation of tetracyclic inhibitors of Janus kinases

INVENTOR(S): Arvanitis, Argyrios G.; Rodgers, James D.; Combs, Andrew P.; Sparks, Richard B.; Robinson, Darius J.;

Fridman, Jordan S.; Vaddi, Krishna

PATENT ASSIGNEE(S): Incyte Corporation, USA SOURCE: PCT Int. Appl., 148pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	FENT 1	NO.			KIND DATE					APPL	ICAT		DATE						
WO	2007	A1	_	2007	0405		WO 2	006-		20060921									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,		
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,		
		MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,		
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW									
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,		
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
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										WO 2						0060			
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMATOTHER SOURCE(S): CASREACT 146:401967; MARPAT 146:401967

GI

AΒ The invention is related to tetracyclic compds. I, II, and III [D1-D7 = D7]independently CR1, N; E = O, S, SO, SO2, NH and derivs.; G = N, CH and absent, O, S, NH and derivs., SO2, NHCONH and derivs., alkyl, etc.; W2 = absent, (un) substituted alk(en/yn)yl, (hetero)aryl, etc.; W3 = absent, :N, :NO, alkoxy, CONH and derivs., SONH and derivs., (un) substituted alk(en/yn)yl, etc.; W4 = H, CN, NH2 and derivs., (un)substituted cyclo/alkyl, heterocycloalkyl, etc.; provided that when D7 = N, E = O, S; and G = N, then W is other than H] and their pharmaceutically acceptable salts or prodrugs, that modulate, especially inhibit, the activity of Janus kinases. Thus, IV was prepared by a general procedure. Selected tetracyclic compds. I-III showed an IC50 of  $10\mu M$  or less for the inhibition of JAK1 and/or JAK2, and/or JAK3 in an in vitro assay. Thus, I-III are useful in the treatment of diseases related to activity of Janus kinases including, for example, immune-related diseases, skin disorders, myeloid proliferative disorders, cancer, and other diseases.

IT 933762-71-1P 933767-61-4P

933765-14-1P

933766-77-9P

933768-22-0P

933767-64-7P

933767-67-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of tetracyclic inhibitors of Janus kinases) 933762-71-1 CAPLUS

CN Cyclohexaneacetonitrile, 4-(3,8-dihydro-3-hydroxyimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN

RN 933765-14-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[3-(difluoromethyl)-5-methyl-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-77-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(ethylthio)phenyl]-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-76-8 CMF C21 H15 C12 N5 S

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-61-4 CAPLUS

CN Phenol, 3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-64-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,6-dichloro-4-methoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CRN 933767-63-6 CMF C20 H13 C12 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-67-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(1-methylethoxy)phenyl]-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-66-9 CMF C22 H17 C12 N5 O

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-22-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-dichloro-4-pyridinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933762-77-7 CMF C18 H10 C12 N6

CRN 76-05-1 CMF C2 H F3 O2

933762-32-4P 933762-33-5P TТ 933762-31-3P 933762-36-8P 933762-34-6P 933762-35-7P 933762-37-9P 933762-38-0P 933762-39-1P 933762-43-7P 933762-41-5P 933762-42-6P 933762-44-8P 933762-45-9P 933762-46-0P 933762-47-1P 933762-48-2P 933762-49-3P 933762-50-6P 933762-51-7P 933762-52-8P 933762-53-9P 933762-54-0P 933762-55-1P 933762-56-2P 933762-57-3P 933762-58-4P 933762-59-5P 933762-60-8P 933762-61-9P 933762-62-0P 933762-63-1P 933762-64-2P 933762-65-3P 933762-66-4P 933762-67-5P 933762-68-6P 933762-69-7P 933762-70-0P 933762-72-2P 933762-73-3P 933762-74-4P 933762-75-5P 933762-76-6P 933762-77-7P 933762-80-2P 933762-78-8P 933762-79-9P 933762-81-3P 933762-82-4P 933762-83-5P 933762-84-6P 933762-86-8P 933762-85-7P 933762-87-9P 933762-88-0P 933762-89-1P 933762-90-4P 933762-91-5P 933762-92-6P 933762-93-7P 933762-94-8P 933762-95-9P 933762-96-0P 933762-97-1P 933762-98-2P 933762-99-3P 933763-00-9P 933763-01-0P 933763-02-1P 933763-03-2P 933763-04-3P 933763-05-4P 933763-06-5P 933763-07-6P 933763-08-7P 933763-09-8P 933763-10-1P 933763-11-2P 933763-12-3P 933763-13-4P 933763-14-5P 933763-15-6P 933763-16-7P 933763-17-8P 933763-18-9P 933763-19-0P 933763-20-3P 933763-21-4P 933763-22-5P 933763-25-8P 933763-23-6P 933763-24-7P 933763-27-0P 933763-26-9P 933763-29-2P 933763-30-5P 933763-32-7P 933763-33-8P 933763-35-0P 933763-36-1P 933763-38-3P 933763-39-4P 933763-41-8P 933763-42-9P 933763-44-1P 933763-45-2P 933763-47-4P 933763-48-5P 933763-50-9P 933763-51-0P 933763-53-2P 933763-54-3P 933763-56-5P 933763-57-6P 933763-58-7P 933763-59-8P 933763-60-1P 933763-61-2P 933763-62-3P 933763-63-4P 933763-64-5P 933763-65-6P 933763-66-7P 933763-67-8P 933763-68-9P 933763-69-0P 933763-70-3P 933763-71-4P 933763-72-5P 933763-73-6P 933763-74-7P

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933763-75-8P
                 933763-76-9P
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933763-78-1P
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933763-81-6P
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933763-87-2P
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933764-67-1P
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933764-70-6P
                 933764-71-7P
                                   933764-72-8P
933764-73-9P
                 933764-74-0P
                                   933764-75-1P
933764-76-2P
                 933764-77-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (drug candidate; preparation of tetracyclic inhibitors of Janus kinases)
933762-31-3 CAPLUS
Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
2-(1,1-dimethylethyl)-10-fluoro-3,8-dihydro- (CA INDEX NAME)
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RN 933762-32-4 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,

RN

CN

2-cyclopropyl-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933762-34-6 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
10-fluoro-3,8-dihydro-2-(2-methylpropyl)- (CA INDEX NAME)

RN 933762-35-7 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
2-cyclopentyl-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933762-36-8 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 10-fluoro-3,8-dihydro-2-(tetrahydro-3-furanyl)- (CA INDEX NAME)

RN 933762-37-9 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 2-(3-cyclohexen-1-yl)-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933762-38-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-, ethyl ester (CA INDEX NAME)

10/565,702

RN 933762-39-1 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
2-bicyclo[2.2.1]hept-5-en-2-yl-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933762-41-5 CAPLUS

CN Cyclopropanemethanol, 2-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 933762-40-4 CMF C18 H15 F N4 O

CRN 76-05-1 CMF C2 H F3 O2

RN 933762-43-7 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine-2-butanenitrile,  $\gamma, \gamma$ -diethyl-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933762-44-8 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 2-cyclopentyl-10,11-difluoro-3,8-dihydro- (CA INDEX NAME)

10/565,702

RN 933762-45-9 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 2-(1-ethylpentyl)-10,11-difluoro-3,8-dihydro- (CA INDEX NAME)

RN 933762-46-0 CAPLUS

CN Cyclohexanepropanenitrile, 1-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)- (CA INDEX NAME)

RN 933762-47-1 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine-2-butanenitrile, 10-fluoro-3,8-dihydro- $\gamma$ , $\gamma$ -dimethyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NC-CH}_2\text{-CH}_2\text{-C-Me} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{H} \end{array}$$

RN 933762-48-2 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
2-(1-ethylpropyl)-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933762-49-3 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
10-fluoro-3,8-dihydro-2-[2-(methylthio)ethyl]- (CA INDEX NAME)

RN 933762-50-6 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
10-fluoro-3,8-dihydro-2-[2-(methylsulfinyl)ethyl]- (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ \text{Me-} \text{S-} \text{CH}_2 - \text{CH}_2 \\ \hline \\ N \\ N \\ \text{H} \end{array}$$

RN 933762-51-7 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 10-fluoro-3,8-dihydro-2-[(phenylmethoxy)methyl]- (CA INDEX NAME)

RN 933762-52-8 CAPLUS

CN Cyclohexanemethanol, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-, cis- (CA INDEX NAME)

Relative stereochemistry.

RN 933762-53-9 CAPLUS

CN Cyclohexanemethanol, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-, trans- (CA INDEX NAME)

Relative stereochemistry.

RN

933762-54-0 CAPLUS Cyclohexanol, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-y1)-, cis- (CA INDEX NAME) CN

Relative stereochemistry.

RN 933762-55-1 CAPLUS

Cyclohexanol, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-CN b][1]benzazepin-2-yl)-, trans- (CA INDEX NAME)

Relative stereochemistry.

RN 933762-56-2 CAPLUS

CN Cyclohexaneacetonitrile, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-, trans- (CA INDEX NAME)

Relative stereochemistry.

RN 933762-57-3 CAPLUS

CN Cyclohexaneacetamide, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)- (CA INDEX NAME)

RN 933762-58-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 933762-59-5 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 10-fluoro-3,8-dihydro-2-(4-piperidinyl)- (CA INDEX NAME)

RN 933762-60-8 CAPLUS

CN 1-Piperidinepropanenitrile, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)- $\beta$ -oxo- (CA INDEX NAME)

RN 933762-61-9 CAPLUS

CN Ethanone, 1-[4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-1-piperidinyl]- (CA INDEX NAME)

RN 933762-62-0 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
10-fluoro-3,8-dihydro-2-[1-(methylsulfonyl)-4-piperidinyl]- (CA INDEX NAME)

RN 933762-63-1 CAPLUS
CN 1-Piperidineacetonitrile, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)- (CA INDEX NAME)

RN 933762-64-2 CAPLUS

CN 1-Piperidineacetic acid, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-, ethyl ester (CA INDEX NAME)

RN 933762-65-3 CAPLUS

CN 1-Piperidineethanol, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)- (CA INDEX NAME)

10/565,702

RN 933762-66-4 CAPLUS

CN 1-Piperidinepropanol, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)- (CA INDEX NAME)

RN 933762-67-5 CAPLUS

CN 1-Piperidinebutanenitrile, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)- (CA INDEX NAME)

RN 933762-68-6 CAPLUS CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine-2-butanenitrile,  $\gamma,\gamma$ -diethyl-1,8-dihydro- (CA INDEX NAME)

RN 933762-69-7 CAPLUS
CN Cyclohexanemethanol, 4-(3,8-dihydro-3-hydroxyimida

Cyclohexanemethanol, 4-(3,8-dihydro-3-hydroxyimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933762-70-0 CAPLUS

CN Cyclohexanemethanol, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933762-72-2 CAPLUS

CN Cyclohexaneacetonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933762-73-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine-2-propanenitrile, 1,8-dihydro- $\beta$ , $\beta$ -dimethyl- (CA INDEX NAME)

RN 933762-74-4 CAPLUS CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine-2-propanenitrile,  $\beta,\beta$ -diethyl-1,8-dihydro- (CA INDEX NAME)

RN 933762-75-5 CAPLUS

CN Cyclopentaneacetonitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933762-76-6 CAPLUS

CN Cyclopentanecarbonitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933762-77-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-dichloro-4-pyridinyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-78-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-dichloro-4-pyridinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:3)
(CA INDEX NAME)

CM 1

CRN 933762-77-7 CMF C18 H10 C12 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933762-79-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,6-dichlorophenyl)-1,8-dihydro-, hydrochloride (1:2) (CA INDEX NAME)

## ●2 HC1

RN 933762-80-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,6-dimethylphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-81-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dimethylphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA
INDEX NAME)

CM 1

CRN 933762-80-2 CMF C21 H17 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933762-82-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-fluoro-6-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-83-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',2'-f]azepine,
2-(2-fluoro-6-methoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933762-82-4 CMF C20 H14 F N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933762-84-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(6-chloro-2-fluoro-3-methylphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-85-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2-fluoro-6-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933762-86-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-chloro-6-fluoro-3-methylphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-87-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[3-chloro-2-fluoro-6-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933762-88-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-chloro-6-fluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-89-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2-chloro-5-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933762-90-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-difluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-91-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,5-dichlorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-92-6 CAPLUS CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(3,5-dibromo-4-pyridinyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-93-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-bromophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-95-9 CAPLUS CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2-chlorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-96-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-ethylphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-97-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,5-dimethylphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933762-98-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2-chloro-3-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933762-99-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,5-bis(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933763-00-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3-chloro-2,6-difluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-01-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 933763-03-2 CAPLUS CN Propanenitrile, 3-[[4-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-

f]azepin-2-yl)-3-methylphenyl]ethylamino]- (CA INDEX NAME)

RN 933763-06-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-bromo-2,3-dimethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-07-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[3-chloro-2-fluoro-5-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933763-08-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(3-chloro-4-pyridinyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-10-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2-fluoro-3-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933763-11-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[3,4-b:4',3'-f]azepine,
2-(3-fluoro-2-methylphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-12-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-fluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-13-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-bromo-2-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-14-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2-fluoro-5-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933763-15-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-fluoro-3-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-16-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2-fluoro-5-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-19-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-fluoro-2-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-20-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-bromo-2-fluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-21-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,5-dimethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-23-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-dimethyl-1H-pyrrol-2-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-25-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(4-methyl-1H-imidazol-5-yl)- (CA INDEX NAME)

RN 933763-26-9 CAPLUS
CN Phenol, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)3,5-dimethoxy- (CA INDEX NAME)

RN 933763-27-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1,1,2,2,2-pentafluoroethyl)- (CA INDEX NAME)

RN 933763-29-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-bromo-1,3-benzodioxol-4-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-30-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-bromo-1,3-benzodioxol-4-yl)-1,8-dihydro-, 2,2,2-trifluoroacetate

(1:2) (CA INDEX NAME)

CM 1

CRN 933763-29-2 CMF C20 H12 Br N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-32-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine-2-butanenitrile, 1,8-dihydro- $\gamma$ -methyl- $\gamma$ -phenyl- (CA INDEX NAME)

RN 933763-33-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine-2-butanenitrile,

1,8-dihydro- $\gamma$ -methyl- $\gamma$ -phenyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-32-7 CMF C24 H20 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-35-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2-fluoro-4-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933763-36-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
 2-[2-fluoro-4-(trifluoromethyl)phenyl]-1,8-dihydro-,
 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-35-0 CMF C20 H11 F4 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-38-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(cyclohexylmethyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-39-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(cyclohexylmethyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-38-3 CMF C20 H21 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-41-8 CAPLUS

CN Phenol, 3-bromo-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-6-methoxy- (CA INDEX NAME)

RN 933763-42-9 CAPLUS

CN Phenol, 3-bromo-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-6-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-41-8

CMF C20 H14 Br N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-45-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3-fluoro-4-pyridinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:3) (CA
INDEX NAME)

CM 1

CRN 933763-44-1 CMF C18 H11 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-47-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[1,1'-biphenyl]-2-yl-1,8-dihydro- (CA INDEX NAME)

RN 933763-48-5 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[1,1'-biphenyl]-2-yl-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-47-4 CMF C25 H17 N5

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 933763-50-9 CAPLUS

CN Benzoic acid, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, methyl ester (CA INDEX NAME)

RN 933763-51-0 CAPLUS

CN Benzoic acid, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, methyl ester 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-50-9 CMF C21 H15 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-53-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2-(ethylthio)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933763-54-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2-(ethylthio)phenyl]-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA
INDEX NAME)

СМ 1

CRN 933763-53-2 CMF C21 H17 N5 S

2 CM

76-05-1 CRN CMF C2 H F3 O2

933763-56-5 CAPLUS RN CN

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1H-pyrrol-2-yl)- (CA INDEX NAME)

RN 933763-57-6 CAPLUS

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, CN 1,8-dihydro-2-(1H-pyrrol-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-56-5 CMF C17 H12 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-58-7 CAPLUS CN Imidazo[4,5-d]dipyr:

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[4-[(trifluoromethyl)thio]phenyl]- (CA INDEX NAME)

RN 933763-59-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[4-[(trifluoromethyl)thio]phenyl]-, 2,2,2-trifluoroacetate
(1:2) (CA INDEX NAME)

CM 1

CRN 933763-58-7 CMF C20 H12 F3 N5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-60-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(2-naphthalenyl)- (CA INDEX NAME)

RN 933763-61-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2-naphthalenyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-60-1 CMF C23 H15 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-62-3 CAPLUS

CN Carbamic acid, N-[1-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-2-phenylethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 933763-63-4 CAPLUS
CN Carbamic acid, N-[1-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-2-phenylethyl]-, 1,1-dimethylethyl ester 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-62-3 CMF C26 H26 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-65-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2-pyrrolidinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933763-64-5 CMF C17 H16 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-66-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-chloro-6-methoxy-3-quinolinyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-67-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2-chloro-6-methoxy-3-quinolinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933763-66-7 CMF C23 H15 Cl N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-68-9 CAPLUS

CN Ethanone, 1-[(2S)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

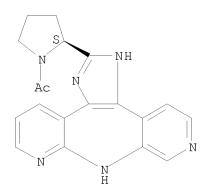
RN 933763-69-0 CAPLUS

CN Ethanone, 1-[(2S)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-68-9 CMF C19 H18 N6 O

Absolute stereochemistry.



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-70-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(2-thiazolyl)- (CA INDEX NAME)

RN 933763-71-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2-thiazolyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-70-3 CMF C16 H10 N6 S

CM 2

RN 933763-72-5 CAPLUS

CN Ethanone, 1-[2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-hydroxy-1-pyrrolidinyl]- (CA INDEX NAME)

RN 933763-73-6 CAPLUS

CN Ethanone, 1-[2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-hydroxy-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-72-5 CMF C19 H18 N6 O2

CM 2

RN 933763-74-7 CAPLUS

CN Acetamide, N-[1-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)ethyl]- (CA INDEX NAME)

RN 933763-75-8 CAPLUS

CN Acetamide, N-[1-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)ethyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-74-7 CMF C17 H16 N6 O

CM 2

RN 933763-76-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-hydroxy-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 933763-77-0 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-hydroxy-, 1,1-dimethylethyl ester 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-76-9 CMF C22 H24 N6 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-78-1 CAPLUS

CN Acetamide, N-[4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenyl]- (CA INDEX NAME)

RN 933763-79-2 CAPLUS

CN Acetamide, N-[4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-78-1 CMF C21 H16 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-81-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[4-(difluoromethoxy)phenyl]-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933763-80-5 CMF C20 H13 F2 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-82-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(6-chloro-3-pyridinyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-83-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
 2-(6-chloro-3-pyridinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)
CM 1

CRN 933763-82-7 CMF C18 H11 C1 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-84-9 CAPLUS
CN 3-Pyridinecarbonitrile, 6-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-2-(methylthio)- (CA INDEX NAME)

RN 933763-85-0 CAPLUS

CN 3-Pyridinecarbonitrile, 6-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-2-(methylthio)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-84-9 CMF C20 H13 N7 S

CM 2

RN 933763-87-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(6-methoxy-3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933763-86-1 CMF C19 H14 N6 O

CM 2

RN 933763-88-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(6-bromo-3-pyridinyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-89-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(6-bromo-3-pyridinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:3) (CA
INDEX NAME)

CM 1

CRN 933763-88-3 CMF C18 H11 Br N6

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CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-90-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(6-bromo-2-pyridinyl)-1,8-dihydro- (CA INDEX NAME)

RN 933763-91-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(6-bromo-2-pyridinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933763-90-7 CMF C18 H11 Br N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-92-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1H-imidazol-5-yl)- (CA INDEX NAME)

RN 933763-93-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1H-imidazol-5-yl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933763-92-9

CMF C16 H11 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-94-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(3-methoxyphenyl)- (CA INDEX NAME)

RN 933763-95-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(3-methoxyphenyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-94-1 CMF C20 H15 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-96-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(4-methoxyphenyl)- (CA INDEX NAME)

RN 933763-97-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,

1,8-dihydro-2-(4-methoxyphenyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-96-3 CMF C20 H15 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933763-98-5 CAPLUS CN Imidazo[4,5-d]dipyr

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[2-(methylthio)ethyl]- (CA INDEX NAME)

RN 933763-99-6 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[2-(methylthio)ethyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933763-98-5 CMF C16 H15 N5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-01-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(4-piperidinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933764-00-2 CMF C18 H18 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-02-4 CAPLUS

CN 1-Piperidinepropanenitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- $\beta$ -oxo- (CA INDEX NAME)

RN 933764-03-5 CAPLUS

CN 1-Piperidinepropanenitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- $\beta$ -oxo-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-02-4 CMF C21 H19 N7 O

CM 2

RN 933764-04-6 CAPLUS

CN 1-Piperidinepropanenitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- $\beta$ -oxo- (CA INDEX NAME)

RN 933764-05-7 CAPLUS

CN 1-Piperidinepropanenitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- $\beta$ -oxo-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-04-6 CMF C21 H19 N7 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-06-8 CAPLUS

CN 1-Piperidinepropanenitrile, 4-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]- $\beta$ -oxo- (CA INDEX NAME)

RN 933764-07-9 CAPLUS

CN 1-Piperidine propanenitrile, 3-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]- $\beta$ -oxo- (CA INDEX NAME)

RN

933764-09-1 CAPLUS Ethanone, 1-[4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-1-piperidinyl]-2,2,2-trifluoro-, 2,2,2-trifluoroacetate (1:2) (CACN INDEX NAME)

CM 1

CRN 933764-08-0 CMF C20 H17 F3 N6 O

СМ

RN 933764-10-4 CAPLUS

CN Ethanone, 1-[3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-1-piperidinyl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 933764-11-5 CAPLUS

CN Ethanone, 1-[3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-1-piperidinyl]-2,2,2-trifluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-10-4 CMF C20 H17 F3 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-12-6 CAPLUS

CN Ethanone, 1-[4-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]-1-piperidinyl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 933764-13-7 CAPLUS

CN Ethanone, 1-[4-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]-1-piperidinyl]-2,2,2-trifluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-12-6 CMF C21 H19 F3 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-14-8 CAPLUS

CN Ethanone, 1-[3-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]-1-piperidinyl]-2,2,2-trifluoro- (CA INDEX NAME)

RN 933764-15-9 CAPLUS

CN Ethanone, 1-[3-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]-1-piperidinyl]-2,2,2-trifluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-14-8 CMF C21 H19 F3 N6 O

CM 2

RN 933764-16-0 CAPLUS

CN Ethanone, 1-[4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-1-piperidinyl]- (CA INDEX NAME)

RN 933764-17-1 CAPLUS

CN Ethanone, 1-[4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-1-piperidinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-16-0 CMF C20 H20 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-18-2 CAPLUS

CN 1-Piperidinecarboxaldehyde, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933764-19-3 CAPLUS

CN 1-Piperidinecarboxaldehyde, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-18-2 CMF C19 H18 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-20-6 CAPLUS

CN Ethanone, 1-[4-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]-1-piperidinyl]- (CA INDEX NAME)

RN 933764-21-7 CAPLUS

CN Ethanone, 1-[3-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]-1-piperidinyl]- (CA INDEX NAME)

RN 933764-22-8 CAPLUS

CN Ethanone, 1-[3-[(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)methyl]-1-piperidinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-21-7 CMF C21 H22 N6 O

CM 2

RN 933764-24-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1-methyl-3-piperidinyl)-, 2,2,2-trifluoroacetate (1:3) (CA
INDEX NAME)

CM 1

CRN 933764-23-9 CMF C19 H20 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-25-1 CAPLUS

CN Benzonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933764-26-2 CAPLUS

CN Benzonitrile, 4-(3,8-dihydro-3-hydroxyimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933764-27-3 CAPLUS

CN Benzonitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933764-28-4 CAPLUS

CN Benzonitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-27-3 CMF C20 H12 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-29-5 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(3-pyridinyl)-

(CA INDEX NAME)

RN 933764-30-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-(3-pyridinyl)- (CA INDEX NAME)

RN 933764-31-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-(2-pyridinyl)- (CA INDEX NAME)

RN 933764-32-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(4-pyridinyl)-

(CA INDEX NAME)

RN 933764-34-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(3-piperidinyl)- (CA INDEX NAME)

RN 933764-35-3 CAPLUS CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(3-piperidinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933764-34-2 CMF C18 H18 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 933764-38-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[6-(trifluoromethyl)-3-pyridinyl]- (CA INDEX NAME)

CM 1

CRN 933764-38-6 CMF C19 H11 F3 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-40-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 933764-41-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[3-(trifluoromethyl)phenyl]-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933764-40-0 CMF C20 H12 F3 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-42-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(3,5-dimethyl-4-isoxazolyl)-3,8-dihydro-3-hydroxy- (CA INDEX NAME)

RN 933764-43-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[4-(methylthio)phenyl]- (CA INDEX NAME)

RN 933764-44-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[4-(methylthio)phenyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-43-3 CMF C20 H15 N5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-46-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
3,8-dihydro-3-hydroxy-2-[4-(methylthio)phenyl]-, 2,2,2-trifluoroacetate
(1:2) (CA INDEX NAME)

CM 1

CRN 933764-45-5 CMF C20 H15 N5 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-48-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[4-(methylsulfonyl)phenyl]-, 2,2,2-trifluoroacetate (1:2)

(CA INDEX NAME)

CM 1

CRN 933764-47-7 CMF C20 H15 N5 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-49-9 CAPLUS CN Imidazo[4,5-d]dipyr:

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1H-imidazol-2-yl)- (CA INDEX NAME)

RN 933764-50-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1H-imidazol-2-yl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933764-49-9 CMF C16 H11 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-51-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1-methyl-1H-imidazol-2-yl)- (CA INDEX NAME)

RN 933764-52-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1-methyl-1H-imidazol-2-yl)-, 2,2,2-trifluoroacetate (1:3)
(CA INDEX NAME)

CM 1

CRN 933764-51-3 CMF C17 H13 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-53-5 CAPLUS CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-phenyl- (CA

INDEX NAME)

RN 933764-54-6 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-phenyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-53-5 CMF C19 H13 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-55-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-phenyl- (CA INDEX NAME)

RN 933764-56-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-phenyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-55-7 CMF C19 H13 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-57-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(phenylmethyl)- (CA INDEX NAME)

RN 933764-58-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(phenylmethyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-57-9 CMF C20 H15 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-59-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-(phenylmethyl)- (CA INDEX NAME)

RN 933764-60-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-(phenylmethyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-59-1 CMF C20 H15 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-61-5 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(2-phenylethyl)- (CA INDEX NAME)

RN 933764-62-6 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2-phenylethyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-61-5 CMF C21 H17 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-63-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-(2-phenylethyl)- (CA INDEX NAME)

RN 933764-64-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
3,8-dihydro-3-hydroxy-2-(2-phenylethyl)-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933764-63-7 CMF C21 H17 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-65-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-(4-piperidinyl)- (CA INDEX NAME)

RN 933764-66-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
3,8-dihydro-3-hydroxy-2-(4-piperidinyl)-, 2,2,2-trifluoroacetate (1:3)
(CA INDEX NAME)

CM 1

CRN 933764-65-9 CMF C18 H18 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-67-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(4-piperidinylmethyl)- (CA INDEX NAME)

RN 933764-68-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(4-piperidinylmethyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933764-67-1 CMF C19 H20 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-70-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
3,8-dihydro-3-hydroxy-2-(4-piperidinylmethyl)-, 2,2,2-trifluoroacetate
(1:3) (CA INDEX NAME)

CM 1

CRN 933764-69-3 CMF C19 H20 N6 O

CM 2 CRN 76-05-1

CMF C2 H F3 O2

RN 933764-72-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(3-piperidinylmethyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933764-71-7 CMF C19 H20 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-73-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

RN 933764-74-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-[(tetrahydro-2H-pyran-4-yl)methyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME) CM 1

CRN 933764-73-9 CMF C19 H19 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-75-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 3,8-dihydro-3-hydroxy-2-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

RN 933764-76-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
3,8-dihydro-3-hydroxy-2-[(tetrahydro-2H-pyran-4-yl)methyl]-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-75-1 CMF C19 H19 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-77-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
2-(3,5-dichloro-4-pyridinyl)-1,8-dihydro- (CA INDEX NAME)

IT	933764-78-4P 933764-81-9P 933764-84-2P 933764-87-5P 933764-90-0P 933764-93-3P 933765-00-5P 933765-03-8P 933765-06-1P	933764-79-5P 933764-82-0P 933764-85-3P 933764-91-1P 933764-94-4P 933765-01-6P 933765-04-9P 933765-07-2P	933764-80-8P 933764-83-1P 933764-86-4P 933764-89-7P 933764-92-2P 933764-99-9P 933765-02-7P 933765-05-0P 933765-08-3P
	933765-09-4P	933765-10-7P	933765-11-8P
	933765-12-9P	933765-13-0P	933765-15-2P
	933765-17-4P	933765-18-5P	933765-19-6P
	933765-20-9P	933765-21-0P	933765-22-1P
	933765-23-2P	933765-24-3P	933765-25-4P
	933765-26-5P	933765-27-6P	933765-28-7P
	933765-29-8P	933765-30-1P	933765-31-2P
	933765-32-3P	933765-33-4P	933765-34-5P
	933765-35-6P	933765-36-7P	933765-37-8P
	933765-38-9P	933765-39-0P	933765-40-3P
	933765-41-4P	933765-42-5P	933765-43-6P
	933765-44-7P	933765-45-8P	933765-46-9P
	933765-47-0P	933765-48-1P	933765-49-2P
	933765-50-5P	933765-51-6P	933765-52-7P
	933765-53-8P	933765-54-9P	933765-55-0P
	933765-56-1P	933765-57-2P	933765-58-3P
	933765-59-4P	933765-60-7P	933765-61-8P
	933765-62-9P	933765-63-0P	933765-64-1P
	933765-65-2P	933765-66-3P	933765-67-4P
	933765-68-5P	933765-69-6P	933765-70-9P

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933765-71-0P
                 933765-72-1P
                                   933765-73-2P
                                   933765-76-5P
933765-74-3P
                 933765-75-4P
933765-77-6P
                 933765-78-7P
                                   933765-79-8P
933765-80-1P
                 933765-81-2P
                                   933765-82-3P
933765-83-4P
                 933765-84-5P
                                   933765-85-6P
933765-86-7P
                 933765-87-8P
                                   933765-88-9P
933765-89-0P
                 933765-90-3P
                                   933765-91-4P
933765-92-5P
                 933765-93-6P
                                   933765-94-7P
933765-95-8P
                 933765-96-9P
                                   933765-97-0P
933765-98-1P
                 933765-99-2P
                                   933766-00-8P
933766-01-9P
                 933766-02-0P
                                   933766-03-1P
933766-04-2P
                 933766-05-3P
                                   933766-06-4P
                                   933766-09-7P
933766-07-5P
                 933766-08-6P
933766-10-0P
                 933766-11-1P
                                   933766-12-2P
933766-13-3P
                 933766-14-4P
                                   933766-15-5P
                 933766-17-7P
933766-16-6P
                                   933766-18-8P
933766-19-9P
                 933766-20-2P
                                   933766-21-3P
933766-22-4P
                 933766-23-5P
                                   933766-24-6P
933766-25-7P
                 933766-26-8P
                                   933766-27-9P
933766-28-0P
                 933766-29-1P
                                   933766-30-4P
                 933766-32-6P
933766-31-5P
                                   933766-33-7P
933766-34-8P
                 933766-35-9P
                                   933766-36-0P
933766-37-1P
                                   933766-39-3P
                 933766-38-2P
933766-40-6P
                 933766-41-7P
                                   933766-42-8P
933766-43-9P
                 933766-44-0P
                                   933766-45-1P
933766-46-2P
                 933766-47-3P
                                   933766-48-4P
933766-49-5P
                 933766-50-8P
                                   933766-51-9P
933766-52-0P
                                   933766-54-2P
                 933766-53-1P
                                   933766-57-5P
933766-55-3P
                 933766-56-4P
933766-58-6P
                 933766-59-7P
                                   933766-60-0P
933766-61-1P
                 933766-62-2P
                                   933766-63-3P
933766-64-4P
                 933766-65-5P
                                   933766-66-6P
933766-67-7P
                 933766-68-8P
                                   933766-69-9P
933766-70-2P
                 933766-71-3P
                                   933766-72-4P
933766-73-5P
                 933766-74-6P
                                   933766-75-7P
933766-76-8P
                 933766-78-0P
                                   933766-79-1P
933766-80-4P
                 933766-81-5P
                                   933766-82-6P
933766-83-7P
                 933766-84-8P
                                   933766-85-9P
933766-86-0P
                 933766-87-1P
                                   933766-88-2P
933766-89-3P
                 933766-90-6P
                                   933766-91-7P
933766-93-9P
                 933766-94-0P
                                   933766-95-1P
933766-96-2P
                 933766-97-3P
                                   933766-98-4P
933766-99-5P
                 933767-00-1P
                                   933767-01-2P
933767-02-3P
                 933767-03-4P
                                   933767-04-5P
933767-05-6P
                                   933767-08-9P
                 933767-06-7P
933767-09-0P
                 933767-10-3P
                                   933767-11-4P
933767-12-5P
                 933767-13-6P
                                   933767-14-7P
933767-15-8P
                 933767-16-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of tetracyclic inhibitors of Janus kinases)
933764-78-4 CAPLUS
Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
2-(2,6-dichlorophenyl)-1,8-dihydro- (CA INDEX NAME)
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RN

RN 933764-79-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
2-(2,6-difluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933764-80-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
2-(2,6-difluorophenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-79-5 CMF C19 H11 F2 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-81-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine, 2-(2-chloro-6-fluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933764-82-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine, 2-(2,6-dimethylphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933764-83-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine-2-butanenitrile,  $\gamma, \gamma$ -diethyl-1,8-dihydro- (CA INDEX NAME)

RN 933764-84-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine-2-butanenitrile,  $\gamma,\gamma$ -diethyl-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-83-1 CMF C21 H22 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-85-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine, 3,8-dihydro-3-hydroxy-2-[1-[(4-methoxyphenyl)methyl]-5-methyl-3-

(trifluoromethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)

RN 933764-86-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine, 1,8-dihydro-2-[1-[(4-methoxyphenyl)methyl]-5-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)

RN 933764-87-5 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
 1,8-dihydro-2-[1-[(4-methoxyphenyl)methyl]-5-methyl-3-(trifluoromethyl)-1H pyrazol-4-yl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-86-4
CMF C26 H20 F3 N7 O

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 933764-88-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
3,8-dihydro-3-hydroxy-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl](CA INDEX NAME)

RN 933764-90-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
1,8-dihydro-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-89-7 CMF C18 H12 F3 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-91-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
2-(2,3-dimethylphenyl)-3,8-dihydro-3-hydroxy- (CA INDEX NAME)

RN 933764-93-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
2-(2,3-dimethylphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA
INDEX NAME)

CM 1

CRN 933764-92-2 CMF C21 H17 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933764-94-4 CAPLUS

CN 2-Pyridinamine, 3-(3,8-dihydro-3-hydroxyimidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepin-2-yl)-N,N-dimethyl- (CA INDEX NAME)

RN 933764-95-5 CAPLUS

CN 2-Pyridinamine, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepin-2-yl)-N,N-dimethyl- (CA INDEX NAME)

RN 933764-96-6 CAPLUS

CN 2-Pyridinamine, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepin-2-yl)-N,N-dimethyl-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933764-95-5 CMF C20 H17 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$$\begin{smallmatrix} F \\ | \\ F - C - CO_2H \\ | \\ F \end{smallmatrix}$$

RN 933764-97-7 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepin-2-yl)-3-fluoro- (CA INDEX NAME)

RN 933764-99-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[(tetrahydro-2H-thiopyran-4-yl)methyl]- (CA INDEX NAME)

10/565,702

RN 933765-00-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[(tetrahydro-2H-thiopyran-4-yl)methyl]-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933764-99-9 CMF C19 H19 N5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-01-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
3,8-dihydro-3-hydroxy-2-[(tetrahydro-2H-thiopyran-4-y1)methyl]- (CA INDEX NAME)

RN 933765-02-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
3,8-dihydro-3-hydroxy-2-[(tetrahydro-2H-thiopyran-4-yl)methyl]-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-01-6 CMF C19 H19 N5 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-03-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[3-methyl-5-(trifluoromethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)

RN 933765-04-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[3-methyl-5-(trifluoromethyl)-1H-pyrazol-4-yl]-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-03-8 CMF C18 H12 F3 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-05-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[5-(difluoromethyl)-3-methyl-1H-pyrazol-4-yl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-06-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[1-[(4-methoxyphenyl)methyl]-5-methyl-3-(trifluoromethyl)-1Hpyrazol-4-yl]- (CA INDEX NAME)

RN 933765-07-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[1,5-dimethyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-08-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[1-ethyl-5-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-09-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[1-(cyclopropylmethyl)-5-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-10-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[3-methyl-5-(1,1,2,2,2-pentafluoroethyl)-1H-pyrazol-4-yl]-,
hydrochloride (1:2) (CA INDEX NAME)

10/565,702

●2 HC1

RN 933765-11-8 CAPLUS

CN 1H-Pyrazole-1-sulfonamide, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-N,N,5-trimethyl-3-(trifluoromethyl)- (CA INDEX NAME)

RN 933765-12-9 CAPLUS

CN 1H-Pyrazole-1-sulfonamide, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-y1)-N,N,5-trimethyl-3-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-11-8 CMF C20 H17 F3 N8 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 933765-13-0 CAPLUS

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[3-(chlorodifluoromethyl)-5-methyl-1-(tetrahydro-2H-pyran-2-yl)-1Hpyrazol-4-yl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-15-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-dimethyl-1H-pyrazol-4-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-17-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[5-methyl-3-(2-methylpropyl)-1H-pyrazol-4-yl]-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-16-3 CMF C21 H21 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-18-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3-ethyl-5-methyl-1H-pyrazol-4-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-19-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3-ethyl-5-methyl-1H-pyrazol-4-yl)-1,8-dihydro-, 2,2,2-trifluoroacetate
(1:2) (CA INDEX NAME)

CM 1

CRN 933765-18-5 CMF C19 H17 N7

CM 2

10/565,702

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-20-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(3-butyl-5-methyl-1H-pyrazol-4-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-21-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(3-butyl-5-methyl-1H-pyrazol-4-yl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-20-9 CMF C21 H21 N7

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 933765-22-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-diethyl-1H-pyrazol-4-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-23-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-diethyl-1H-pyrazol-4-yl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933765-22-1 CMF C20 H19 N7 10/565,702

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-24-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3-cyclopropyl-5-methyl-1H-pyrazol-4-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-25-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(3-cyclopropyl-5-methyl-1H-pyrazol-4-yl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-24-3 CMF C20 H17 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

## 10/565,702

CM 1

CRN 933765-26-5 CMF C20 H14 C1 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-28-7 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-methyl- (CA INDEX NAME)

RN 933765-29-8 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-methyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-28-7 CMF C21 H14 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-30-1 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,4-dimethyl-3-thienyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-31-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,4-dimethyl-3-thienyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-30-1 CMF C19 H15 N5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-32-3 CAPLUS CN Imidazo[4,5-d]dipyri

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[4-(methylsulfinyl)phenyl]- (CA INDEX NAME)

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RN
     933765-33-4 CAPLUS
CN
     Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
     1,8-dihydro-2-[4-(methylsulfinyl)phenyl]-, 2,2,2-trifluoroacetate (1:2)
     (CA INDEX NAME)
     CM
          1
     CRN 933765-32-3
     CMF C20 H15 N5 O S
         - Me
          NH
        И
     CM
          2
     CRN 76-05-1
     CMF C2 H F3 O2
F-C-CO2H
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F

RN CN 933765-34-5 CAPLUS

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,

2-[4-(ethylthio)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-35-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[2-(5-methyl-2-furanyl)propyl]- (CA INDEX NAME)

RN 933765-36-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-benzo[b]thien-5-yl-1,8-dihydro- (CA INDEX NAME)

RN 933765-37-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,4-dimethyl-5-thiazolyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-39-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(4-chloro-1-methyl-1H-pyrazol-3-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-40-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(1,3,5-trimethyl-1H-pyrazol-4-yl)- (CA INDEX NAME)

RN 933765-41-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-42-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-dimethyl-4-isoxazolyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-43-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[1-(2-methoxyethyl)-2,5-dimethyl-1H-pyrrol-3-yl]- (CA INDEX NAME)

RN 933765-44-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(1-cyclopropy1-2,5-dimethyl-1H-pyrrol-3-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-45-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,5-dimethoxy-4-(methylthio)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-47-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,4-dimethoxy-3-methylphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-49-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-ethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-50-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,4-dimethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-51-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2,3,4,5,6-pentamethylphenyl)- (CA INDEX NAME)

RN 933765-52-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-chloro-4-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-54-9 CAPLUS
CN Phenol, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)(CA INDEX NAME)

RN 933765-56-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-chloro-3,4-dimethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-57-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(4-methoxy-2,3-dimethylphenyl)- (CA INDEX NAME)

RN 933765-58-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dichloro-3,4-dimethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-59-4 CAPLUS
CN Phenol, 2,4-dichloro-3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-6-methoxy- (CA INDEX NAME)

RN 933765-61-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,4-dichlorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-63-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,4-dimethylphenyl)-1,8-dihydro- (CA INDEX NAME)

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RN 933765-64-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 933765-66-3 CAPLUS CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(2-methoxy-3-pyridinyl)- (CA INDEX NAME)

RN 933765-67-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[4-fluoro-2-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-68-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2-methoxyphenyl)- (CA INDEX NAME)

RN 933765-69-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2,4,6-trimethylphenyl)- (CA INDEX NAME)

Me Me Me NH

RN 933765-70-9 CAPLUS

CN Phenol, 2-chloro-3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-6-methoxy- (CA INDEX NAME)

RN 933765-71-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(3-methyl-2-pyridinyl)- (CA INDEX NAME)

RN 933765-72-1 CAPLUS

CN Benzenamine, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-ethoxy-N,N-diethyl- (CA INDEX NAME)

RN 933765-73-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(4-bromo-2,5-dimethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-74-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-[2-(2-methylpropoxy)phenyl]- (CA INDEX NAME)

RN 933765-75-4 CAPLUS

CN 2-Pyridinamine, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933765-76-5 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 1,8-dihydro-2-(1H-indol-4-yl)- (CA INDEX NAME)

RN 933765-77-6 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[2-[(trifluoromethyl)thio]phenyl]- (CA INDEX NAME)

RN 933765-78-7 CAPLUS

CN Phenol, 4-bromo-3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-y1)- (CA INDEX NAME)

RN 933765-79-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2-chloro-4-(methylsulfonyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-80-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,2-difluoro-1,3-benzodioxol-4-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-81-2 CAPLUS
CN Phenol, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)3,5-dimethyl- (CA INDEX NAME)

RN 933765-82-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-chloro-4,6-dimethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-83-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3-chlorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-84-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[3-fluoro-5-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933765-86-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3-chloro-4-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-87-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(6-chloro-1,3-benzodioxol-5-yl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-89-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(6-chloro-2,3-difluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-90-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dichloro-3-fluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-91-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2-chloro-6-fluoro-3-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933765-93-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2,4,6-trifluorophenyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-92-5 CMF C19 H10 F3 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-94-7 CAPLUS CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,

1,8-dihydro-2-[2-methoxy-4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 933765-95-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[2-methoxy-4-(trifluoromethoxy)phenyl]-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933765-94-7 CMF C21 H14 F3 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 933765-96-9 CAPLUS

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3-chloro-4-fluorophenyl)-1,8-dihydro- (CA INDEX NAME)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933765-99-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(4-fluoro-2-methylphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933765-98-1 CMF C20 H14 F N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-00-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,4-dichloro-6-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933766-01-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,4-dichloro-6-methoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate
(1:2) (CA INDEX NAME)

CM 1

CRN 933766-00-8 CMF C20 H13 C12 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-02-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dichloro-3-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933766-03-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dichloro-3-methoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate
(1:2) (CA INDEX NAME)

CM 1

CRN 933766-02-0 CMF C20 H13 C12 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-04-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(methylthio)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-05-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(methylthio)phenyl]-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-04-2 CMF C20 H13 C12 N5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-06-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(methylsulfinyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-07-5 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(methylsulfinyl)phenyl]-1,8-dihydro-,

2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-06-4

CMF C20 H13 C12 N5 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO<sub>2</sub>H

RN 933766-08-6 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(methylsulfonyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-09-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(methylsulfonyl)phenyl]-1,8-dihydro-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-08-6

CMF C20 H13 C12 N5 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-10-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(6-chloro-2-fluoro-3-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

CM 1

CRN 933766-10-0 CMF C20 H13 C1 F N5 O

CM 2

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CRN 76-05-1 CMF C2 H F3 O2

RN 933766-12-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2-chloro-6-fluoro-4-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933766-13-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2-chloro-6-fluoro-4-methoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-12-2

CMF C20 H13 C1 F N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-14-4 CAPLUS

CN Benzenemethanol, 3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933766-15-5 CAPLUS

CN Benzenemethanol, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,5-difluoro- (CA INDEX NAME)

RN 933766-16-6 CAPLUS
CN Phenol, 3,5-dichloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933766-17-7 CAPLUS
CN 3-Pyridinecarbonitrile, 5-chloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933766-18-8 CAPLUS

CN 3-Pyridinecarbonitrile, 5-chloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933766-17-7 CMF C19 H10 C1 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-19-9 CAPLUS

CN 3,5-Pyridinedicarbonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933766-20-2 CAPLUS

CN 3,5-Pyridinedicarbonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933766-19-9 CMF C20 H10 N8

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-21-3 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro-6-methyl- (CA INDEX NAME)

RN 933766-22-4 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro-6-methyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-21-3 CMF C21 H13 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-23-5 CAPLUS

CN 3-Pyridinecarbonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933766-24-6 CAPLUS

CN 3-Pyridinecarbonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933766-23-5 CMF C19 H11 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-25-7 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,6-difluoro- (CA INDEX NAME)

RN 933766-26-8 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,6-difluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-25-7 CMF C20 H10 F2 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-27-9 CAPLUS

CN Benzonitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-

yl)-2-fluoro-4-(trifluoromethyl)- (CA INDEX NAME)

RN 933766-28-0 CAPLUS

CN Benzonitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-2-fluoro-4-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-27-9 CMF C21 H10 F4 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-29-1 CAPLUS

CN Benzonitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-methoxy- (CA INDEX NAME)

RN 933766-30-4 CAPLUS

CN Benzonitrile, 3-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-29-1 CMF C21 H14 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-31-5 CAPLUS

CN Benzonitrile, 6-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-y1)-2,3-dimethoxy- (CA INDEX NAME)

RN 933766-32-6 CAPLUS

CN Benzonitrile, 6-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-2,3-dimethoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-31-5 CMF C22 H16 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-33-7 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4,5-dimethoxy- (CA INDEX NAME)

RN 933766-34-8 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4,5-dimethoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-33-7 CMF C23 H15 N7 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 933766-35-9 CAPLUS

1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-hydroxy-5-methoxy- (CA INDEX NAME)

RN 933766-36-0 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-hydroxy-5-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-35-9 CMF C22 H13 N7 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-37-1 CAPLUS

CN Benzonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)-2,5-dimethoxy- (CA INDEX NAME)

RN 933766-38-2 CAPLUS

CN Benzonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)-2,5-dimethoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-37-1 CMF C22 H16 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-39-3 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(methylsulfonyl)- (CA INDEX NAME)

RN 933766-40-6 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(methylsulfonyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-39-3 CMF C21 H14 N6 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-41-7 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,5-dimethoxy- (CA INDEX NAME)

RN 933766-42-8 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,5-dimethoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-41-7 CMF C22 H16 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-43-9 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,5-difluoro- (CA INDEX NAME)

RN 933766-44-0 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,5-difluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-43-9 CMF C20 H10 F2 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-45-1 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,4-difluoro- (CA INDEX NAME)

RN 933766-46-2 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3,4-difluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-45-1 CMF C20 H10 F2 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-47-3 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro-6-methoxy- (CA INDEX NAME)

RN 933766-48-4 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro-6-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-47-3 CMF C21 H13 F N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-49-5 CAPLUS

CN Benzonitrile, 5-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-2-fluoro- (CA INDEX NAME)

RN 933766-50-8 CAPLUS

CN Benzonitrile, 5-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-2-fluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-49-5 CMF C20 H11 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-51-9 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro-4-methoxy- (CA INDEX NAME)

RN 933766-52-0 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-y1)-3-fluoro-4-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-51-9 CMF C21 H13 F N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-53-1 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-

yl)-3-fluoro-5-methoxy- (CA INDEX NAME)

RN 933766-54-2 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro-5-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-53-1 CMF C21 H13 F N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-55-3 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(methylthio)- (CA INDEX NAME)

RN 933766-56-4 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(methylthio)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-55-3 CMF C22 H13 N7 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-57-5 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(hydroxymethyl)- (CA INDEX NAME)

RN 933766-58-6 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(hydroxymethyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-57-5 CMF C22 H13 N7 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-59-7 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(hydroxymethyl)- (CA INDEX NAME)

RN 933766-60-0 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(hydroxymethyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-59-7 CMF C21 H14 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-61-1 CAPLUS

CN Benzeneacetonitrile, 3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933766-62-2 CAPLUS

CN 1,3-Benzenedicarbonitrile, 5-(cyanomethyl)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933766-63-3 CAPLUS

CN 1,3-Benzenedicarbonitrile, 5-(cyanomethyl)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-62-2 CMF C23 H12 N8

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-64-4 CAPLUS

CN Benzeneacetonitrile, 3-cyano-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933766-65-5 CAPLUS

CN Benzeneacetonitrile, 3-cyano-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-64-4 CMF C22 H13 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-66-6 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(methylsulfinyl)- (CA INDEX NAME)

RN 933766-67-7 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(methylsulfinyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-66-6 CMF C21 H14 N6 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-68-8 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(methylsulfonyl)- (CA INDEX NAME)

RN 933766-69-9 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(methylsulfonyl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-68-8 CMF C22 H13 N7 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-70-2 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-hydroxy- (CA INDEX NAME)

RN 933766-71-3 CAPLUS

CN Benzenemethanamine, 3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-N,N-dimethyl- (CA INDEX NAME)

RN 933766-72-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(4-morpholinylmethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-73-5 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(4-thiomorpholinylmethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-74-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(4-thiomorpholinylmethyl)phenyl]-1,8-dihydro-,
2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933766-73-5

CMF C24 H20 C12 N6 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-75-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(methoxymethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-76-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(ethylthio)phenyl]-1,8-dihydro- (CA INDEX NAME)

CM 1

CRN 933766-78-0 CMF C22 H17 C12 N5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-80-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(ethylsulfinyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

CM 1

CRN 933766-80-4 CMF C21 H15 C12 N5 O S

CM 2

CRN 76-05-1

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CMF C2 H F3 O2

RN 933766-82-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(ethylsulfonyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-83-7 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-(ethylsulfonyl)phenyl]-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM :

CRN 933766-82-6 CMF C21 H15 C12 N5 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-84-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-[(1-methylethyl)sulfinyl]phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-85-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-[(1-methylethyl)sulfinyl]phenyl]-1,8-dihydro-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-84-8
CMF C22 H17 C12 N5 O S

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 933766-86-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-[(1-methylethyl)sulfonyl]phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933766-87-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-[(1-methylethyl)sulfonyl]phenyl]-1,8-dihydro-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-86-0

CMF C22 H17 C12 N5 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-88-2 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)-5-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

RN 933766-89-3 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)-5-[(1-methylethyl)sulfonyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-88-2 CMF C23 H18 N6 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-90-6 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(ethylthio)- (CA INDEX NAME)

RN 933766-91-7 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(ethylthio)-, 2,2,2-trifluoroacetate (1:2) (CA

INDEX NAME)

CM 1

CRN 933766-90-6 CMF C23 H15 N7 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-93-9 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(ethylthio)- (CA INDEX NAME)

RN 933766-94-0 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(ethylthio)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-93-9 CMF C22 H15 Cl N6 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-95-1 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-[(1-methylethyl)thio]- (CA INDEX NAME)

RN 933766-96-2 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-[(1-methylethyl)thio]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-95-1 CMF C24 H17 N7 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-97-3 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-[(1-methylethyl)thio]- (CA INDEX NAME)

RN 933766-98-4 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-[(1-methylethyl)thio]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-97-3 CMF C23 H17 C1 N6 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933766-99-5 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-[(1-methylethyl)sulfinyl]- (CA INDEX NAME)

RN 933767-00-1 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-[(1-methylethyl)sulfinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-99-5 CMF C24 H17 N7 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-01-2 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)-5-[(1-methylethyl)sulfinyl]- (CA INDEX NAME)

RN 933767-02-3 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)-5-[(1-methylethyl)sulfinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-01-2 CMF C23 H17 C1 N6 O S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-03-4 CAPLUS

CN Acetonitrile, 2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]- (CA INDEX NAME)

RN 933767-04-5 CAPLUS

CN Acetonitrile, 2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-03-4 CMF C21 H12 C12 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-05-6 CAPLUS

CN Propanenitrile, 2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3b:4',3'-f]azepin-2-yl)phenoxy]- (CA INDEX NAME)

933767-06-7 CAPLUS

Propanenitrile, 2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-CN b:4',3'-f]azepin-2-y1)phenoxy]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-05-6

CMF C22 H14 C12 N6 O

СМ

CRN 76-05-1

CMF C2 H F3 O2

RN 933767-08-9 CAPLUS

CN 1,3-Benzenedicarbonitrile, 5-(1-cyanoethoxy)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-09-0 CAPLUS

CN 1,3-Benzenedicarbonitrile, 5-(1-cyanoethoxy)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-08-9 CMF C24 H14 N8 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-10-3 CAPLUS

CN Benzonitrile, 5-(1-cyanoethoxy)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-11-4 CAPLUS

CN Benzonitrile, 5-(1-cyanoethoxy)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-10-3 CMF C23 H15 N7 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$$\begin{array}{c} F \\ | \\ F - C - CO_2H \\ | \\ F \end{array}$$

RN 933767-12-5 CAPLUS

CN 1,3-Benzenedicarbonitrile, 5-(cyanomethoxy)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-13-6 CAPLUS

CN 1,3-Benzenedicarbonitrile, 5-(cyanomethoxy)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-12-5 CMF C23 H12 N8 O

CM 2

RN 933767-14-7 CAPLUS

CN Propanamide, 2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3b:4',3'-f]azepin-2-yl)phenoxy]- (CA INDEX NAME)

933767-15-8 CAPLUS Propanamide, 2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-CN b:4',3'-f]azepin-2-y1)phenoxy]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-14-7

CMF C22 H16 C12 N6 O2

СМ

CRN 76-05-1

CMF C2 H F3 O2

RN 933767-16-9 CAPLUS

CN Propanamide, 2-[3,5-dicyano-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]- (CA INDEX NAME)

933767-22-7P 933767-25-0P 933767-28-3P 933767-36-3P 933767-40-9P 933767-46-5P 933767-49-8P 933767-55-6P 933767-55-6P 933767-68-1P 933767-71-6P 933767-74-9P 933767-77-2P 933767-80-7P 933767-83-0P 933767-87-4P 933767-91-0P 933767-94-3P	933767-23-8P 933767-26-1P 933767-29-4P 933767-34-1P 933767-41-0P 933767-44-3P 933767-50-1P 933767-55-4P 933767-65-8P 933767-65-8P 933767-75-0P 933767-75-0P 933767-78-3P 933767-81-8P 933767-84-1P 933767-88-5P 933767-95-4P	933767-24-9P 933767-27-2P 933767-31-8P 933767-35-2P 933767-42-1P 933767-45-4P 933767-51-2P 933767-51-2P 933767-54-5P 933767-57-8P 933767-66-9P 933767-70-5P 933767-70-1P 933767-79-4P 933767-82-9P 933767-99-9P 933767-99-9P 933767-99-9P 933767-95-9P
933767-97-6P	933767-98-7P	933767-99-8P

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933768-00-4P
                 933768-01-5P
                                   933768-02-6P
                 933768-04-8P
                                   933768-05-9P
933768-03-7P
                 933768-19-5P
                                   933768-20-8P
933768-18-4P
933768-45-7P
                 933768-47-9P
                                   933768-48-0P
933768-49-1P
                 933768-50-4P
                                   933768-51-5P
933768-53-7P
                 933768-55-9P
                                   933768-56-0P
933768-57-1P
                 933768-58-2P
                                   933768-59-3P
933768-60-6P
                 933768-61-7P
                                   933768-63-9P
933768-64-0P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tetracyclic inhibitors of Janus kinases) 933767-17-0 CAPLUS

CN Propanamide, 2-[3,5-dicyano-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

RN

CRN 933767-16-9 CMF C24 H16 N8 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-19-2 CAPLUS

CN Benzamide, 5-(2-amino-1-methyl-2-oxoethoxy)-3-cyano-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-20-5 CAPLUS

CN Benzamide, 5-(2-amino-1-methyl-2-oxoethoxy)-3-cyano-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-19-2 CMF C24 H18 N8 O3

CM 2

RN 933767-22-7 CAPLUS

CN 1,3-Benzenedicarboxamide, 5-(2-amino-1-methyl-2-oxoethoxy)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-23-8 CAPLUS

CN 1,3-Benzenedicarboxamide, 5-(2-amino-1-methyl-2-oxoethoxy)-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM :

CRN 933767-22-7 CMF C24 H20 N8 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-24-9 CAPLUS

CN Acetamide, 2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]- (CA INDEX NAME)

RN 933767-25-0 CAPLUS

CN Acetamide, 2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-24-9 CMF C21 H14 C12 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-26-1 CAPLUS

CN Acetamide, 2-[3,5-dicyano-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]- (CA INDEX NAME)

RN 933767-27-2 CAPLUS

CN Acetamide, 2-[3,5-dicyano-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-26-1 CMF C23 H14 N8 O2

CM 2

RN 933767-28-3 CAPLUS

CN Acetamide, 2-cyano-2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]-N,N-dimethyl- (CA INDEX NAME)

RN 933767-29-4 CAPLUS

CN Acetamide, 2-cyano-2-[3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)phenoxy]-N,N-dimethyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-28-3

CMF C24 H17 C12 N7 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-31-8 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-32-9 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-31-8 CMF C21 H11 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-34-1 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-35-2 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-34-1 CMF C20 H12 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-36-3 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-hydroxy- (CA INDEX NAME)

RN 933767-37-4 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-hydroxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-36-3 CMF C20 H12 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-39-6 CAPLUS

CN 1,3-Benzodioxole-5-carbonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-40-9 CAPLUS

CN 1,3-Benzodioxole-5-carbonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-39-6 CMF C21 H12 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-41-0 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

RN 933767-42-1 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-41-0 CMF C20 H11 C1 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-43-2 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro-4-methyl- (CA INDEX NAME)

RN 933767-44-3 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-

yl)-3-fluoro-4-methyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-43-2 CMF C21 H13 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-45-4 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro- (CA INDEX NAME)

RN 933767-46-5 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-fluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-45-4 CMF C20 H11 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-47-6 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-methoxy- (CA INDEX NAME)

RN 933767-48-7 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-47-6 CMF C21 H14 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-49-8 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-fluoro- (CA INDEX NAME)

RN 933767-50-1 CAPLUS

CN Benzonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-fluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-49-8 CMF C20 H11 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-51-2 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-6-methoxy- (CA INDEX NAME)

RN 933767-52-3 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-6-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-51-2 CMF C21 H13 C1 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-53-4 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-

b:4',3'-f]azepin-2-yl)-4-methoxy- (CA INDEX NAME)

RN 933767-54-5 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-4-methoxy-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-53-4 CMF C22 H13 N7 O

CM 2

RN 933767-55-6 CAPLUS

CN Carbamic acid, N-[4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-pyridinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 933767-56-7 CAPLUS

CN Carbamic acid, N-[4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-pyridinyl]-, 1,1-dimethylethyl ester, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933767-55-6 CMF C23 H21 N7 O2

CM 2

RN 933767-57-8 CAPLUS

CN Carbamic acid, N-[4-(3,8-dihydro-3-hydroxyimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-pyridinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 933767-58-9 CAPLUS

CN Carbamic acid, N-[4-(3,8-dihydro-3-hydroxyimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-3-pyridinyl]-, 1,1-dimethylethyl ester, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933767-57-8 CMF C23 H21 N7 O3

CM 2

CRN 76-05-1

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10/565,702
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CMF C2 H F3 O2

RN 933767-60-3 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(3,5-dimethyl-4-pyridinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933767-59-0 CMF C20 H16 N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-62-5 CAPLUS

CN Phenol, 3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-61-4 CMF C19 H11 C12 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-63-6 CAPLUS CN Imidazo[4,5-d]dipyr:

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dichloro-4-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933767-65-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,6-dichloro-4-ethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933767-66-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(1-methylethoxy)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933767-68-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933767-69-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-1,8-dihydro-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-68-1 CMF C20 H10 C12 F3 N5 O

CM 2

RN 933767-71-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(3-methyl-4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA
INDEX NAME)

CM 1

CRN 933767-70-5 CMF C19 H14 N6

CM 2

RN 933767-73-8 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-(2-methyl-3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933767-72-7 CMF C19 H14 N6

CM 2

RN 933767-74-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,4-dimethyl-3-pyridinyl)-1,8-dihydro- (CA INDEX NAME)

RN 933767-75-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,4-dimethyl-3-pyridinyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933767-74-9 CMF C20 H16 N6

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 933767-77-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-chloro-2-ethoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933767-76-1 CMF C21 H16 C1 N5 O

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10/565,702
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CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-78-3 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(5-chloro-2-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933767-79-4 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(5-chloro-2-methoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-78-3 CMF C20 H14 C1 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-80-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(5-bromo-2-ethoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933767-81-8 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(5-bromo-2-ethoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-80-7 CMF C21 H16 Br N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-82-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,3-difluoro-6-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933767-83-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,3-difluoro-6-methoxyphenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate
(1:2) (CA INDEX NAME)

CM 1

CRN 933767-82-9 CMF C20 H13 F2 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 933767-84-1 CAPLUS

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 933767-85-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1,8-dihydro-,
2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-84-1
CMF C20 H10 C12 F3 N5

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 933767-87-4 CAPLUS
CN Benzenamine, 3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-N,N-dimethyl- (CA INDEX NAME)

RN

933767-88-5 CAPLUS
Benzenamine, 3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-CN f]azepin-2-yl)-N,N-dimethyl-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933767-87-4 CMF C21 H16 C12 N6

СМ 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-90-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dichloro-4-fluorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933767-91-0 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dichloro-4-fluorophenyl)-1,8-dihydro-, 2,2,2-trifluoroacetate (1:2)
(CA INDEX NAME)

CM 1

CRN 933767-90-9 CMF C19 H10 C12 F N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933767-92-1 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-methoxy- (CA INDEX NAME)

RN 933767-93-2 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-methoxy- (CA INDEX NAME)

RN 933767-94-3 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-ethoxy- (CA INDEX NAME)

RN 933767-95-4 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-ethoxy- (CA INDEX NAME)

RN 933767-96-5 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(1-methylethoxy)- (CA INDEX NAME)

RN 933767-97-6 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(1-methylethoxy)- (CA INDEX NAME)

RN 933767-98-7 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(trifluoromethoxy)- (CA INDEX NAME)

RN 933767-99-8 CAPLUS
CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(trifluoromethoxy)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933767-98-7 CMF C22 H10 F3 N7 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-00-4 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(trifluoromethyl)- (CA INDEX NAME)

RN 933768-01-5 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(dimethylamino)- (CA INDEX NAME)

RN 933768-02-6 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-(dimethylamino)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 933768-01-5 CMF C22 H16 C1 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-03-7 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-fluoro- (CA INDEX NAME)

RN 933768-04-8 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-5-fluoro-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933768-03-7 CMF C20 H10 C1 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 933768-05-9 CAPLUS

Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[5-(difluoromethyl)-3-methyl-1H-pyrazol-4-yl]-1,8-dihydro-,
hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 933768-18-4 CAPLUS

CN 1,3-Benzenedicarbonitrile, 2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, hydrochloride (1:2) (CA INDEX NAME)

•2 HCl

RN 933768-19-5 CAPLUS

CN Cyclohexaneacetonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, cis- (CA INDEX NAME)

Relative stereochemistry.

RN 933768-20-8 CAPLUS

CN Cyclohexaneacetonitrile, 4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, trans- (CA INDEX NAME)

Relative stereochemistry.

RN 933768-45-7 CAPLUS

CN Benzonitrile, 3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 933768-47-9 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
10-fluoro-3,8-dihydro-2-[3-methyl-5-(trifluoromethyl)-1H-pyrazol-4-yl](CA INDEX NAME)

RN 933768-49-1 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
2-(3,5-dimethyl-1H-pyrazol-4-yl)-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933768-50-4 CAPLUS
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
2-(3,5-diethyl-1H-pyrazol-4-yl)-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933768-51-5 CAPLUS

CN 1H-Pyrazole-1-acetonitrile, 4-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-5-methyl-3-(trifluoromethyl)- (CA INDEX NAME)

RN 933768-53-7 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 2-(2-chloro-6-methylphenyl)-10-fluoro-3,8-dihydro-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 933768-52-6 CMF C21 H14 C1 F N4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-55-9 CAPLUS

CN Benzonitrile, 2-(10-fluoro-3,8-dihydroimidazo[4,5-d]pyrido[2,3-b][1]benzazepin-2-yl)-3-methyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 933768-54-8 CMF C22 H14 F N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-56-0 CAPLUS

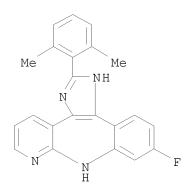
CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 2-(2,6-dimethylphenyl)-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933768-57-1 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 2-(2,6-dimethylphenyl)-10-fluoro-3,8-dihydro-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 933768-56-0 CMF C22 H17 F N4



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-58-2 CAPLUS

CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,
2-(3,5-dichloro-4-pyridinyl)-10-fluoro-3,8-dihydro- (CA INDEX NAME)

CM 1

CRN 933768-58-2 CMF C19 H10 C12 F N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-60-6 CAPLUS CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine, 2-(2,4-dimethyl-3-pyridinyl)-10-fluoro-3,8-dihydro- (CA INDEX NAME)

RN 933768-61-7 CAPLUS CN Imidazo[4,5-d]pyrido[2,3-b][1]benzazepine,

2-(2,4-dimethyl-3-pyridinyl)-10-fluoro-3,8-dihydro-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 933768-60-6 CMF C21 H16 F N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-63-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,6-dichlorophenyl)-1,8-dihydro- (CA INDEX NAME)

RN 933768-64-0 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[3-methyl-5-(1,1,2,2,2-pentafluoroethyl)-1H-pyrazol-4-yl](CA INDEX NAME)

TT 933768-21-9P 933768-23-1P 933768-29-7P 933768-32-2P 933768-34-4P 933768-39-9P 933768-40-2P 933768-41-3P 933768-42-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of tetracyclic inhibitors of Janus kinases)

RN 933768-21-9 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(3,5-dichloro-4-pyridinyl)-3,8-dihydro-3-hydroxy- (CA INDEX NAME)

RN 933768-23-1 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-(2,6-dichlorophenyl)-3,8-dihydro-3-hydroxy- (CA INDEX NAME)

RN 933768-29-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:3',4'-f]azepine,
2-(3,5-dichloro-4-pyridinyl)-3,8-dihydro-3-hydroxy- (CA INDEX NAME)

RN 933768-32-2 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
1,8-dihydro-2-[5-methyl-1-(tetrahydro-2H-pyran-2-yl)-3-(trifluoromethyl)1H-pyrazol-4-yl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 933768-31-1 CMF C23 H20 F3 N7 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-34-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
3,8-dihydro-3-hydroxy-2-[5-methyl-1-(tetrahydro-2H-pyran-2-yl)-3(trifluoromethyl)-1H-pyrazol-4-yl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 933768-33-3 CMF C23 H20 F3 N7 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-39-9 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[3-(difluoromethyl)-5-methyl-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-

yl]-3,8-dihydro-3-hydroxy- (CA INDEX NAME)

RN 933768-40-2 CAPLUS

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-[2,6-dichloro-4-[[[tris(1-methylethyl)silyl]oxy]methyl]phenyl]-3,8-dihydro-3-hydroxy- (CA INDEX NAME)

RN 933768-41-3 CAPLUS

CN Benzenemethanol, 3,5-dichloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 933766-14-4 CMF C20 H13 C12 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 933768-42-4 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2,6-dichloro-4-(chloromethyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine, 2-(2,6-dichlorophenyl)-1,8-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 1182709-65-4 CAPLUS

CN Benzenemethanol, 3-chloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)- (CA INDEX NAME)

RN 1182709-67-6 CAPLUS

CN Benzeneacetonitrile, 3-chloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)- (CA INDEX NAME)

RN 1182709-68-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepine,
2-[2-chloro-4-(methylsulfinyl)phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 1182709-69-8 CAPLUS
CN Phenol, 3-chloro-4-(1,8-dihydroimidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepin-2-yl)- (CA INDEX NAME)

RN 1182709-83-6 CAPLUS
CN Imidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepine,
2-[2-chloro-4-[(1-methylethyl)sulfonyl]phenyl]-1,8-dihydro- (CA INDEX NAME)

RN 1182709-84-7 CAPLUS
CN Imidazo[4,5-d]dipyrido[3,4-b:3',2'-f]azepine,
2-(2-chloro-6-methoxyphenyl)-1,8-dihydro- (CA INDEX NAME)

RN 1182709-99-4 CAPLUS
CN Benzamide, 5-(2-amino-1-methyl-2-oxoethoxy)-3-chloro-2-(1,8-dihydroimidazo[4,5-d]dipyrido[2,3-b:4',3'-f]azepin-2-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 23 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:150615 CAPLUS

DOCUMENT NUMBER: 146:201595

TITLE: Use of a GSK-3 inhibitor to maintain potency of

cultured multipotent non-embryonic progenitor cells

INVENTOR(S): Mays, Robert W.

PATENT ASSIGNEE(S): Athersys, Inc., USA SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	PATENT NO.						KIND		DATE		APPLICATION NO.						DATE			
		2007016485 2007016485					A2 20070208 A3 20070322			,	WO 2	006-	JS29		20060731					
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IJ	KG, KZ, MD, US 20080194021						,	1M 20080814 US 2008-996890						2	20080125					
PRIORITY APPLN. INFO.:								US 2005-704169					69P		P 20050729					
										,	WO 2	006-1	JS29	736	1	v 20060731				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 146:201595

The present invention is directed to the culture of non-embryonic cells, that can differentiate into cell types of more than one embryonic lineage, in culture under conditions that maintain differentiation capacity during expansion. In particular, the invention relates to culturing non-embryonic cells in the presence of at least one GKS-3 inhibitor, such as 6-bromoindirubin-3'-oxime (BIO). It was shown that the addition of BIO, or other GSK-3 inhibitors (including other indirubins), to non-embryonic cells, including multipotent adult progenitor cells, leads to the maintenance of a pluripotent phenotype for the cells, leading to more robust differentiation responses. Thus, this class of compds. provides an improvement in non-embryonic cell culturing and the ability to maintain pluripotency during expansion.

IT 676596-65-9

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(GSK-3 inhibitor; use of GSK-3 inhibitor to maintain potency of cultured multipotent non-embryonic progenitor cells)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 24 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2006:1176630 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 145:489215

TITLE: Azapaullones as immunomodulators, their preparation,

pharmaceutical compositions, and use for preventing

and treating pancreatic autoimmune disorders INVENTOR(S):

Mussmann, Rainer; Kunick, Conrad; Stukenbrock,

Hendrik; Geese, Marcus; Kegel, Simone; Burk, Ulrike PATENT ASSIGNEE(S):

Develogen Aktiengesellschaft, Germany; Technische

Universitaet Carolo-Wilhelmina zu Braunschweig

SOURCE: PCT Int. Appl., 83pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

]	PATENT NO.						KIND DATE				APPL:	ICAT	ION 1	DATE				
	wo	7O 2006117221					_	20061109			 WO 2	 006-:	 EP41		20060504			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
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PRIORITY APPLN. INFO.: EP 2005-9846 A																		
EP 2005-15986 A													0050					
EP 2005-23168 A 2005														-				
EP 2006-1327 A 20060														_				
WO 2006-EP4186 W 20060													504					
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OTHER SOURCE(S): CASREACT 145:489215; MARPAT 145:489215 GT

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to azapaullones of general formula I, which are immunomodulators. In compds. I, R1 and R2 are independently selected from H, (un)substituted C1-6 alkyl, and (un)substituted  $\tilde{\text{C2}}$ -7 acyl; each R3 and R4 is independently selected from halo, cyano, nitro, OR1, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C2-6 alkynyl, (un) substituted C3-10 cycloalkyl, (un) substituted C3-10 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted 5- to 10-membered

heteroaryl; and each of m and n is independently 0-3. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound

of

formula I optionally together with pharmaceutically acceptable carriers, diluents, and adjuvants and optionally including an immunosuppressive agent, as well as to the use of the compns., particularly in combination with immunomodulating agents, in the prevention, and/or treatment of pancreatic autoimmune disorders, e.g., type I diabetes, latent autoimmune diabetes in adults (LADA), and neurodegenerative disorders. Condensation of pyridoazepinedione II with 4-hydrazinobenzonitrile gave the corresponding hydrazone, which underwent heterocyclization to give pyridoazepinoindole III. The compds. of the invention are immunomodulators, e.g., III expressed IC50 values of 15 nM and 500 nM to glycogen synthase kinase-3 (GSK3) and cyclin-dependent kinase 1 (CDK1)/cyclinB, resp.

IT 914088-60-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of azapaullones for treatment and prevention of pancreatic autoimmune disorders)

RN 914088-60-1 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro-9-methoxy-(CA INDEX NAME)

TT 914088-62-3P 914088-64-5P 914088-65-6P 914088-67-8P 914088-69-0P 914088-70-3P 914088-72-5P 914088-73-6P 914088-77-0P 914088-79-2P 914088-81-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azapaullones for treatment and prevention of pancreatic autoimmune disorders)

RN 914088-62-3 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indole-9-carboxylic acid, 5,6,7,12-tetrahydro-6-oxo- (CA INDEX NAME)

RN 914088-64-5 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indole-9-carbonitrile, 5,6,7,12-tetrahydro-6-oxo- (CA INDEX NAME)

RN 914088-65-6 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro-9-hydroxy-(CA INDEX NAME)

RN 914088-67-8 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-chloro-7,12-dihydro-(CA INDEX NAME)

RN 914088-69-0 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 8,10-dichloro-7,12-dihydro- (CA INDEX NAME)

RN 914088-70-3 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro-9-methyl-(CA INDEX NAME)

RN 914088-72-5 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-fluoro-7,12-dihydro-(CA INDEX NAME)

RN 914088-73-6 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indole-5,12-diacetic acid, 9-bromo-6,7-dihydro-6-oxo-, 5,12-dimethyl ester (CA INDEX NAME)

RN 914088-77-0 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro- (CA INDEX NAME)

RN 914088-79-2 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro-9-(trifluoromethyl)- (CA INDEX NAME)

RN 914088-81-6 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro-9-iodo- (CA INDEX NAME)

## 10/565,702

IT 676596-65-9

RL: RCT (Reactant); RACT (Reactant or reagent) (starting material; preparation of azapaullones for treatment and prevention of pancreatic autoimmune disorders)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 25 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1176629 CAPLUS

DOCUMENT NUMBER: 145:483725

TITLE: Use of GSK-3 inhibitors for preventing and treating

pancreatic autoimmune disorders

INVENTOR(S): Mussmann, Rainer; Austen, Matthias; Kelter,

Arndt-Rene; Harder, Friedrich; Aicher, Babette; Lomow,

Alexander

PATENT ASSIGNEE(S): Develogen Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 84pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
	WO 2006117212 WO 2006117212						WO 2006-EP4170						20060504					
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	EP 2005-15986 A 20050722										722							
																	0051	
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DISSE	ASSIGNMENT HISTORY FOR HS PATENT AVAILABLE IN LSHS DISPLAY FORMAT																	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 145:483725

AB This invention relates to the use of Pax4 stimulating compds., e.g. Glycogen synthase kinase-3 (GSK-3) inhibitors, particularly in combination with immunomodulating agents, in the prevention, and/or treatment of pancreatic autoimmune disorders, e.g. type I diabetes or LADA. More particularly, this invention relates to the use of compds. selected from paullones, indirubines, substituted ureas, maleimide derivs. and pyrimidine thiones. Further, the present invention relates to a method of identifying and/or characterizing pancreatic beta-cell mitogens by using cells expressing a pancreatic gene or a gene whose function is controlled by a pancreatic gene, particularly the Pax4 gene, and which are

## 10/565,702

transfected with a reporter gene.

IT 676596-65-9, 1-Azakenpaullone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(use of GSK3 inhibitors for preventing and treating pancreatic autoimmune disorders)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 26 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:710823 CAPLUS

DOCUMENT NUMBER: 145:145984

TITLE: Preparation of anti-inflammatory erythromycin

macrolide conjugates

INVENTOR(S): Mercep, Mladen; Mesic, Milan; Markovic, Stribor;

Pesic, Dijana; Ozimec Landak, Ivana; Komac, Marijana; Makaruha Stegic, Oresta; Selmani, Selvira; Banjanac,

Mihailo

PATENT ASSIGNEE(S): Pliva-Istrazivacki Institut D.O.O., Croatia;

Glaxosmithkline Istrazivacki Centar Zagreb D.O.O.

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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	WO 2006-IB1079 W 20060113																		
	ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT																		
OTHER SOURCE(S): CASREACT 145:145984; MARPAT 145:145984																			

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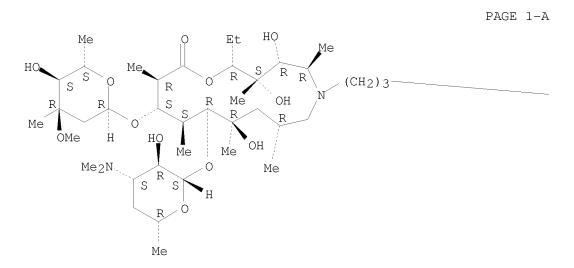
<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates (a) to new compds. represented by formula M-L-D: wherein M represents a macrolide subunit (macrolide moiety) derived from macrolide possessing the property of accumulation in inflammatory cells, D represents a dibenzo[e/z]azulene subunit with anti-inflammatory, analgesic and/or antipyretic activity and L represents a linking group covalently linking M and D; (b) to their pharmacol. acceptable salts,

prodrugs and solvates, (c) to processes and intermediates for their preparation, and (d) to their use in the treatment of inflammatory diseases and conditions in humans and animals. Thus, macrolide conjugate I was prepared and tested in mice and in vitro as antiinflammatory agent, wherein the inflammatory process comprises pro-inflammatory cytokine production, the method further comprising exposing human peripheral leukocytes to an amount of compound effective to reduce production of at least one of  $TNF-\alpha$ ,  $IL-1\alpha$ ,  $IL-1\beta$ , IL-6, IL-8, IL-2, IL-5, and  $IFN-\alpha$ , compared to control leukocytes. 899810-20-9P 899810-21-0P 899810-22-1P 899810-23-2P 899810-24-3P 899810-57-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antiinflamatory erythromycin macrolide conjugates) 899810-20-9 CAPLUS

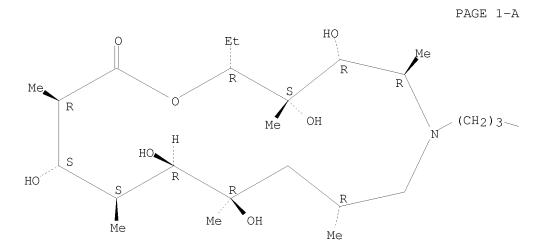
RN 899810-20-9 CAPLUS CN 8H-Dibenzo[b,f]thieno[2,3-d]azepine-2-carboxamide, N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-13-[(2,6-dideoxy-3-C-methyl-3-0-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy- 3,5,8,10,12,14-hexamethyl-15-oxo-11-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadec-6-yl]propyl]- (CA INDEX NAME)



PAGE 1-B

RN 899810-21-0 CAPLUS

CN 8H-Dibenzo[b,f]thieno[2,3-d]azepine-2-carboxamide,
N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-2-ethyl-3,4,10,11,13pentahydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-1-oxa-6-azacyclopentadec-6yl]propyl]- (CA INDEX NAME)



PAGE 1-B

RN 899810-22-1 CAPLUS

CN 1-0xa-6-azacyclopentadecan-15-one,  $13-[[2,6-dideoxy-4-C-[[[2-[(8H-dibenzo[b,f]thieno[2,3-d]azepin-2-ylcarbonyl)amino]ethyl]amino]methyl]-3-C-methyl-3-O-methyl-\alpha-L-ribo-hexopyranosyl]oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-<math>\beta$ -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

PAGE 1-B

PAGE 2-B

RN 899810-23-2 CAPLUS

CN 8H-Dibenzo[b,f]thieno[2,3-d]azepine-2-carboxamide, 8-benzoyl-N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadec-6-yl]propyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 899810-24-3 CAPLUS

CN 2-Propenamide,  $3-(8H-dibenzo[b,f]thieno[2,3-d]azepin-2-y1)-N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-<math>\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadec-6-yl]propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-B

RN 899810-57-2 CAPLUS

CN 2-Propenamide,  $3-(8H-dibenzo[b,f]thieno[2,3-d]azepin-2-y1)-N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-<math>\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadec-6-yl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-B

CN 8H-Dibenzo[b,f]thieno[3,2-d]azepine-2-carboxylic acid (CA INDEX NAME)

10/565,702

RN 899810-77-6 CAPLUS

CN 8H-Dibenzo[b,f]thieno[2,3-d]azepine-2-carboxylic acid, 8-benzoyl- (CA INDEX NAME)

RN 899810-78-7 CAPLUS

CN 2-Propenoic acid, 3-(8H-dibenzo[b,f]thieno[2,3-d]azepin-2-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 27 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:195980 CAPLUS

DOCUMENT NUMBER: 144:274313

TITLE: Preparation of tetraaza-benzo[f]azulenes as

vasopressin Vla antagonists

INVENTOR(S): Andrzej, Roman Batt; Baxter, Andrew John; Heeney,

Celine; Stockley, Martin Lee; Bryan Roe, Michael;

Hudson, Peter; Handy, Rachel

PATENT ASSIGNEE(S): Ferring B.V., Neth. SOURCE: PCT Int. Appl., 463 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:						KIND DATE			APPLICATION NO.						DATE				
					A2 20060302 A3 20060817					WO 2005-DK540					20050824				
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AU 2009201169 A1 20090423 AU 2009-201169 20090324
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EP 2004-104062 A 20040824
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AU 2005-276790 A3 20050824
CA 2005-2567776 A3 20050824
WO 2005-DK540 W 20050824
KR 2007-700447 A3 20070108
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:274313; MARPAT 144:274313

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- The title compds. I [G = NR5R6, II-V; A1 = CH2, CH(OH), NH, N(alkyl), OAΒ and S; A2 = CH2, CH(OH), C(O), NH; A3, A12 = S, NH, N(alkyl), etc.; A4, A13 = CR9, N; A5, A14 = CR10, N; A6 = CH2, NH, N(alkyl), O; A7, A11 = C, N; A8, A9 = CH, N, NH, S, etc.; A10 = CH:CH, CH, N, NH, etc.; the ring constituted by A7-A11 is aromatic; R1-R3 = H, alkyl, O(alkyl), NO2, F, C1, Br; R4 = H, alkyl, aryl, heteroaryl, etc.; R5, R6 = alkyl, aryl, (CH2)f-aryl, (CH2)f-heteroaryl; R9, R10 = H, alkyl, alkoxy, etc.; W = O, NH; X = (CH2)m, C(O), SOj; Y = O, S, NH, N(alky1); a, f, j = 1-2; m = 0-2; with provisos] which are vasopressin Vla receptor antagonists, were prepared and formulated. E.g., a multi-step synthesis of 4-(3,3-dimethylbutyl)piperazine-1-carboxylic acid 4-(3,6-dimethyl-4,10-dihydro-3H-2,3,4,9-tetraaza-benzo[f]azulene-9carbonyl)-2-fluorobenzylamide, starting from 4-(tert-butoxycarbonylamino-methyl)-3-fluorobenzoic acid and 3,6-dimethyl-3,4,9,10-tetrahydro-2,3,4,9-tetraazabenzo[f]azulene (prepns. of the reactants was provided), was given. Compds. I were assayed to determine their ability to inhibit the cellular consequences of AVP stimulation on intact cells. In the assay, compds. I cause significant inhibition of cellular activation at concns. of 30  $\mu\text{M}$  or less. Preferred compds. I cause significant inhibition at concns. of 300 nM. Pharmaceutical compns. of the compds. I are useful as treatment of dysmenorrhea.
- IT 877860-01-0P
  - RL: BYP (Byproduct); PREP (Preparation)
    - (preparation of tetraaza-benzo[f]azulenes as vasopressin Vla antagonists)
- RN 877860-01-0 CAPLUS
- CN Methanone, (4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)phenyl- (CA INDEX NAME)

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IT 877858-04-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tetraaza-benzo[f]azulenes as vasopressin V1a antagonists)

RN 877858-04-3 CAPLUS

CN 4-Piperidinecarboxamide, N-[[4-[[4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-methylphenyl]methyl]-1-(3,3-dimethylbutyl)- (CA INDEX NAME)

PAGE 1-A

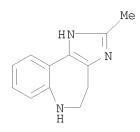
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetraaza-benzo[f]azulenes as vasopressin V1a antagonists) RN 318237-73-9 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-methyl- (CA INDEX NAME)



RN 877843-65-7 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 9-chloro-1,4,5,6-tetrahydro-2-methyl- (CA INDEX NAME)

RN 877844-13-8 CAPLUS

CN 4-Piperidinecarboxamide, N-[[4-[(9-chloro-4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-2-methylphenyl]methyl]-1-(3,3-dimethylbutyl)- (CA INDEX NAME)

PAGE 2-A

RN 877844-14-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[[4-[(4,5-dihydro-2,9-dimethylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-2-methylphenyl]methyl]-1-(3,3-dimethylbutyl)- (CA INDEX NAME)

PAGE 2-A

RN 877844-15-0 CAPLUS

CN 4-Piperidinecarboxamide, N-[[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]methyl]-1-(3,3-dimethylbutyl)- (CA INDEX NAME)

PAGE 2-A

RN 877844-16-1 CAPLUS

CN 4-Piperidinecarboxamide, N-[[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-2-methylphenyl]methyl]-1-(3,3-dimethylbutyl)- (CA INDEX NAME)

PAGE 2-A

RN 877847-45-5 CAPLUS

CN 1-Piperazinecarboxamide, N-[[4-[(4,5-dihydro-2,9-dimethylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]cyclohexyl]methyl]-4-(3,3-dimethylbutyl)-(CA INDEX NAME)

PAGE 2-A

RN 877853-91-3 CAPLUS

CN 1-Propanone, 3-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-2-methylphenyl]-1-[4-(3,3-dimethylbutyl)-1-piperazinyl]- (CA INDEX NAME)

PAGE 2-A

RN 877857-70-0 CAPLUS

CN Butanamide, N-[[4-[(4,5-dihydro-2,9-dimethylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-3-fluorophenyl]methyl]- (CA INDEX NAME)

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RN 877857-74-4 CAPLUS

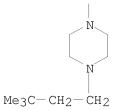
CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)[4-[3-[4-(3,3-dimethylbutyl)-1-piperazinyl]propoxy]-3-fluorophenyl]- (CA INDEX NAME)

RN 877857-75-5 CAPLUS

CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)[4-[3-[4-(3,3-dimethylbutyl)-1-piperazinyl]propoxy]-3-methylphenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



RN 877858-03-2 CAPLUS

CN Cyclopropanecarboxamide, N-[[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]methyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 877858-05-4 CAPLUS

CN Cyclopropanecarboxamide, N-[[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-2-methylphenyl]methyl]- (CA INDEX NAME)

PAGE 2-A

RN 877858-06-5 CAPLUS

CN Propanamide, N-[[4-[(9-chloro-4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-2-methylphenyl]methyl]-2-methyl- (CA INDEX NAME)

RN 877858-26-9 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-methyl-1-(phenylmethyl)-(CA INDEX NAME)

RN 877858-27-0 CAPLUS

CN 4H-Oxazolo[4,5-d][1]benzazepine, 5,6-dihydro-2-methyl- (CA INDEX NAME)

RN 877859-73-9 CAPLUS

CN 1-Piperazinecarboxamide, N-[[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-2-methylphenyl]methyl]-4-(3,3-dimethylbutyl)- (CA INDEX NAME)

PAGE 2-A

RN 877859-75-1 CAPLUS

CN 1-Piperazinecarboxamide, N-[[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]methyl]-4-(3,3-dimethylbutyl)- (CA INDEX NAME)

PAGE 2-A

CN Methanone, [4-(aminomethyl)-2-fluorophenyl](4,5-dihydro-2,9-dimethylimidazo[4,5-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

Me 
$$HN$$
  $N$   $C = 0$   $F$   $H_2N-CH_2$ 

RN 1172624-86-0 CAPLUS

CN Methanone, [4-(aminomethyl)cyclohexyl](4,5-dihydro-2,9-dimethylimidazo[4,5-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

Me
$$HN$$
 $N$ 
 $C = O$ 
 $H_2N-CH_2$ 

ΙT	877858-24-7P	877858-25-8P	877858-98-5P
	877858-99-6P	877859-00-2P	877859-01-3P
	877859-02-4P	877859-03-5P	877859-41-1P
	877859-42-2P	877859-44-4P	877859-45-5P
	877859-46-6P	877859-49-9P	877859-50-2P
	877859-51-3P		

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetraaza-benzo[f]azulenes as vasopressin V1a antagonists) 877858-24-7 CAPLUS

CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)phenyl- (CA INDEX NAME)

RN

RN 877858-25-8 CAPLUS
CN Methanone, [4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]phenyl- (CA INDEX NAME)

RN 877858-98-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-fluorophenoxy]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 2-A

RN 877858-99-6 CAPLUS

CN Methanone, [4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl][3-fluoro-4-[3-(1-piperazinyl)propoxy]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

PAGE 2-A

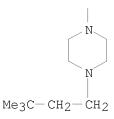


●2 HC1

RN 877859-00-2 CAPLUS

CN Methanone, [4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl][4-[3-[4-(3,3-dimethylbutyl)-1-piperazinyl]propoxy]-3-fluorophenyl]- (CA INDEX NAME)

PAGE 2-A



RN 877859-01-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-methylphenoxy]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 2-A

RN 877859-02-4 CAPLUS

CN Methanone, [4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl][3-methyl-4-[3-(1-piperazinyl)propoxy]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

PAGE 2-A

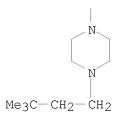


●2 HC1

RN 877859-03-5 CAPLUS

CN Methanone, [4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl][4-[3-[4-(3,3-dimethylbutyl)-1-piperazinyl]propoxy]-3-methylphenyl]- (CA INDEX NAME)

PAGE 2-A



RN 877859-41-1 CAPLUS

CN Carbamic acid, [[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 877859-42-2 CAPLUS

CN Methanone, [4-(aminomethyl)-3-methylphenyl](4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)-, hydrochloride(1:1) (CA INDEX NAME)

● HCl

RN 877859-44-4 CAPLUS

CN Benzonitrile, 4-[[4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-methyl- (CA INDEX NAME)

RN 877859-45-5 CAPLUS

CN Methanone, [4-(aminomethyl)-3-methylphenyl][4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]- (CA INDEX NAME)

RN 877859-46-6 CAPLUS

CN Cyclopropanecarboxamide, N-[[4-[[4,5-dihydro-2-methyl-1-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-methylphenyl]methyl]- (CA INDEX NAME)

PAGE 2-A

RN 877859-49-9 CAPLUS

CN Benzonitrile, 4-[[9-chloro-1-(4-cyano-3-methylbenzoyl)-4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A | CN

RN 877859-50-2 CAPLUS

CN Benzonitrile, 4-[(9-chloro-4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]-2-methyl- (CA INDEX NAME)

RN 877859-51-3 CAPLUS

CN Methanone, [4-(aminomethyl)-3-methylphenyl](9-chloro-4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(15 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 28 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:167754 CAPLUS

DOCUMENT NUMBER: 144:254156

TITLE: Preparation of heterocyclic condensed compounds useful

as antidiuretic agents

INVENTOR(S): Pitt, Gary Robert William PATENT ASSIGNEE(S): Ferring B.V., Neth.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
WO	2006						WO 2005-EP54081						20050818					
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							LU,											
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EP	1627			A1 20060222 BE, CH, DE, DK, ES, FR,						EP 2004-104006								
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	2005		-		A1		2006			AU 2	005-	2738	75		2	0050	818	
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	2000.				A A		2007	0300		KD 2	000 . 007-	7002.	387			0070		
	8773.	-	01		B1		2007			1111 2	001	1002	301		2	0070	100	
	2007		61		A		2007	-		MX 2	007-	1861			2	0070	215	
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US 20080234250							2008					6602				0070		
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RIII AFFLN. INCO.:										~ ~ -		~ ~			~ ~ ~ 0	~~~		
/LII.										US 2	004-	6028	90P		P 2	0040	820	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 144:254156; MARPAT 144:254156

GI

$$\begin{bmatrix} R^7 & X & A & B^2 & B^2 & B^3 & B^4 &$$

AB The title compds. I [W = N, CR4; X = O, S, C(O), etc.; G1 = bicyclic or tricyclic fused azepine; R1, R2 = H, halo, alkyl, etc.; R3 = H, alkyl; R4-R7 = H, halo, alkyl, etc.; a = 1-3] which are vasopressin V2 receptor agonists, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 1,2-difluoro-3-nitrobenzene and  $\beta$ -alanine Me ester hydrochloride, was given. V2 receptor agonist activity was determined for all compds. and all the compds. I cause significant cellular activation at 30  $\mu$ M or less. Pharmaceutical compns. of the compds. I are useful as antidiuretic agents.

Ι

II

IT 877230-00-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic condensed compds. useful as antidiuretic agents)

RN 877230-00-7 CAPLUS

CN 1(2H)-Quinoxalinecarboxamide, N-[[4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]methyl]-8-fluoro-3,4-dihydro-3-oxo- (CA INDEX NAME)

PAGE 2-A

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 29 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1026867 CAPLUS

DOCUMENT NUMBER: 143:319140

TITLE: Methods and compositions related to regulation of

cytokine production by glycogen synthase kinase 3

(GSK-3)

INVENTOR(S):
Martin, Michael

PATENT ASSIGNEE(S): The Uab Research Foundation, USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					IND DATE		APPLICATION NO.						DATE					
					A2				,	WO 2	005-	US75		20050309					
WO	2005	0868	14		А3		2006	1102											
	W:	ΑE,	AG,	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,		
		SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
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		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,		
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		MR,	NE,	SN,	TD,	ΤG													
US	US 20080175923					20080724			US 2006-598671					20060907					
PRIORIT	RIORITY APPLN. INFO.:								US 2004-551646P						P 20040309				
									WO 2005-US7586					W 20050309					

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB This invention relates generally to a method of treating inflammation and associated diseases and disorders by administering an agent that inhibits glycogen synthase kinase 3 activity.

IT 676596-65-9, 1-Azakenpaullone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods and compns. related to regulation of cytokine production by inhibitors of glycogen synthase kinase 3 for treatment of inflammation)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

OS.CITING F	REF COUNT:	2	THERE 2	ARE 2	CAPLUS	RECORDS	THAT	CITE	THIS	RECORD
			(2 CIT	INGS)						
REFERENCE (	COUNT:	2	THERE 2	ARE 2	CITED :	REFERENCI	ES AVA	AILABI	LE FO	R THIS
			RECORD	. ALL	CITATIO	ONS AVAII	LABLE	IN TH	HE RE	FORMAT

L28 ANSWER 30 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:471945 CAPLUS

DOCUMENT NUMBER: 143:13343

TITLE: 1-Thia-3-azadibenzo[e,h]azulene pharmaceuticals for

the treatment of central nervous system diseases

INVENTOR(S): Mercep, Mladen; Mesic, Milan; Modric, Marina; Pesic,

Dijana; Kidemet, Davor

PATENT ASSIGNEE(S): Pliva-Istrazivacki Institut D.O.O., Croatia

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	KIND DATE				APPL	ICAT		DATE								
WO	2005	0490:	20		A1 20050602					WO 2	004-	HR55	20041119				
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EP	1684	751			A1 20060802					EP 2	004-	7987.	34		2	0041	119
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	2007							0517		JP 2	006-	5406.	32		2	0041	119
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ES 2291960									ES 2004-798734							0041	
US 20070078123					A1		2007	0405		US 2	006-	5959.	29		2	0060	811
ORIT	ORITY APPLN. INFO.:										003-						
										WO 2	004 - 1	HR55			_	0041	119

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 143:13343

- AB The present invention relates to the use of derivs. from the group of 1-thia-3-azadibenzo[e,h]azulenes and of their salts and solvates for the manufacture of a pharmaceutical formulation for the treatment and prevention of diseases, damages and disorders of the central nervous system (CNS) caused by disorders of the neurochem. equilibrium of biogenic amines or other neurotransmitters.
- IT 852461-60-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(thia-azadibenzoazulene pharmaceuticals for treatment of central nervous system diseases)

- RN 852461-60-0 CAPLUS
- CN Ethanone, 1-[2-(4-pyridinyl)-8H-dibenzo[b,f]thiazolo[4,5-d]azepin-8-yl]-(CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 31 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:220132 CAPLUS

DOCUMENT NUMBER: 142:298092

TITLE: Preparation of azepino[4,5-b]indole derivatives as

modulators of nuclear receptors

INVENTOR(S): Busch, Brett; Flatt, Brenton T.; Gu, Xiao-Hui; Martin,

Richard; Mohan, Raju; Wang, Tie-Lin; Wu, Jason H.

PATENT ASSIGNEE(S): X-Ceptor Therapeutics Inc., USA; Exelixis, Inc.

SOURCE: U.S. Pat. Appl. Publ., 106 pp., Cont.-in-part of U.S.

Ser. No. 447,302. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KINI					APPLICATION NO.								
US	2005	0054			A1		2005	0310			2003-					0031		
	7595	-			В2		2009											
	2004		947		A1				US 2003-447302						20030527			
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	2006				A		2006				2006-		Ι/			20060		
NO 2006003080 US 20090326218				A		2006			-	2006-		<b>C</b> O			20060			
					A1		2009				2009-					20090		
	2010				A1		2010	0/08			2009-					20090		
OKIT	Y APP	ьN.	TNEO	.:							2002-					20020		
											2003-					20030		
											2003-					20031		
										WO	2004 - 1	JS40	352		W 2	0041	∠UI	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:298092; MARPAT 142:298092

AΒ The title compds. (I) [R1 = -C(J)OR14, -C(J)SR14, (un)substituted]-C(J)NH2; J = O, S, (un) substituted NH; R2 = H, halo, (un) substituted alkyl; R3 = -C(0)R9; R4, R5, R6 and R7 are together selected from (a), (b), etc. below: (a) R4, R5 = H or halo and R6, R7 = halo, each (un) substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, etc.; or R6 and R7, together with the carbon atom to which they are attached, form each (un) substituted cycloalkyl, heterocyclyl, cycloalkenyl, alkylidene, cycloalkylidene, heterocyclylidene, aralkylidene or substituted heteroaralkylidene; (b) R4, R5 = halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, or heteroaralkyl, etc.; or R4 and R5, together with the carbon atom to which they are attached, form (un) substituted cycloalkyl, heterocyclyl, cycloalkenyl, alkylidene, cycloalkylidene, heterocyclylidene, aralkylidene or heteroaralkylidene, and R6, R7 = H or halo; R8a, R8b, R8c, R8d = H, halo, pseudohalo, cyano, azido, amidino, guanidino, each (un) substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, etc.; R14 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, etc.] are prepared These compds. modulate nuclear receptors, in particular farnesoid X receptor and are agonists, partial agonists, inverse agonists, partial antagonists, or antagonists of farnesoid X receptor. They are useful for the treatment, prevention, or amelioration of one or more symptoms of disease or disorder directly or indirectly related to the activity of the above receptors, including hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, dyslipidemia, lipodystrophy, atherosclerosis, atherosclerotic disease, atherosclerotic disease events, atherosclerotic cardiovascular disease, Syndrome X, diabetes mellitus, type II diabetes, insulin insensitivity, hyperglycemia, cholestasis and obesity. Thus, to a solution of Et 1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate (52 mg, 0.2 mmol) in CH2Cl2 was added 4-fluorobenzoyl chloride (36  $\mu$ L, 0.2 mmol) and TEA (56  $\mu L$ , 0.4 mmol) and the mixture was shaken overnight at 20°, treated with Trisamine resin (50 mg), and shaken for 2 h at  $20^{\circ}$ . The resin was removed by filtration through a Florisil cartridge. Evaporation of solvent gave a crude product, which was purified by trituration with methanol to give Et 3-(4-fluorobenzoyl)-1,2,3,6-tetrahydroazepino[4,5-b]indole-5carboxylate. Et 3-(3,4-difluorobenzoyl)-1-methyl-1,2,3,6tetrahydroazepino[4,5-b]indole-5-carboxylate was administered daily by oral gage for 7 days to young adult male mice. Plasma total cholesterol and triglyceride levels were significantly lowered.

IT 629664-84-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of azepino[4,5-b]indole derivs. as modulators of nuclear receptors, in particular farnesoid X receptor)

RN 629664-84-2 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopentane]-5-carboxylic acid, 3,6-dihydro-, ethyl ester (CA INDEX NAME)

IT 629663-80-5P 629664-83-1P 847865-38-7P

847865-39-8P 847865-40-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azepino[4,5-b]indole derivs. as modulators of nuclear receptors, in particular farnesoid X receptor)

RN 629663-80-5 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),2'-[1,3]dioxolane]-5-carboxylic acid, 3-(4-fluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 629664-83-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopentane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-38-7 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclobutane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-39-8 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 847865-40-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopropane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, 1-methylethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L28 ANSWER 32 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:99333 CAPLUS

DOCUMENT NUMBER: 142:198048

TITLE: Azepine derivatives as pharmaceutical agents,

specifically as farnesoid X receptor ligands, and their preparation, pharmaceutical compositions, and

use in the treatment of lipid disorders,

atherosclerosis, and diabetes

INVENTOR(S): Martin, Richard; Wang, Tie-Lin; Flatt, Brenton T.; Gu,

Xiao-Hui

PATENT ASSIGNEE(S): X-Ceptor Therapeutics Inc., USA

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
WO 2005009387 WO 2005009387			WO 2004-US23745	20040723			
CN, CO GE, GH LK, LR NO, NZ TJ, TM RW: BW, GH AZ, BY EE, ES SI, SK	CR, CU, GM, HR, LS, LT, OM, PG, TN, TR, GM, KE, KG, KZ, TR, BF,	CZ, DE, DK, HU, ID, IL, LU, LV, MA, PH, PL, PT, TT, TZ, UA, LS, MW, MZ, MD, RU, TJ, GB, GR, HU,	BA, BB, BG, BR, BW, DM, DZ, EC, EE, EG, IN, IS, JP, KE, KG, MD, MG, MK, MN, MW, RO, RU, SC, SD, SE, UG, US, UZ, VC, VN, NA, SD, SL, SZ, TZ, TM, AT, BE, BG, CH, IE, IT, LU, MC, NL, CI, CM, DA, EC, EE, EG, CM, GA, GN, GQ,	ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW UG, ZM, ZW, AM, CY, CZ, DE, DK, PL, PT, RO, SE,			
CA 2532798 EP 1648408 R: AT, BE IE, SI BR 2004012262 CN 1852748 JP 2006528637	A1 A1 A1 CH, DE, LT, LV, A A T A A A A A A A A A	20050203 20060426 DK, ES, FR, FI, RO, MK, 20060919 20061025 20061221 20060519 20060907 20060424	MX 2006-875	20040723 20040723 NL, SE, MC, PT, EE, HU, PL, SK, HR 20040723 20040723 20040723 20060123 20060123 20060222 20060913			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 142:198048; MARPAT 142:198048

GΙ

AΒ Compds., compns., and methods are provided for modulating the activity of farnesoid X receptors, and for the treatment, prevention, or amelioration of one or more symptoms of diseases or disorders related to the activity of the receptors. In particular, compds. I are disclosed [wherein: X = O, S(0)0-2, NH or its alkyl, acylated, oxyacylated, or sulfonylated derivs.; Y = (un) substituted CH or N; Z = (un) substituted CH or N; or YZ bond is fused to a carbo- or heterocyclic ring, but not benzo or naphtho; R1, R2, R4-R7 = H, halo, (un)substituted alk(en/yn)yl, (hetero)aryl, numerous functional groups; R3 = H, (un)substituted alk(en/yn)yl, (hetero)aryl, numerous functional groups; R4R5 and/or R6R7 may form oxo, thioxo, (un) substituted imino or oxime or hydrazone, or an exocyclic double bond; or R4R5, R4R6, R4R7, R5R6, R5R7, and/or R6R7 may form ring(s); including isomer(s), solvates, polymorphs, prodrugs, and pharmaceutically acceptable salts]. Fifteen synthetic examples and several biol. examples are given. For instance, thiophene-3-acetonitrile was converted to invention compound II in four steps: (1)  $di-\alpha$ -methylation using NaH and MeI in DMF; (2) reduction of the nitrile to a primary amine using LiAlH4; (3) cyclocondensation of the amine with Et bromopyruvate to form the azepine ring; and (4) N-acylation using 3,4-difluorobenzoyl chloride. II exhibited agonist activity at 100 nM or less, with > 100% efficacy (vs. CDCA), as measured in a co-transfection assay using full length human farnesoid X receptor.

IT 837429-84-2P, 3,6,7,8-Tetrahydroimidazo[4,5-d]azepine-4-carboxylic acid ethyl ester

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of azepine derivs. as farnesoid X receptor ligands for treatment of lipid disorders, atherosclerosis, and diabetes)

RN 837429-84-2 CAPLUS

CN Imidazo[4,5-d]azepine-4-carboxylic acid, 3,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

ΙT 837429-85-3P, 6-(4-Fluorobenzoyl)-3,6,7,8-tetrahydroimidazo[4,5-4]d]azepine-4-carboxylic acid ethyl ester 837429-86-4P, 6-(3,4-Difluorobenzoyl)-5,6-dihydro-4H-thieno[2,3-d]azepine-8-carboxylic acid ethyl ester 837429-88-6P, 3-(4-Fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydroazepino[4,5-b]indole-5carboxylic acid ethyl ester 837429-89-7P, 3-(4-Fluorobenzoyl)-1,1-dimethyl-1,2,3,6,7,8,9,10-octahydroazepino[4,5b]indole-5-carboxylic acid ethyl ester 837429-90-0P, 6-(3,4-Difluorobenzoyl)-4,4-dimethyl-5,6-dihydro-4H-thieno[2,3-d]azepine-8carboxylic acid ethyl ester 837429-91-1P, 6-(3,4-Difluorobenzoyl)-4,4-dimethyl-1,4,5,6-tetrahydropyrrolo[2,3d]azepine-2,8-dicarboxylic acid diethyl ester 837429-92-2P, 6-(3,4-Difluorobenzoyl)-4,4-dimethyl-1,4,5,6-tetrahydropyrrolo[2,3d]azepine-2,8-dicarboxylic acid 2-ethyl ester 8-isopropyl ester 837429-93-3P, 6-(3,4-Difluorobenzoyl)-1,4,4-trimethyl-1,4,5,6tetrahydropyrrolo[2,3-d]azepine-2,8-dicarboxylic acid 2-ethyl ester 8-isopropyl ester RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; preparation of azepine derivs. as farnesoid X receptor ligands for treatment of lipid disorders, atherosclerosis, and diabetes) 837429-85-3 CAPLUS RN CN Imidazo[4,5-d]azepine-4-carboxylic acid, 6-(4-fluorobenzoyl)-3,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

RN 837429-86-4 CAPLUS
CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid,
6-(3,4-difluorobenzoyl)-5,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-88-6 CAPLUS

CN Azepino[4,5-b]indole-5-carboxylic acid, 3-(4-fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydro-, ethyl ester (CA INDEX NAME)

RN 837429-89-7 CAPLUS

CN Azepino[4,5-b]indole-5-carboxylic acid, 3-(4-fluorobenzoyl)-1,2,3,6,7,8,9,10-octahydro-1,1-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-90-0 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 6-(3,4-difluorobenzoyl)-5,6-dihydro-4,4-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837429-91-1 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-diethyl ester (CA INDEX NAME)

RN 837429-92-2 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-4,4-dimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 837429-93-3 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 6-(3,4-difluorobenzoyl)-1,4,5,6-tetrahydro-1,4,4-trimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

837429-95-5P, 5,6-Dihydro-4H-thieno[2,3-d]azepine-8-carboxylic acid ethyl ester 837429-96-6P,
4,4-Dimethyl-5,6-dihydro-4H-thieno[2,3-d]azepine-8-carboxylic acid ethyl ester 837430-02-1P, 4,4-Dimethyl-1,4,5,6-tetrahydropyrrolo[2,3-d]azepine-2,8-dicarboxylic acid diethyl ester 837430-03-2P,
4,4-Dimethyl-1,4,5,6-tetrahydropyrrolo[2,3-d]azepine-2,8-dicarboxylic acid 2-ethyl ester 8-isopropyl ester 837430-05-4P,
1,4,4-Trimethyl-1,4,5,6-tetrahydropyrrolo[2,3-d]azepine-2,8-dicarboxylic acid 2-ethyl ester 8-isopropyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of azepine derivs. as farnesoid X receptor ligands for treatment of lipid disorders, atherosclerosis, and diabetes)

RN 837429-95-5 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 5,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 837429-96-6 CAPLUS

CN 4H-Thieno[2,3-d]azepine-8-carboxylic acid, 5,6-dihydro-4,4-dimethyl-, ethyl ester (CA INDEX NAME)

RN 837430-02-1 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 1,4,5,6-tetrahydro-4,4-dimethyl-, 2,8-diethyl ester (CA INDEX NAME)

RN 837430-03-2 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 1,4,5,6-tetrahydro-4,4-dimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

RN 837430-05-4 CAPLUS

CN Pyrrolo[2,3-d]azepine-2,8-dicarboxylic acid, 1,4,5,6-tetrahydro-1,4,4-trimethyl-, 2-ethyl 8-(1-methylethyl) ester (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 33 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:902218 CAPLUS

DOCUMENT NUMBER: 141:400891

TITLE: Drug for nerve regeneration containing glycogen

synthase kinase-3 inhibitors

INVENTOR(S): Morishita, Tsuyoshi; Sakurada, Kazuhiro; Suzuki,

Keiko; Ikeda, Shunichi

PATENT ASSIGNEE(S): Kyowa Hakko Koqyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND DATE			-	APPL	ICAT		DATE						
	WO	0 2004091663				A1 20041028				-	WO 2	004-	 JP55	03		20040416				
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,		
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
			ΤJ,	TM,	TN,	TR,	ΤT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,		
			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,		
			ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,		
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,		
			TD,	ΤG																
	CA	2522	712			A1 20041028				1	CA 2	004 -	2522	20040416						
	ΕP	1645	286			Α1		2006	0412	EP 2004-728057						2	0040	416		
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,		
			IE,	SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK						
	CN	1774	265			А		2006	0517	1	CN 2	004-	8001	0384		2	0040	416		
	US	2006	0217.	368		A1		2006	0928		US 2	005-	5520	61		2	0051	004		
PRIO	RIT	Y APP	LN.	INFO	.:					1	JP 2	003-	1145	79		A 2	0030	418		
										,	WO 2	004-	JP55	03	1	W 2	0040	416		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 141:400891

It is intended to provide a drug for nerve degeneration, a nerve stem cell neurogenesis promoter, a neuron obtained by culturing a nerve stem cell in the presence of the neurogenesis promoter, and a method of producing the neuron. To achieve the above objects, a drug for nerve degeneration which contains as the active ingredient a substance inhibiting the activity of a glycogen synthase kinase-3, a nerve stem cell neurogenesis promoter containing this substance as the active ingredient, a neuron obtained by culturing a nerve stem cell in the presence of the neurogenesis promoter, and a method of producing the neuron are provided. The above-described drugs are useful as remedies for nerve diseases such as Parkinson's disease, Alzheimer's disease, Down's disease, cerebrovascular disorder, cerebral stroke, spinal injury, Huntington's chorea, multiple sclerosis, amyotrophic lateral sclerosis, epilepsy, anxiety disorder, integration dysfunction syndrome, depression and manic-depressive. The effects of lithium chloride, Kenpaullone, indirubin-3'-monoxime, and short interference RNA (siRNA) on neurogenesis promotion were in vitro tested. Also, a tablet SB-216763 5 mg/100 mg tablet was formulated.

IT 252894-50-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (glycogen synthase kinase-3 inhibitors for nerve regeneration)

RN 252894-50-1 CAPLUS

CN Pyrido[3',2':4,5]pyrrolo[3,2-d][1]benzazepin-6(5H)-one, 7,12-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 34 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:848383 CAPLUS

DOCUMENT NUMBER: 142:6329

TITLE: Synthesis of the sterically fixed biliverdin derivative bearing the Z-anti C/D-ring component

AUTHOR(S): Hammam, Mostafa A. S.; Murata, Yasue; Kinoshita,

Hideki; Inomata, Katsuhiko

CORPORATE SOURCE: Division of Material Sciences, Graduate School of

Natural Science and Technology, Kanazawa University,

Kanazawa, 920-1192, Japan

SOURCE: Chemistry Letters (2004), 33(10), 1258-1259

CODEN: CMLTAG; ISSN: 0366-7022

PUBLISHER: Chemical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:6329

GΙ

AB A sterically locked biliverdin derivative I was synthesized by developing an efficient method for the preparation of Z-anti C/D-ring component toward investigation of the stereochem. and function of the phytochrome chromophores.

IT 797050-86-3P 797050-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of the sterically fixed biliverdin derivative bearing the  ${\mbox{\it Z-}}$ anti  ${\mbox{\it C/D-}}$ ring component)

RN 797050-86-3 CAPLUS

CN Dipyrrolo[1,2-a:2',3'-d]azepine-3-propanoic acid,

 $8-\text{ethyl-}2-\text{formyl-}1,4,5,7-\text{tetrahydro-}9-\text{methyl-}7-\text{oxo-},\ 2-\text{propen-}1-\text{yl}$  ester (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{H}_2\text{C} = \text{CH} - \text{CH}_2 - \text{O} - \text{C} - \text{CH}_2 - \text{CH}_2 \\ \text{OHC} \\ \text{HN} \end{array} \begin{array}{c} \text{O} \\ \text{Et} \\ \text{Me} \end{array}$$

RN 797050-93-2 CAPLUS

CN Dipyrrolo[1,2-a:2',3'-d]azepine-3-propanoic acid, 2-[(1,1-dimethylethoxy)carbonyl]-8-ethyl-1,4,5,7-tetrahydro-9-methyl-7-oxo-, 2-propen-1-yl ester (CA INDEX NAME)

$$H_2C = CH - CH_2 - O - C - CH_2 - CH_2$$
 $t - BuO - C$ 
 $H_N$ 
 $Me$ 

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 35 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2004:375983 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:106415

TITLE: A new synthetic route to YM087, an arginine

vasopressin antagonist

Tsunoda, Takashi; Tanaka, Akihiro; Mase, Toshiyasu; AUTHOR(S):

Sakamoto, Shuichi

CORPORATE SOURCE: Chemical Technology Labs., Yamanouchi Pharmaceutical

> Co., Ltd., Takahagi, 318-0001, Japan Heterocycles (2004), 63(5), 1113-1122

SOURCE:

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal English LANGUAGE:

OTHER SOURCE(S): CASREACT 141:106415

GT

Ι

AΒ A synthesis of N-[4-[(4,5-d)] dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-[1,1'-biphenyl]-2-carboxamide monohydrochloride (YM 087) (I) via imidazobenzazepine intermediates is described. This method remarkably improved the overall yield of I, compared to the original synthesis, providing a more safe, reliable, and cost-efficient approach to I. The key intermediate in this synthesis, based on retro-synthetic anal., was 1,4,5,6-tetrahydro-2-methyl-6-[(4methylphenyl)sulfonyl]imidazo[4,5-d][1]benzazepine.

717917-16-3P RL: BYP (Byproduct); PREP (Preparation)

> (byproduct from the preparation of methylimidazobenzazepine via tosylation of aminobenzoate followed by alkylation with chlorobutanenitrile, heterocyclization, hydrolysis,  $\alpha$ -bromination, heterocyclization, and detosylation)

RN 717917-16-3 CAPLUS

4H-Oxazolo[4,5-d][1]benzazepine, 5,6-dihydro-2-methyl-6-[(4-4)]CN methylphenyl)sulfonyl]- (CA INDEX NAME)

ΙT

IT 182202-71-7P 195531-22-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-[(phenylbenzoylamino)benzoyl]methylimidazobenzazepine via N-acylation of methylimidazobenzazepine with nitrobenzoic acid followed by reduction and amidation with biphenylcarboxylic acid)

RN 182202-71-7 CAPLUS

CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)(4-nitrophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

#### ● HCl

RN 195531-22-7 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

IT 318237-73-9P 717917-14-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of methylimidazobenzazepine via tosylation of aminobenzoate followed by alkylation with chlorobutanenitrile, heterocyclization, hydrolysis,  $\alpha$ -bromination, heterocyclization, and detosylation in the preparation of YM087)

RN 318237-73-9 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-methyl- (CA INDEX NAME)

RN 717917-14-1 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-methyl-6-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

10/565,702

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/565,702

L28 ANSWER 36 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2004:117842 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:152009

TITLE: Arginine vasopressin receptor antagonists containing

1,4,5,6-tetrahydroimidazo[4,5-d]benzazepine

derivatives

Koshio, Hiroyuki; Kakefuda, Akio; Sato, Ippei; INVENTOR(S):

Wakayama, Ryutaro; Sanagi, Masanao

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004043456	A	20040212	JP 2003-141799	20030520
PRIORITY APPLN. INFO.:			JP 2002-149935 A	20020524
OTHER SOURCE(S):	MARPAT	140:152009		

GΙ

The invention provide pharmaceutical compds. I (ring D = phenylene, etc.; AΒ X,Y = CH, N; R1, R2, R3 = H, OH, halo, lower alkyl) as arginine vasopressin receptor antagonists, suitable for treatment of cardiac failure and hyponatremia. A compound N-[4-[2-(2-pyridyl)-1,4,5,6-tetrahydroimidazo[4,5-d][1]benzazepine-6carbonyl]phenyl]biphenyl-2-carboxamide (II) hydrochloride was prepared The compound showed antagonistic effect on V1A and V2 receptors without

Ι

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inhibiting CYP3A4 enzyme in in vitro assay. An injection composition
containing II
     1 mg/mL was formulated.
     1222456-11-2
                      1222456-14-5
                                        1222456-17-8
ΙT
     1222456-19-0
                      1222456-21-4
                                        1222456-24-7
     1222456-26-9
                      1222456-29-2
                                        1222456-31-6
     1222456-33-8
                      1222456-35-0
                                        1222456-39-4
     1222456-41-8
                      1222456-43-0
                                        1222456-46-3
     1222456-48-5
                      1222456-51-0
                                        1222456-53-2
     1222456-55-4
                      1222456-57-6
                                        1222456-60-1
     1222456-63-4
                      1222456-65-6
                                        1222456-67-8
     1222456-69-0
                      1222456-72-5
                                        1222456-74-7
     1222456-75-8
                      1222456-78-1
                                        1222456-79-2
     1222456-81-6
                      1222456-83-8
                                        1222456-85-0
     1222456-87-2
                      1222456-89-4
                                        1222456-91-8
     1222456-93-0
                      1222456-96-3
                                        1222456-99-6
     1222457-01-3
                      1222457-04-6
     RL: PRPH (Prophetic)
        (Arginine vasopressin receptor antagonists containing
        1,4,5,6-tetrahydroimidazo[4,5-d]benzazepine derivatives)
RN
     1222456-11-2 CAPLUS
     [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-
CN
     pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-
       (CA INDEX NAME)
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RN 1222456-14-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]- (CA INDEX NAME)
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RN 1222456-17-8 CAPLUS CN [1,1'-Biphenvl]-2-carboxamide, N-[6-[[4

[1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]-(CA INDEX NAME)

RN 1222456-19-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2-

pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl](CA INDEX NAME)

RN 1222456-21-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl]-

(CA INDEX NAME)

RN 1222456-24-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro- (CA INDEX NAME)

RN 1222456-26-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl](CA INDEX NAME)

RN 1222456-29-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-31-6 CAPLUS CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1222456-33-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl]- (CA INDEX NAME)

RN 1222456-35-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-(CA INDEX NAME)

RN 1222456-39-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]- (CA INDEX NAME)

RN 1222456-41-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-43-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(6-methyl-2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl](CA INDEX NAME)

RN 1222456-46-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl]- (CA INDEX NAME)

RN 1222456-48-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-chloro-N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-51-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 3'-chloro-N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-53-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-hydroxy-(CA INDEX NAME)

RN 1222456-55-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-3'-hydroxy (CA INDEX NAME)

RN 1222456-57-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-(6-chloro-2-pyridinyl)-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-60-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(5-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-63-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-(CA INDEX NAME)

RN 1222456-65-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-67-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-4-pyridinyl]- (CA INDEX NAME)

RN 1222456-69-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-72-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1222456-74-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1222456-75-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-4-fluorophenyl]- (CA INDEX NAME)

RN 1222456-78-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-79-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-81-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-83-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-(CA INDEX NAME)

RN 1222456-85-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-87-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-89-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-4-pyridinyl]- (CA INDEX NAME)

RN 1222456-91-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-93-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1222456-96-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]- (CA INDEX NAME)

RN 1222456-99-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222457-01-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222457-04-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

IT 433263-22-0P 433263-34-4P 433263-38-8P 433263-40-2P 433263-48-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(arginine vasopressin receptor inhibitors containing 1,4,5,6-tetrahydroimidazo[4,5-d]benzazepine derivs.) 433263-22-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN

RN 433263-34-4 CAPLUS

CN

[1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-38-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]-,
hydrochloride (1:1) (CA INDEX NAME)

RN 433263-40-2 CAPLUS

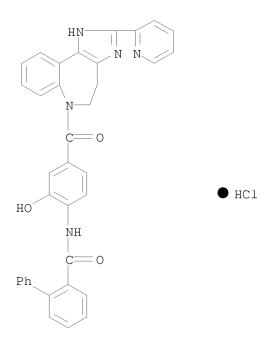
CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-48-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-(phenylmethoxy)phenyl]- (CA INDEX NAME)

IT 433263-20-8P 433263-46-8P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)
 (arginine vasopressin receptor inhibitors containing
 1,4,5,6-tetrahydroimidazo[4,5-d]benzazepine derivs.)
RN 433263-20-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 433263-46-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



IT 433263-24-2P 433263-26-4P 433263-28-6P 433263-32-2P 433263-42-4P 433263-51-5P

RN 433263-26-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-28-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-32-2 CAPLUS CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]-,
hydrochloride (1:1) (CA INDEX NAME)

RN 433263-42-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl](CA INDEX NAME)

RN 433263-51-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]- (CA INDEX NAME)

RN 433263-53-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-(CA INDEX NAME)

RN 433263-55-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 433263-58-2 CAPLUS CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl](CA INDEX NAME)

RN 652987-18-3 CAPLUS
CN [1,1'-Bipheny1]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridiny1)imidazo[4,5-d][1]benzazepin-6(1H)-y1]carbony1]pheny1]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 433263-20-8 CMF C36 H27 N5 O2

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

IT 433263-61-7P 433263-65-1P
RL: RCT (Reactant): SPN (Synthet

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1,4,5,6-tetrahydroimidazo[4,5-d]benzazepine derivs. as arginine vasopressin receptor inhibitors)

RN 433263-61-7 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-6-[(4-methylphenyl)sulfonyl]-2-(2-pyridinyl)- (CA INDEX NAME)

RN 433263-65-1 CAPLUS
CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-(2-pyridinyl)- (CA INDEX NAME)

## 10/565,702

L28 ANSWER 37 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:1001979 CAPLUS

DOCUMENT NUMBER: 140:303559

TITLE: 1-Azakenpaullone is a selective inhibitor of glycogen

synthase kinase-3 $\beta$ 

AUTHOR(S): Kunick, Conrad; Lauenroth, Kathrin; Leost, Maryse;

Meijer, Laurent; Lemcke, Thomas

CORPORATE SOURCE: Institut fur Pharmazeutische Chemie, Technische

Universitat Braunschweig, Braunschweig, 38106, Germany

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(2), 413-416

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:303559

AB Kenpaullone derivs. with a modified parent ring system were synthesized in order to develop kinase inhibitors with enhanced selectivity. Among the novel structures, 1-azakenpaullone was found to act as a selective GSK-3 $\beta$  vs. CDK1 inhibitor. The charge distribution within the 1-azakenpaullone mol. is discussed as a possible explanation for the enhanced GSK-3 $\beta$  selectivity of 1-azakenpaullone compared to other paullone derivs.

IT 676596-60-4P, 4-Azakenpaullone 676596-65-9P,

1-Azakenpaullone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and biol. activity of aza derivs. of kenpaullone as inhibitors of glycogen synthase kinase-3 $\beta$ )

RN 676596-60-4 CAPLUS

CN Pyrido[2',3':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

RN 676596-65-9 CAPLUS

CN Pyrido[3',2':2,3]azepino[4,5-b]indol-6(5H)-one, 9-bromo-7,12-dihydro- (CA INDEX NAME)

10/565,702

OS.CITING REF COUNT: 57 THERE ARE 57 CAPLUS RECORDS THAT CITE THIS RECORD (58 CITINGS)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 38 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:961357 CAPLUS

DOCUMENT NUMBER: 140:156741

TITLE: Evaluation and Comparison of 3D-QSAR CoMSIA Models for

CDK1, CDK5, and GSK-3 Inhibition by Paullones

AUTHOR(S): Kunick, Conrad; Lauenroth, Kathrin; Wieking, Karen;

Xie, Xu; Schultz, Christiane; Gussio, Rick;

Zaharevitz, Daniel; Leost, Maryse; Meijer, Laurent; Weber, Alexander; Jorgensen, Flemming S.; Lemcke,

Thomas

CORPORATE SOURCE: Institut fuer Pharmazie, Abteilung fuer

Pharmazeutische Chemie, Universitaet Hamburg, Hamburg,

D-20146, Germany

SOURCE: Journal of Medicinal Chemistry (2004), 47(1), 22-36

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:156741

With a view to the rational design of selective GSK-3 $\beta$  inhibitors, 3D-QSAR CoMSIA models were developed for the inhibition of the three serine/threonine kinases CDK1/cyclin B, CDK5/p25, and GSK-3 $\beta$  by compds. from the paullone inhibitor family. The models are based on the kinase inhibition data of 52 paullone entities, which were aligned by a docking routine into the ATP-binding cleft of a CDK1/cyclin B homol. model. Variation of grid spacing and column filtering were used during the optimization of the models. The predictive ability of the models was shown by a leave-one-out cross-validation and the prediction of an independent set of test compds., which were synthesized especially for this purpose. Besides paullones with the basic indolo[3,2-d][1]benzazepine core, the test set comprised novel thieno[3',2':2,3]azepino[4,5-b]indoles, pyrido[2',3':2,3]azepino[4,5-b]indoles, and apyrido[3',2':4,5]pyrrolo[3,2-d][1]benzazepine. The best statistical values for the CoMSIA were obtained for the CDK1-models (r2 = 0.929 and q2= 0.699), which were clearly superior to the models for CDK5 (r2 = 0.874

and q2 = 0.652) and GSK-3 (r2 = 0.871 and q2 = 0.554). T 252894-50-1P, NSC 709128 654076-11-6P, NSC 716453 654076-12-7P, NSC 718541 654076-13-8P, NSC 719342

654076-17-2P, NSC 720311

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(evaluation and comparison of 3D-QSAR CoMSIA models for CDK1, CDK5, and GSK-3 inhibition by paullones)

RN 252894-50-1 CAPLUS

CN Pyrido[3',2':4,5]pyrrolo[3,2-d][1]benzazepin-6(5H)-one, 7,12-dihydro- (CA INDEX NAME)

RN 654076-11-6 CAPLUS

CN Pyrido[2',3':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro-9-methoxy-(CA INDEX NAME)

RN 654076-12-7 CAPLUS

CN Pyrido[2',3':2,3]azepino[4,5-b]indol-6(5H)-one, 9-chloro-7,12-dihydro-(CA INDEX NAME)

RN 654076-13-8 CAPLUS

CN Pyrido[2',3':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro-9-(trifluoromethyl)- (CA INDEX NAME)

## 10/565,702

RN 654076-17-2 CAPLUS

CN Pyrido[2',3':2,3]azepino[4,5-b]indol-6(5H)-one, 7,12-dihydro-9-methyl-(CA INDEX NAME)

OS.CITING REF COUNT: 51 THERE ARE 51 CAPLUS RECORDS THAT CITE THIS

RECORD (51 CITINGS)

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 39 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:951028 CAPLUS

DOCUMENT NUMBER: 140:16715

TITLE: Preparation of azepinoindole and pyridoindole

derivatives as modulators of farnesoid X and/or orphan

nuclear receptors

INVENTOR(S): Martin, Richard; Wang, Tie-Lin; Flatt, Brenton Todd;

Gu, Xiao-Hui; Griffith, Ronald

PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 268 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

P	PATENT NO.				KIND		DATE		APPLICATION NO.					DATE				
M	WO 2003099821			A1 20031204			WO 2003-US16767				20030527							
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW.	MX,	MZ,	NI,	NO,	NZ,	OM,
									SD,									
					,	•	,	•	VN,	•		,	,	- *	•	,	,	,
		RW:						•	SD,					ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES.
			•	•	,	•	•		IT,		•	,	,	•		•	•	•
			•		,	•	•	•	GΑ,	•	•	,	,	•	•	•	•	
C	A :	2485	•	•			•	•	1204									
A	AU 2003243328			A1 20031212			AU 2003-243328			20030527								
A <sup>1</sup>	U :	2003	2433	28		В2		2010	0520									
									0525		EP 2	003-	7555.	23		2	0030	527
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
						•		•	MK,	•					•			,
J:								JP 2004-507478			•							
PRIORI'	PRIORITY APPLN. INFO.: US 2002-383574P P 2002052							524										
											WO 2						0030	
OHILED	OFFIDE CONDOCTOR																	

OTHER SOURCE(S): MARPAT 140:16715

GΙ

The present invention is directed to azepinoindole and pyridoindole AΒ derivs. (shown as I and II; variables defined below; e.g. Et 1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate). These compds. were used in pharmaceutical compns. and methods for modulating the activity of farnesoid X receptor and/or orphan nuclear receptors. A farnesoid X receptor/ECREx7 co-transfection assay and a TR-FRET assay were used to establish the EC50/IC50 values for potency and percent activity or inhibition for efficacy; efficacy defines the activity of a compound relative to a high control (chenodeoxycholic acid, CDCA) or a low control (DMSO/vehicle). Most of the compds. disclosed and tested exhibited activity in at least one of the assays (EC50 or IC50 <10  $\mu$ M); most showed activity at <1  $\mu\text{M}$ , e.g. Pr 3-(4-fluorobenzoyl)-2-methyl-1,2,3,6-tetrahydroazepino[4,5-b]indole-5carboxylate exhibited agonist activity <1  $\mu$ M EC50 and >100 % efficacy and 8-(3-cyclopropyl-1-methylureido)-3-(4-fluorobenzoyl)-1,1-dimethyl-1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylic acid Et ester exhibited antagonist activity with IC50 <100 nM and 100 % inhibition. Although the methods of preparation are not claimed, 74 example prepns. of I and II and characterization data for many more I and II are included. For I and II: n = 0-4; A is -N(R9), -0 or -S(0)t (t = 0-2); R1 and R2 = H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, aralkyl, heteroaralkyl, -OR14, -SR14, -N(R15)R16, -N(R15)S(O)2R43; -N(R17)N(R15)R16, -N(R17)N(R15)S(0)2R43, -C(0)R18, -C(0)OR14, -C(S)OR14, -C(0) SR14, -C(0) N(R15) R16, -C(0) N(R15) S(0) 2R43, -C(0) N(R15) N:R16 and -C(0)N(R17)N(R15)R16; or -C(0)N(R17)N(R15)S(0)2R43; or R1 and R2, together with the atom to which they are attached, form a cycloalkyl, heterocyclyl, aryl, or heteroaryl ring. R3 is H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroaralkyl, -C(0)R10, -C(0)OR10, -S(0)2R10, -C(0)N(R11)R12, -C(0)N(R11)S(0)2R43, -C(0)N(R13)N(R11)R12, -C(0)N(R13)N(R11)S(0)2R43, -N(R13)C(0)R10, -N(R13)C(0)N(R11)R12, -N(R13)C(0)N(R11)S(0)2R43,  $-N(R10)C(0)N(R13)N(R11)R12, -N(R10)C(0)N(R13)N(R11)S(0)2R43, \\ -N(R13)C(0)OR10, -P(0)OR10, or -P(0)(OR19)OR12. R4, R5, R6 and R7 = H, \\ -N(R13)C(0)OR10, -P(0)OR10, OR10, O$ alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, aralkyl, heteroaralkyl, -OR14, -SR14, -S(0)2R14, -N(R15)R16,  $-{\tt N(R15)S(0)2R43,\ -C(0)R18,\ -C(0)OR20,\ -C(0)N(R21)R22,\ -C(0)N(R21)S(0)2R43;}$ -C(0)N(R42)N(R21)R22; or -C(0)N(R42)N(R21)S(0)2R43; or R4 and R5, or R4

and R6, or R4 and R7, or R5 and R6, or R5 and R7, or R6 and R7, together with the C atom to which they are attached, form a cycloalkyl, heterocyclyl, or cycloalkenyl ring, or together form a double bond and the others of R4, R5, R6 and R7 are as described above; or R6 and R7 together form an oxo, thioxo, imine, oxime or a hydrazone, or R6 and R7, together with the C atom to which they are attached, form an exocyclic double bond, and R4 and R5 are as described above. R8 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, halo, pseudohalo, cyano, nitro, -C(0)OR23, -C(0)N(R24)R25, -C(0)N(R24)S(0)2R43, -C(0)R26, -OR27, -SR27, -C(S)OR23, -C(0)SR23, -N(R28)R29, and -N(R28)S(0)2R43, or two adjacent R8 groups, together with the carbons to which they are attached, form an aryl, cycloalkyl, heterocyclyl or heteroaryl; addnl. details including provisos are given in the claims.

IT 629663-80-5P 629664-83-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azepinoindole and pyridoindole derivs. as modulators of farnesoid X and/or orphan nuclear receptors)

RN 629663-80-5 CAPLUS

CN

Spiro[azepino[4,5-b]indole-1(2H),2'-[1,3]dioxolane]-5-carboxylic acid, 3-(4-fluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

RN 629664-83-1 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopentane]-5-carboxylic acid, 3-(3,4-difluorobenzoyl)-3,6-dihydro-, ethyl ester (CA INDEX NAME)

IT 629664-84-2P

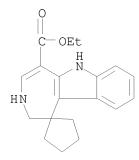
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

## 10/565,702

(preparation of azepinoindole and pyridoindole derivs. as modulators of farnesoid X and/or orphan nuclear receptors)

RN 629664-84-2 CAPLUS

CN Spiro[azepino[4,5-b]indole-1(2H),1'-cyclopentane]-5-carboxylic acid, 3,6-dihydro-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD

(9 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 40 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:945402 CAPLUS

DOCUMENT NUMBER: 140:769

TITLE: Benzoazepine derivatives as Meniere's disease remedies

INVENTOR(S): Matsukawa, Utane; Fujimori, Akira; Arai, Yukinori;

Sudo, Katsumi

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003342175	A	20031203	JP 2002-149965	20020524
PRIORITY APPLN. INFO.:			JP 2002-149965	20020524
OTHER SOURCE(S):	MARPAT	140:769		

$$R^{3}$$
 $CO-NH$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 

AB The new 1,4,5,6-tetrahydroimidazo[4,5-d]benzoazepine derivs. (I; ring D = phenylene, pyridindiyl; X, Y = CH, N; R1, R2, R3 = H, OH, halogen, low alkyl) and their pharmaceutically acceptable salts are claimed as Meniere's disease and hearing disorder remedies. I were prepared, and formulation examples of injections and capsules were given.

Ι

ΙT	1222456-11-2P	1222456-14-5P	1222456-17-8P
	1222456-19-0P	1222456-21-4P	1222456-24-7P
	1222456-26-9P	1222456-29-2P	1222456-31-6P
	1222456-33-8P	1222456-35-0P	1222456-39-4P
	1222456-41-8P	1222456-43-0P	1222456-46-3P
	1222456-48-5P	1222456-51-0P	1222456-53-2P
	1222456-55-4P	1222456-57-6P	1222456-60-1P
	1222456-63-4P	1222456-65-6P	1222456-67-8P
	1222456-69-0P	1222456-72-5P	1222456-74-7P
	1222456-75-8P	1222456-78-1P	1222456-79-2P
	1222456-81-6P	1222456-83-8P	1222456-85-0P

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1222456-87-2P 1222456-89-4P
                                        1222456-91-8P
    1222456-93-0P
                     1222456-96-3P
                                        1222456-99-6P
    1222457-01-3P
                      1222457-04-6P
    RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (benzoazepine derivs. as Meniere's disease remedies)
RN
    1222456-11-2 CAPLUS
    [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-
CN
    pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-
       (CA INDEX NAME)
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RN 1222456-14-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]- (CA INDEX NAME)

RN 1222456-17-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl](CA INDEX NAME)

RN 1222456-19-0 CAPLUS CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl](CA INDEX NAME)

RN 1222456-21-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl](CA INDEX NAME)

RN 1222456-24-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro- (CA INDEX NAME)

RN 1222456-26-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl](CA INDEX NAME)

RN 1222456-29-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-31-6 CAPLUS CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1222456-33-8 CAPLUS CN [1,1'-Biphenyl]-2-ca:

N [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl]- (CA INDEX NAME)

RN 1222456-35-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-(CA INDEX NAME)

RN 1222456-39-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]- (CA INDEX NAME)

RN 1222456-41-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-43-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(6-methyl-2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl](CA INDEX NAME)

RN 1222456-46-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl]- (CA INDEX NAME)

RN 1222456-48-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-chloro-N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-51-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 3'-chloro-N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-53-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-hydroxy-(CA INDEX NAME)

RN 1222456-55-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-3'-hydroxy (CA INDEX NAME)

RN 1222456-57-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-(6-chloro-2-pyridinyl)-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-60-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(5-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-63-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-(CA INDEX NAME)

RN 1222456-65-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-67-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-4-pyridinyl]- (CA INDEX NAME)

RN 1222456-69-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-72-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1222456-74-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1222456-75-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-4-fluorophenyl]- (CA INDEX NAME)

RN 1222456-78-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-79-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-81-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-83-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-(CA INDEX NAME)

RN 1222456-85-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222456-87-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-89-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-4-pyridinyl]- (CA INDEX NAME)

RN 1222456-91-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]- (CA INDEX NAME)

RN 1222456-93-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1222456-96-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]- (CA INDEX NAME)

RN 1222456-99-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222457-01-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 1222457-04-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 433263-22-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-24-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-26-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-,
hydrochloride (1:1) (CA INDEX NAME)

RN 433263-28-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-32-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-34-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-40-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-46-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl], hydrochloride (1:1) (CA INDEX NAME)

RN 433263-48-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-(phenylmethoxy)phenyl]- (CA INDEX NAME)

AUTHOR(S):

L28 ANSWER 41 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:861136 CAPLUS

DOCUMENT NUMBER: 140:59574

TITLE: Practical Synthesis of

 $N-\{4-[(2-Methyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-$ 

6(1H)-yl)carbonyl]phenyl}biphenyl-2-carboxamide

Monohydrochloride: an Arginine Vasopressin Antagonist Tsunoda, Takashi; Yamazaki, Atsuki; Iwamoto, Hidenori;

Sakamoto, Shuichi

CORPORATE SOURCE: Chemical Technology Labs, Yamanouchi Pharmaceutical

Co., Ltd., Takahagi-shi, Ibaraki, 318-0001, Japan

SOURCE: Organic Process Research & Development (2003), 7(6),

883-887

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:59574

AB A novel, reliable, and cost-effective synthetic route to N-[4-[(2-methyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]biphenyl-2-carboxamide monohydrochloride (YM087), a potent arginine vasopressin antagonist, has been developed. Using moisture-controlled potassium carbonate, imidazole formation from  $\alpha$ -bromoketone furnished imidazobenzazepine, avoiding potential oxazole-ring formation. Catalytic reduction of nitro imidazobenzazepine afforded the corresponding amine in high yields. Treatment of the imidazole-containing amine directly, with a carbonyl chloride, afforded the target amide circumventing protection of the imidazole.

IT 168626-93-5P

RL: BYP (Byproduct); PREP (Preparation)

(practical synthesis of [[(methylimidazo[4,5-

d][1]benzazepinyl)carbonyl]phenyl]biphenylcarboxamide monohydrochloride
(arginine vasopressin antagonist))

RN 168626-93-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

IT 168626-71-9P, 1,4,5,6-Tetrahydro-2-methyl-6-(4 nitrobenzoyl)imidazo[4,5-d][1]benzazepine 182202-75-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (practical synthesis of [[(methylimidazo[4,5 d][1]benzazepinyl)carbonyl]phenyl]biphenylcarboxamide monohydrochloride
 (arginine vasopressin antagonist))

CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN

168626-71-9 CAPLUS

10/565,702

RN 182202-75-1 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)-, hydrochloride(1:1) (CA INDEX NAME)

● HCl

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 42 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:428907 CAPLUS

DOCUMENT NUMBER: 137:6180
TITLE: Preparation of

1,4,5,6-tetrahydroimidazo[4,5-d]benzazepine

derivatives as vasopressin antagonists

INVENTOR(S): Koshio, Hiroyuki; Kakefuda, Akio; Sato, Ippei;

Wakayama, Ryutaro; Sanagi, Masanao

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KIN	D	DATE		APPLICATION NO.				DATE				
WC	2002	0441	79		A1		2002	0606		WO 2	001-	JP10.	328		2	0011	127
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PH,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
		UZ,	VN,	YU,	ZA,	ZW											
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG
AU	2002	0241	15		А		2002	0611	•	AU 2	002 -	2411.	5		2	0011	127
JP	2002	2264	80		Α		2002	0814		JP 2	001-	3611.	26		2	0011	127
JF	4061	891			В2		2008	0319									
CA	. 2425	892			A1		2003	0411		CA 2	001-	2425	892		2	0011	127
EP	1338	597			A1		2003	0827		EP 2	001-	9981	71		2	0011	127
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR						
US	2004									US 2	003-	4327	32		2	0030	527
US	7056	910			В2		2006	0606									
US	2006	0142	268		A1		2006	0629		US 2	006-	3539	95		2	0060	215
PRIORIT	Y APP	LN.	INFO	.:						JP 2	000 -	3608	09		A 2	0001	128
										WO 2	001-	JP10.	328		W 2	0011	127
										US 2	003-	4327.	32		A1 2	0030	527

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 137:6180

GΙ

AB The title compds. I [ring D = phenylene, etc.; X, Y = CH, N; R1 - R3 = H, halo, etc.] are prepared In an in vitro V1A receptor binding assay, compds. of this invention showed the pKi values of 8.12 to 8.71.

IT 433263-20-8P 433263-48-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of tetrahydroimidazobenzazepine derivs. as vasopressin antagonists)

RN 433263-20-8 CAPLUS

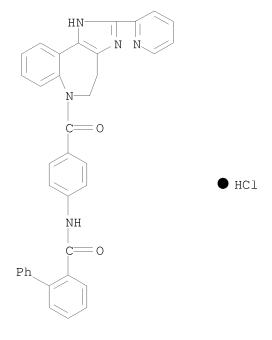
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

RN 433263-48-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-(phenylmethoxy)phenyl]- (CA INDEX NAME)

IT 433263-22-0P 433263-24-2P 433263-26-4P 433263-28-6P 433263-32-2P 433263-34-4P

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433263-38-8P
                      433263-40-2P
                                       433263-42-4P
                      433263-51-5P
                                       433263-53-7P
     433263-46-8P
     433263-55-9P
                      433263-58-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of tetrahydroimidazobenzazepine derivs. as vasopressin
        antagonists)
RN
     433263-22-0 CAPLUS
CN
     [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-
     pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-,
     hydrochloride (1:1) (CA INDEX NAME)
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RN 433263-24-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyrazinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-26-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(6-methyl-2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-28-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-

pyrimidinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-,
hydrochloride (1:1) (CA INDEX NAME)

RN 433263-32-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-34-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-38-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-40-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-42-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl] (CA INDEX NAME)

RN 433263-46-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-hydroxyphenyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 433263-51-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-fluorophenyl]- (CA INDEX NAME)

RN 433263-53-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-2'-fluoro-(CA INDEX NAME)

RN 433263-55-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[[4,5-dihydro-2-(2-pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 433263-58-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[6-[[4,5-dihydro-2-(2-

pyridinyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]-3-pyridinyl](CA INDEX NAME)

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-6-[(4-methylphenyl)sulfonyl]-2-(2-pyridinyl)- (CA INDEX NAME)

RN 433263-65-1 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-(2-pyridinyl)- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 43 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:276524 CAPLUS

DOCUMENT NUMBER: 136:294818

TITLE: Preparation of indolobenzazepinones and related

compounds as cyclin dependent kinase inhibitors

INVENTOR(S): Zaharevitz, Daniel W.; Gussio, Rick P.; Jalluri, Ravi

K.; Sausville, Edward A.; Kunick, Conrad; Meijer,

Laurent

PATENT ASSIGNEE(S): Centre National De La Recherche Scientifique, USA

SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of Appl.

No. PCT/US99/13579.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
US	2002	0042	 412		A1	_	2002	0411		 US 2	000-	7395.	 34		2	0001	214
US	6610	684			В2		2003	0826									
WO	9965	910			A1		1999	1223		WO 1	999-1	US13.	579		1	9990	616
	W:	ΑE,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,
		JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,
		MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,
		TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW					
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,
		ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,
		CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG					
PRIORIT	Y APP	LN.	INFO	. :						US 1	998-	8961	9P		P 1	9980	616
										WO 1	999-1	US13	579		A2 1	9990	616

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:294818

GΙ

AB Title compds. (I; A = O, S; dotted line = optional double bond; R1 = alkoxy, amino, acyl, alkyl, alkenyl, alkynyl, cyano, NO2, CO2H, etc.; R2 = H, PhCH2, alkyl, alkyl ester; R3 = H, alkyl, cycloalkyl; Y, Z = atoms to form conjugated rings; with a proviso), were prepared Thus, 1H-[1]benzazepine-2,5(3H,4H)-dione and 4-bromophenylhydrazine were heated with NaOAc in HOAc at 70° for 1 h to give 58% 9-bromo-7,12-dihydroindolo[3,2-d][1]benzazepin-6(5H)-one. This was refluxed 12 h with CuCN in DMF to give 42% 9-cyano-7,12-dihydroindolo[3,2-d][1]benzazepin-6(5H)-one. The latter inhibited cdk5 with IC50 = 0.044 nM.

IT 252894-50-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolobenzazepinones and related compds. as cyclin dependent kinase inhibitors)

RN 252894-50-1 CAPLUS

CN Pyrido[3',2':4,5]pyrrolo[3,2-d][1]benzazepin-6(5H)-one, 7,12-dihydro- (CA TNDEX NAME)

## 10/565,702

L28 ANSWER 44 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:235879 CAPLUS

DOCUMENT NUMBER: 136:268144

TITLE: Water-soluble compositions containing conivaptan

hydrochloride

INVENTOR(S): Kakuta, Takashi; Koshio, Hiroyuki; Taniquchi, Nobuaki;

Asakura, Takashi

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002087962	A	20020327	JP 2000-275755	20000912
PRIORITY APPLN. INFO.:			JP 2000-275755	20000912

AB This invention relates to stable water-soluble compns. containing conivaptan·HCl (I) crystals which show a specified lattice spacing and relative intensity in the powder x-ray diffraction spectrum obtained by using Cu-Kα line. A mixture was prepared containing I 100, HPMC 2910 300, and Polysorbate-80 50 g, and dissolved in MeOH/water (9:1). The mixture was blended with lactose 442 g and NaHCO3 150 g and then, granulated. The granules 834 g, Avicel PH102 240 g, Ac-Di-Sol 120g, and Mg stearate 6 g were mixed and compressed to give tablets (each containing 10 mg I).

IT 318237-73-9

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of conivaptan hydrochloride crystals and water-soluble compns. containing them)

RN 318237-73-9 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-methyl- (CA INDEX NAME)

L28 ANSWER 45 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:17852 CAPLUS

DOCUMENT NUMBER: 134:86254

TITLE: Preparation of crystal of condensed benzazepine

derivative

INVENTOR(S): Inakoshi, Masatoshi; Kakuta, Takashi; Kato, Yoshinori PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Astellas

Pharma Inc.

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001002678	A	20010109	JP 1999-170444	19990617
JP 4461512	В2	20100512		

PRIORITY APPLN. INFO.: JP 1999-170444 19990617

AB  $\alpha$ -Type crystal of 4'-[(2-methyl-1,4,5,6-tetrahydroimidazo[4,5-d][1]benzazepine-6-yl)carbonyl]-2-phenylbenzanilide hydrochloride (I) having specific peaks in X-ray diffraction spectrum is prepared in a large industrial scale starting from crude I crystal via dislocation of  $\delta$ -type crystal to the  $\alpha$ -type crystal. I possesses the antagonist activity against vasopressin receptor (no data). Thus, 0.25 mL oxalyl chloride and a catalytic amount of DMF were added to a solution of 373 mg o-phenylbenzoic acid in 7.5 mL CH2Cl2 at -15° with stirring, warmed to room temperature over a period of 2 h, stirred for 2 h, concentrated under

reduced pressure, and coevaporated with CH2Cl2 to give a residue (o-phenylbenzoyl chloride). The residue was dissolved in 7.5 mL dry MeCN, added dropwise to a suspension of 0.5 g 6-(4-aminobenzoyl)-2-methyl-1,2,4,5-tetrahydro-imidazo[4,5-d][1]benzazepine in dry MeCN and 0.608 mL pyridine under ice-cooling, warmed to room temperature, refluxed for .apprx.1 h, cooled, stirred with 4 N HCl/AcOEt, and filtered to give 1.18 g crude I crystal. Crude I crystal (80 g) was added to a mixture of MeCN 400, MeOH 400, and H2O 80 mL, heated at 45° to dissoln., followed by filtering the solution to remove floating particles and washing the filter with 80 mL MeOH, and the combined filtrate and the washing was distilled under normal pressure until a total of 480 mL liquid was distilled To the residue was added 1,200 mL MeCN, refluxed for 3 h, slowly cooled to 20°, and the precipitated crystals were filtered, washed with 200 mL MeCN, and vacuum-dried at 80° to give 70.2% I (62.02 g).

IT 195531-22-7 318237-73-9

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of  $\alpha$ -type crystal of imidazobenzazepine hydrochloride derivative by crystal dissoln. as vasopressin receptor antagonist) 195531-22-7 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

RN

RN 318237-73-9 CAPLUS
CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-methyl- (CA INDEX NAME)

IT 168626-93-5P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of  $\alpha$ -type crystal of imidazobenzazepine hydrochloride derivative by crystal dissoln. as vasopressin receptor antagonist)

RN 168626-93-5 CAPLUS CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

Ph

PAGE 2-A

L28 ANSWER 46 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:441796 CAPLUS

DOCUMENT NUMBER: 133:74016

TITLE: preparation of spirotricyclic compounds as H1 receptor

antagonists

INVENTOR(S): Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2000037470	A1 20000629	WO 1999-EP10176	19991215
	AT. AU. AZ. BA.	BB, BG, BR, BY, CA, CH,	
CZ, DE, DK,		GB, GD, GE, GH, GM, HR,	
IN, IS, JP,		KZ, LC, LK, LR, LS, LT,	
MD, MG, MK,		NZ, PL, PT, RO, RU, SD,	
SK, SL, TJ,		UA, UG, US, UZ, VN, YU,	
RW: GH, GM, KE,		SZ, TZ, UG, ZW, AT, BE,	
DK, ES, FI,	FR, GB, GR, IE,	IT, LU, MC, NL, PT, SE,	BF, BJ, CF,
CG, CI, CM,	GA, GN, GW, ML,	MR, NE, SN, TD, TG	
CA 2355939	A1 20000629	CA 1999-2355939	19991215
AU 2000030412	A 20000712 B2 20030828	AU 2000-30412	19991215
	A 20010918	BR 1999-16371	
EP 1144411	A1 20011017	EP 1999-964625	19991215
EP 1144411	B1 20050427		
		GB, GR, IT, LI, LU, NL,	SE, MC, PT,
· · · · ·	LV, FI, RO	TD 0004 4 F44	10001015
TR 2001001711	T2 20011221		19991215
	A2 20020429		19991215
HU 2001004779	A3 20031229		10001015
EE 2001000328 EE 4917	A 20020815 B1 20071015	EE 2001-328	19991215
JP 2002533344	T 20021008	JP 2000-589540	19991215
NZ 512870	A 20031128	NZ 1999-512870	19991215
AT 294178	T 20050515	AT 1999-964625	19991215
PT 1144411	E 20050930	PT 1999-964625	19991215
ES 2242443	T3 20051101	ES 1999-964625	19991215
CN 1258533	C 20060607	CN 1999-814705	19991215
PL 196262	B1 20071231	PL 1999-348295	19991215
SK 286158	B6 20080407	SK 2001-814	19991215
IL 143767	A 20100328	IL 1999-143767	19991215
CZ 301953	B6 20100811	CZ 2001-2069	19991215
TW 250981	B 20060311	TW 1999-88122194	19991217
EG 24605	A 20100110	EG 1999-1626	19991218
IN 2001MN00441	A 20050304	IN 2001-MN441	20010423
IN 212018	A1 20080125		
BG 105546	A 20011231	BG 2001-105546	20010529
BG 65133	B1 20070330		000000
NO 2001002710	A 20010601	NO 2001-2710	20010601
NO 318891	B1 20050518	HD 2001 452	00010615
HR 2001000453	A2 20020630	HR 2001-453	20010615

HR 2001000453	В1	20100731				
MX 2001006244	A	20010910	MX	2001-6244		20010618
ZA 2001004977	A	20020618	ZA	2001-4977		20010618
US 7148214	В1	20061212	US	2001-868535		20010726
HK 1043128	A1	20070119	HK	2002-104999		20020703
US 20050026901	A1	20050203	US	2004-898844		20040726
US 7087595	B2	20060808				
PRIORITY APPLN. INFO.:			EP	1998-204347	Α	19981219
			WO	1999-EP10176	W	19991215
			US	2001-868535	Α1	20010726

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 133:74016
GI

AB Title compds. [I; R = Z2Z3R5, Z2NHCOR5, Z2R5; R1 = H, halo, alkyl, acyl, etc.; R2 = H, halo, alkyl, aryl, etc.; R3R4 = YCH:CH, CH:CHY, CH:CHCH:CH; R5 = (un)substituted heteroaryl, -tetrahydrofuranyl, etc.; Y = O, S, (alkyl)imino, alkanoylimino; Z = alkylene, CH:CH, CH2CH(OH), CH2O, etc.; Z1 = CH2 or CH2CH2; Z3 = O, S, NH] were prepared Thus, 1-phenylmethyl-1H-imidazole was condensed with 1-phenylmethyl-4-piperidone and the product cyclized to give, after hydrogenation, I (R1 = R2 = H, R3R4 = CH:CHCH:CH, Z = CH2, Z1 = CH2CH2) (II; R = H) which was N-alkylated by 1-(2-bromoethyl)-4-ethyl-1, 4-dihydro-5H-tetrazol-5-one to give II [R = 2-(4-ethyl-5-oxo-1, 4-dihydro-1H-tetrazol-1-yl)ethyl]. Data for biol. activity of I were given.

IT 279253-82-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spirotricyclic compds. as H1 receptor antagonists)

RN 279253-82-6 CAPLUS

CN Spiro[cyclohexane-1,10'-[10H]imidazo[1,2-a]thieno[3,2-d]azepine], (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 279253-81-5 CMF C15 H16 N2 S

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

10/565,702

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

E CO2H

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(7 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 47 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2000:211386 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 132:347544

TITLE: Synthesis of 1,4,5,6-tetrahydropyrazolo[3,4-

d]pyrido[3,2-b]azepine

AUTHOR(S): Albright, J. Donald; Du, Xuemei

CORPORATE SOURCE: Wyeth-Averst Research, Pearl River, NY, 10965-1299,

Journal of Heterocyclic Chemistry (2000), 37(1), 41-46 SOURCE:

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:347544

The synthesis of 7,8-dihydro-5(6H)-quinolinone (3) from com. available 3-amino-2-cyclohexen-1-one and 3-(dimethylamino)acrolein in 23% yield avoids the preparation of a propynal intermediate. Conversion of 5-(4-methylphenylsulfonyl)-6,7,8,9-tetrahydro-5H-pyrido[3,2-b]azepine to 6-(4-methylphenylsulfonyl)-1,4,5,6-tetrahydropyrazolo[3,4-d]pyrido[3,2b]azepine is described. Removal of the N-(4-methylphenylsulfonyl) group with 40% sulfuric acid in acetic acid gave a tricyclic azepine. Application of a similar series of reactions to 5-(4-nitrobenzoy1)-6,7,8,9-tetrahydro-5H-pyrido[3,2-b]-azepine afforded

6-(4-nitrobenzoyl)-1,4,5,6-tetrahydropyrazolo[3,4-d]pyrido[3,2-b]azepin. 203636-53-7P 269404-10-6P

ΙT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of)

RN 203636-53-7 CAPLUS

Methanone, (4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)(4-CN nitrophenyl) - (CA INDEX NAME)

RN 269404-10-6 CAPLUS

Pyrazolo[3, 4-d]pyrido[3, 2-b]azepine, CN 1,4,5,6-tetrahydro-6-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME) 10/565,702

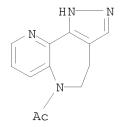
IT 269404-11-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydropyrazolo[3,4-d]pyrido[3,2-b]azepine)

RN 269404-11-7 CAPLUS

CN Ethanone, 1-(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER:

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DOCUMENT NUMBER:
                                          132:231505
TITLE:
                                          Nonpeptide arginine vasopressin antagonists for both
                                          V1A and V2 receptors: synthesis and pharmacological
                                          properties of 4'-(1,4,5,6-tetrahydroimidazo[4,5-
                                          d][1]benzazepine-6-carbonyl)benzanilide derivatives
                                          and 4'-(5,6-dihydro-4H-thiazolo[5,4-d][1]benzazepine-6-
                                          carbonyl) benzanilide derivative
                                          Matsuhisa, Akira; Taniguchi, Nobuaki; Koshio,
AUTHOR(S):
                                          Hiroyuki; Yatsu, Takeyuki; Tanaka, Akihiro
CORPORATE SOURCE:
                                          Institute for Drug Discovery Research, Yamanouchi
                                          Pharmaceutical Co., Ltd., Tsukuba, 305-8585, Japan
                                          Chemical & Pharmaceutical Bulletin (2000), 48(1),
SOURCE:
                                          21-31
                                          CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER:
                                          Pharmaceutical Society of Japan
DOCUMENT TYPE:
                                          Journal
LANGUAGE:
                                          English
        Arginine vasopressin (AVP) has a dual action mainly in the periphery,
        i.e., vasoconstriction and water reabsorption via V1A and V2 receptors; it
        may play a role in a number of diseases, including congestive heart failure
        (CHF), hypertension, renal disease, edema, and hyponatremia. We have
        attempted to develop a new series of orally active AVP antagonists for
        both V1A and V2 receptors based on the hypothesis that the blockade of
        both V1A and V2 receptors might be beneficial to CHF patients. In this
        report, a series of compds. structurally related to
        4'-(1,4,5,6-tetrahydroimidazo[4,5-d][1]benzazepine-6-carbonyl)benzanilide
        and 4'-(5,6-dihydro-4H-thiazolo[5,4-d][1]benzazepine-6-
        carbonyl) benzanilide were synthesized and examined for AVP antagonist
        activity for both V1A and V2 receptors. As a result, it was found that
        the 4'-(1,4,5,6-\text{tetrahydroimidazo}[4,5-d][1]benzazepine-6-carbonyl)-2-
        phenylbenzanilide derivs. showed potent binding affinity for both V1A and
        V2 receptors. Especially, 4'-(2-methyl-1,4,5,6-tetrahydroimidazo[4,5-
        d][1]benzazepine-6-carbonyl)-2-phenylbenzanilide monohydrochloride (YM087,
        conivaptan hydrochloride) exhibited potent binding affinity and AVP
        antagonist activity, after i.v. administration, for both V1A and V2
        receptors. Furthermore, YM087 exhibited the most potent oral activity for
        the V2 receptor. Details of the synthesis and pharmacol. properties of
        this series are presented.
                                    168626-96-8P
        168626-93-5P
                                                                 168626-97-9P
        168626-98-0P
                                    168626-99-1P
                                                                 168627-00-7P
        168627-01-8P
                                   168627-02-9P
                                                                 168627-03-0P
        168627-04-1P
                                    168627-06-3P
                                                                 168627-07-4P
                                                                  261787-71-7P
        168627-12-1P
                                    168627-13-2P
        RL: BAC (Biological activity or effector, except adverse); BSU (Biological
        study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
        BIOL (Biological study); PREP (Preparation); USES (Uses)
              (preparation and pharmacol, properties of imidazo- and
             thiazolo(benzazepinylcarbonyl)benzanilide derivs. as arginine
             vasopressin antagonists for both V1A and V2 receptors)
RN
        168626-93-5 CAPLUS
        [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl-6H-oxazolo[4,5-dihydro-2-methyl
        d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)
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L28 ANSWER 48 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

2000:69208 CAPLUS

PAGE 2-A

RN 168626-96-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-4'-methyl-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A



● HCl

RN 168626-97-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(2-ethyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168626-98-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-propylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168626-99-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-00-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(2-cyclopropyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-01-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-02-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A



● HCl

RN 168627-03-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-ethoxy-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-04-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(1-methylethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-06-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A



● HCl

RN 168627-07-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-12-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-(2-aminoethyl)-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

PAGE 2-A

●2 HC1

RN 168627-13-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-(3-aminopropyl)-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

PAGE 2-A

●2 HC1

RN 261787-71-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-(4-aminobutyl)-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (3:5) (CA INDEX NAME)

PAGE 2-A

## ●5/3 HCl

PAGE 2-A

RN 168626-67-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 168626-68-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

10/565,702

PAGE 1-A

PAGE 2-A

OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 49 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:811246 CAPLUS

DOCUMENT NUMBER: 132:49953

TITLE: Preparation of indolobenzazepinones and related compounds as cyclin dependent kinase inhibitors.

INVENTOR(S): Kunick, Conrad; Meijer, Laurent; Zaharevitz, Daniel W.; Gussio, Rick; Jalluri, Ravi K.; Sausville, Edward

Α.

PATENT ASSIGNEE(S): United States of America, Department of Health and

Human Services, USA

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.						DATE			
WO	WO 9965910					_	1999	1223	WO 1999-US13579						19990616			
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		TM,	TR,	TT,	UA,	UG,	US,	UΖ,	VN,	ΥU	J, ZA	, ZW						
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		ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC	C, NL	, PT,	SE,	BF,	ΒJ,	CF,	CG,	
							ML,											
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	7787																	
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EP	1086	105			В1		2006	0301										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT	, LI,	LU,	NL,	SE,	MC,	PT,	
			FΙ,															
JP 2002518395																		
	3188				Τ		2006	0315		ΑT	1999	-9287	15		1	9990	616	
US	2002	0042	412		A1		2002	0411		US	2000	-7395	34		2	0001	214	
	6610				В2		2003	0826										
AU	2001	0150	09		A		2002	0718		AU	2001	-1500	9		2	0010	116	
AU	7805	28			В2		2005	0324										
ORIT	Y APP	LN.	INFO	.:								-8961				9980	616	
										WO	1999	-US13	579	,	W 1	9990	616	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:49953

GΙ

AB Title compds. (I; A = O, S; dotted line = optional double bond; R1 = alkoxy, amino, acyl, alkyl, alkenyl, alkynyl, cyano, NO2, CO2H, etc.; R2 = H, PhCH2, alkyl, alkyl ester; R3 = H, alkyl, cycloalkyl; Y, Z = atoms to form conjugated rings; with a proviso), were prepared Thus, 1H-[1]benzazepine-2,5(3H,4H)-dione and 4-bromophenylhydrazine were heated with NaOAc in HOAc at 70° for 1 h to give 58% 9-bromo-7,12-dihydroindolo[3,2-d][1]benzazepin-6(5H)-one. This was refluxed 12 h with CuCN in DMF to give 42% 9-cyano-7,12-dihydroindolo[3,2-d][1]benzazepin-6(5H)-one. The latter inhibited cdk5 with IC50 = 0.044 nM.

IT 252894-50-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indolobenzazepinones and related compds. as cyclin dependent kinase inhibitors)

RN 252894-50-1 CAPLUS

CN Pyrido[3',2':4,5]pyrrolo[3,2-d][1]benzazepin-6(5H)-one, 7,12-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 50 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:495193 CAPLUS

DOCUMENT NUMBER: 131:120908

TITLE: Vasopressin antagonists as preventives or remedies for

vision disorders

INVENTOR(S): Ogawa, Takahiro; Watanabe, Noriko; Waki, Mitsunori PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan; Yamanouchi

Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE			APPLICATION NO.						DATE			
WO	 D 9938533				A1	_	19990805			WO 1999-JP261						19990125			
	W:	CA,	JP,	KR,	US														
	RW:	ΑT,	BE,	CH,	CY,	DE	, DK,	ES,	FI,	FF	R, GB	, GR,	ΙE,	IT,	LU,	MC,	NL,		
		PT,	SE																
CA	2319	649			A1		1999	0805	1	CA	1999	-2319	649		1	9990	125		
EP	1050	308			A1		2000	1108		ΕP	1999	-9011	51		1	9990	125		
	R:	DE,	ES,	FR,	GB,	ΙT													
US	6268	359			В1		2001	0731		US	2000	-6012	16		2	0000	728		
PRIORIT	Y APP	LN.	INFO	.:					1	JΡ	1998	-1553	8		A 1	9980	128		
									,	WO	1999	-JP26	1	1	W 1	9990	125		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 131:120908

- Disclosed are preventives or remedies for vision disorders based on ocular circulatory disorders, e.g. intraocular hypertension and glaucoma, and vision disorders based on ciliary tension, e.g nearsightedness, wherein the preventives or remedies contain vasopressin antagonists, i.e. benzazepine derivs. as the active ingredients. A suspension eyedrop containing 4'-[(2-methyl-1,4,5,6-tetrahydroimidazo[4,5-d] [1]benzazepine-6-yl)carbonyl]2-phenylbenzanilide·HCl 1, NaPH2 0.1, polysorbate 80 0.1, NaCl 0.9 g, NaOH q.s., and water q.s. to 100 mL was prepared, and its effects on ocular circulation, intraocular pressure, etc. were tested using rabbits.
- IT 168626-97-9 168626-98-0 168627-00-7
  RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(vasopressin antagonists containing benzazepine derivs. for treatment of vision disorders)

- RN 168626-97-9 CAPLUS
- CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(2-ethyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168626-98-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-propylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-00-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(2-cyclopropyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 51 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:130582 CAPLUS

DOCUMENT NUMBER: 130:182471
TITLE: Preparation of

5,6-Heteroaryl-dipyrido[2,3-b:3',2'-f]azepines and their use in the prevention or treatment of HIV

infection

INVENTOR(S): Proudfoot, John R.; Hargrave, Karl; Kapadia, Suresh

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 47 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						DATE		APPLICATION NO.						DATE				
WC	9907379				A1	_	19990218		WO 1998-US16706						19980811				
		,	•		CY,	DE,	, DK,	ES,	FI,	FF	R, GB,	GR,	IE,	IT,	LU,	MC,	NL,		
CA	2295	620			A1		1999	0218	1	CA	1998-	2295	620		1	9980	811		
US	5908	841			A		1999	0601		US	1998-	1325	27		1	9980	811		
US	5919	779			A		1999	0706		US	1998-	1325	26		1	9980	811		
EP	1001	782			A1		2000	0524		ΕP	1998-	9399	13		1	9980	811		
	R:	AT, IE,	•	CH,	DE,	DK,	, ES,	FR,	GB,	GF	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,		
JP	2001	5135	02		T		2001	0904		JΡ	2000-	5069	69		1	9980	811		
MX	2000	0013	65		A		2000	1020	1	MX	2000-	1365			2	0000	208		
PRIORIT	Y APP	LN.	INFO	.:						US	1997-	5518	9P		P 1	9970	811		
										US	1997-	5189	P		P 1	9970	811		
									,	WO	1998-	US16	706	1	W 1	9980	811		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 130:182471

GΙ

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Disclosed are novel heteroaryl-dipyridoazepines represented by formula [I, AB II, and III; A and D are carbon (unsubstituted or optionally substituted with Me, Et, iso-Pr, vinyl, isopropenyl, ethynyl, halogen, nitro, cyano, amino, methylamino, dimethylamino, hydroxy, methoxy, mercapto or methylthio) or nitrogen; and B is oxygen, sulfur or nitrogen (unsubstituted or optionally substituted with Me, Et, iso-Pr, hydroxy or methoxy); and R1 is a hydrogen atom, C1-4 alkyl, C1-4 fluoroalkyl having 1 to 3 fluorine atoms, C3-6 cycloalkyl, oxetanyl, thietanyl, tetrahydrofuranyl, tetrahydrothienyl, tetrahydropyranyl, tetrahydrothiopyranyl, alkenylmethyl or C3-4 alkynylmethyl, or C2-3 alkyloxyalkyl or alkylthioalkyl, alkanoyl or C2-5 alkyl(thiocarbonyl), or C2-3 cyanoalkyl; R2 is a hydrogen atom, C1-6 alkyl, C3-6 cycloalkyl , or C2-6 alkenyl or alkynyl, trihalomethyl, C1-6 hydroxyalkyl, or C2-6 alkyloxy or alkylthio, or C2-6 alkyloxyalkyl or alkylthioalkyl, pyrrolidinyl, pyrrolinyl, piperidinyl, mono- or di-alkylamino, etc.; R3 is ΙT

a hydrogen atom, Me or halogen; R4 is a hydrogen atom, Me, Et or halogen; R5 is a hydrogen atom, hydroxy, amino, hydroxymethyl or aminomethyl] or a pharmaceutically acceptable salt. These compds. inhibit the enzymic activity of HIV-1 reverse transcriptase (RT), in particular the RNA-dependent DNA polymerase activity of HIV- 1 RT. It is known (data not shown) that they also inhibit the DNA-dependent DNA polymerase activity of HIV-1 RT. Thus, to a solution of 3-(2-fluoropyridin-3-yl)-4-(2-fluoropyridin-3-yl)ethylaminopyridin-3-yl)thiophene (0.021 q) in THF (1.5 mL) was added potassium bistrimethylsilylamide (0.5M in toluene) until no yellow color appeared on addition of further reagent. The mixture was stirred for 5 min, ethanol was added, the mixture was diluted with Et acetate, washed with water, dried, filtered, and evaporated to give, after chromatog., 8-ethylthienyl[3',4':6,5]dipyrido[2,3-b:3',2'-f]azepine (IV; R2 = H). IV (R2 = H) and IV (R2 = C1) at 1  $\mu M$  inhibited wild-type HIV-1 RT (RNA-dependent DNA polymerase) by 96 and 97%, resp. Pharmaceutical formulations containing the title compds. were given.

220557-08-4P 220557-09-5P 220557-10-8P 220557-11-9P 220557-12-0P 220557-13-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5,6-Heteroaryl-dipyrido[2,3-b:3',2'-f]azepines for prevention or treatment of HIV infection)

RN 220557-08-4 CAPLUS

220557-14-2P

CN 8H-Dipyrido[2,3-b:3',2'-f]thieno[3,2-d]azepine, 8-ethyl- (CA INDEX NAME)

RN 220557-09-5 CAPLUS

CN 8H-Dipyrido[2,3-b:3',2'-f]thiazolo[4,5-d]azepine, 8-ethyl- (CA INDEX NAME)

RN 220557-10-8 CAPLUS

CN 8H-Oxazolo[4,5-d]dipyrido[2,3-b:3',2'-f]azepine, 8-ethyl- (CA INDEX NAME)

RN 220557-11-9 CAPLUS
CN 8H-Dipyrido[2,3-b:3',2'-f]-1,2,3-thiadiazolo[4,5-d]azepine, 8-ethyl- (CA INDEX NAME)

RN 220557-12-0 CAPLUS
CN 8H-Dipyrido[2,3-b:3',2'-f]-1,2,3-thiadiazolo[5,4-d]azepine,
5-bromo-8-ethyl- (CA INDEX NAME)

RN 220557-13-1 CAPLUS
CN 8H-Dipyrido[2,3-b:3',2'-f]-1,2,3-thiadiazolo[4,5-d]azepine,
8-ethyl-5-ethynyl- (CA INDEX NAME)

RN 220557-14-2 CAPLUS
CN 8H-Dipyrido[2,3-b:3',2'-f]-1,2,3-thiadiazolo[4,5-d]azepine,
8-ethyl-5-(2-phenylethyl)- (CA INDEX NAME)

220557-24-4P ΙT 220557-25-5P 220557-31-3P 220557-32-4P 220557-42-6P 220557-43-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 5,6-Heteroaryl-dipyrido[2,3-b:3',2'-f]azepines for prevention or treatment of HIV infection) RN 220557-24-4 CAPLUS CN 8H-Dipyrido[2,3-b:3',2'-f]thiazolo[5,4-d]azepine, 8-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)

10/565,702

RN 220557-25-5 CAPLUS CN 8H-Dipyrido[2,3-b:3',2'-f]thiazolo[5,4-d]azepine (CA INDEX NAME)

RN 220557-31-3 CAPLUS
CN 8H-Oxazolo[5,4-d]dipyrido[2,3-b:3',2'-f]azepine,
8-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)

RN 220557-32-4 CAPLUS

CN 8H-Oxazolo[5,4-d]dipyrido[2,3-b:3',2'-f]azepine (CA INDEX NAME)

RN 220557-42-6 CAPLUS
CN 8H-Dipyrido[2,3-b:3',2'-f]-1,2,3-thiadiazolo[5,4-d]azepine,
8-ethyl-5-[2-(trimethylsilyl)ethynyl]- (CA INDEX NAME)

RN 220557-43-7 CAPLUS
CN 8H-Dipyrido[2,3-b:3',2'-f]-1,2,3-thiadiazolo[4,5-d]azepine,
8-ethyl-5-(2-phenylethynyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 52 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:104514 CAPLUS

DOCUMENT NUMBER: 130:153583

TITLE: Tricyclic benzazepine oxytocin and vasopressin

antagonists

INVENTOR(S): Albright, Jay Donald; Sum, Fuk-Wah PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 110 pp., Cont.-in-part of U.S. Ser. No. 254,823.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869483	 A	19990209	US 1996-639014	19960424
US 5512563	A	19960430	US 1994-254823	19940613
NZ 299340	A	20000825	NZ 1994-299340	19940728
US 5693635	A	19971202	US 1996-662546	19960613
US 5834461	A	19981110	US 1997-874314	19970613
US 5843952	A	19981201	US 1997-889858	19970708
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728
			US 1996-639014	A2 19960424
			US 1996-663400	B1 19960613

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 130:153583

GΙ

$$Z = R$$
 $R^{1}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 

This invention relates to title compds. I wherein: Y = e.g., (CH2)n, O, S AB wherein n is an integer from 0-2; A-B is (CH2)mNR3 or NR3(CH2)m , wherein m is an integer from 1-2, provided that when Y is (CH2)n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH2)n and n is 2, m may not also be two; R1 = e.g., H, halo, OH; R2 = e.g., H, halo, OH; R3 is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un) substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un) substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V1 and/or V2 receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with

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4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded
     N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-
     methylbenzamide which exhibited binding to rat hepatic V1 receptors and
     rat kidney medullary V2 receptors with IC50 = 0.15 and 0.068 \muM, resp.,
     and oxytocin receptor binding with IC50 = 2.9 \muM.
ΙT
     1099466-57-5
                      1099466-58-6
                                        1099466-59-7
     1099466-60-0
                      1099471-79-0
                                        1099471-80-3
     1099471-81-4
                      1099471-82-5
                                        1099471-83-6
     1099471-84-7
                      1099471-85-8
                                        1099471-86-9
     1099471-87-0
                      1099471-88-1
                                        1099471-89-2
     1099471-90-5
                      1099471-91-6
                                        1099471-92-7
     1099471-93-8
                      1101631-21-3
                                        1101631-22-4
     1101631-23-5
                      1101631-24-6
                                        1101631-25-7
     1101631-26-8
                      1101631-28-0
                                        1101631-29-1
     1101631-30-4
                      1101631-31-5
                                        1101631-32-6
                      1101631-35-9
     1101631-33-7
     RL: PRPH (Prophetic)
        (Tricyclic benzazepine oxytocin and vasopressin antagonists)
RN
     1099466-57-5 CAPLUS
CN
     Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-
     y1)carbony1]-3-methoxypheny1]-5-fluoro-2-methy1- (CA INDEX NAME)
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RN 1099466-58-6 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

10/565,702

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1099466-60-0 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]- (CA INDEX NAME)

RN 1099471-79-0 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

| Cl

RN 1099471-80-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-81-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1099471-82-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099471-83-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-84-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

10/565,702

1099471-85-8 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-CN d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

1099471-86-9 CAPLUS

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

10/565,702

RN 1099471-87-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-88-1 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

1099471-89-2 CAPLUS RNCN

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-91-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-93-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101631-21-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

10/565,702

RN 1101631-22-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-23-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-24-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-25-7 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

F

RN 1101631-26-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101631-28-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-29-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-30-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-31-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-32-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| |-

RN 1101631-33-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101631-35-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

IT 169879-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

## 10/565,702

IT 169878-98-2P 169878-99-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 53 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:816103 CAPLUS

DOCUMENT NUMBER: 130:52440

TITLE: Preparation of tricyclic benzazepine vasopressin

antagonists

INVENTOR(S): Albright, Jay D.; Venkatesan, Aranapakam M.; Delos

Santos, Efren G.

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 82 pp., Cont.-in-part of U.S. Ser. No. 373,169,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PAT	PATENT NO.						DATE			APPLICATION NO.					DATE			
	US	JS 5849735			A 1					US 1995-548805									
	ZA	ZA 9600300			A 19970715			ZA 1996-300					19960115						
	CA	CA 2210688			A1 19960725			CA 1996-2210688					19960116						
	WO	9622282			A1 19960725			WO 1996-US1051						19960116					
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			KP,	KR,	LK,	LR,	LT,	LU,	LV,	MD,	MO	3, M	Κ,	MN,	MX,	NO,	NZ,	PL,	RO,
			SG,	SI,	SK,	TR,	TT,	UA,	UZ,	VN,	ΑZ	Z, B	Υ,	KΖ,	RU,	ΤJ,	TM		
		RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH	H, D	Ε,	DK,	ES,	FR,	GB,	GR,	ΙE,
			ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF	F, C	G,	CI,	CM,	GΑ,	GN,	ML,	MR,
			NE,	SN,	TD,	ΤG													
	ΑU	9649	042			A		1996	0807		AU	199	6-	4904	2		1	9960	
	BR 9606977			A	19971104			BR 1996-6977					19960116						
	ΕP	P 804420				A1	19971105			EP 1996-905227					19960116				
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹, I	Τ,	LI,	LU,	NL,	SE,	PT,	ΙE,
			SI,	LT,	LV														
		1190						1998	0812		CN	199	6-	1925	68		1	9960	116
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	HU	9801	219			A3		2000	0728										
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		1167	77			А		2000	1121		IL	199	6-	1167	77		1	9960	116
	TW	4495	84			В		2001	0811		TW	199	6-	8510	0462		1	9960	116
PRIO	RIORITY APPLN. INFO.:										US	199	5-	3731	69		B2 1	9950	117
											US	199	5-	5488	05		A 1	9951	222
											WO	199	6-	US10	51		W 1	9960	116

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 130:52440

GΙ

AΒ The title compds. [I; Y = NH, N(Ac), N(C1-3 alkyl); AB = CH2N(R3), N(R3)CH2; R1 = H, halo, OH, etc.; R2 = H, OH, halo, etc.; R3 = C(O)Ar; Ar = (un)substituted thienyl, furanyl, Ph, etc.; Z together with two carbon atoms attached = (un)substituted Ph, 5-membered aromatic (un)saturated heterocyclic ring having one heteroatom selected from O, N or S, etc.], which exhibit antagonist activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and oxytocin antagonist activity, and therefore are useful in treating diseases characterized by excess renal reabsorption of water as well as congestive heart failure, liver cirrhosis, nephrotic syndrome, CNS injuries, lung disease and hyponatremia, were prepared Thus, reaction of 10,11-dihydrodibenz[b,f][1,4]oxazepine with 6-[(5-fluoro-2-methylbenzoyl)amino]pyridine-3-carbonyl in the presence of Et3N in CH2Cl2 afforded the title compound II which showed IC50 of 0.24  $\mu\text{M}$  and 0.054  $\mu\text{M}$  against rat hepatic V1 receptors binding and rat kidney medullary V2 receptors binding, resp.

IT 217475-60-0P 217475-61-1P 217475-62-2P 217475-63-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzazepine vasopressin antagonists)

RN 217475-60-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydropyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 217475-61-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 217475-62-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[(4,5-dihydropyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

10/565,702

RN 217475-63-3 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-fluoro-2-methyl- (CA INDEX NAME)

IT 217475-68-8P 217475-69-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzazepine vasopressin antagonists)

RN 217475-68-8 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepine, 1,4,5,6-tetrahydro-6-[(2-methylphenyl)sulfonyl]- (CA INDEX NAME)

RN 217475-69-9 CAPLUS CN Pyrazolo[4,3-d][1]benzazepine, 1,4,5,6-tetrahydro- (CA INDEX NAME)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/565,702

L28 ANSWER 54 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:366893 CAPLUS

DOCUMENT NUMBER: 129:54301

ORIGINAL REFERENCE NO.: 129:11320h,11321a

TITLE: Preparation of tricyclic benzazepine vasopressin

antagonists

INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 103 pp., Cont.-in-part of U.S. 5,512,563.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5760031	A	19980602	US 1996-637911	19960425
US 5512563	A	19960430	US 1994-254823	19940613
NZ 299340	A	20000825	NZ 1994-299340	19940728
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 129:54301

GΙ

$$\begin{bmatrix} R^1 \\ R^2 \\ R^5 \\ 0 \end{bmatrix}$$

The title compds. [I; R1 = H, Cl, F, etc.; R2 = H, Cl, Br, etc.; R1R2 = AB methylenedioxy, ethylenedioxy; R5 = H, Me, Et, etc.; R6 = N(Ra)COAr', CON(Ra)Ar', etc. (Ra = H, Me, Et; Ar' = (un)substituted Ph, thienyl, etc.); R7 = H, Me, Et, etc.; Z = (un)substituted fused oxazole, Ph], which exhibit antagonist activity at V1 and/or V2 receptors and in vivo vasopressin antagonist activity as well as antagonist activity at oxytocin receptors, and as such useful in treating diseases characterized by excess renal reabsorption of water (e.g., congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, renal vasospasm, liver cirrhosis, brain edema, cerebral ischemia, cerebral hemorrhage-stroke), were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine in pyridine at 80° for 18 h followed by the addition of NaH afforded the compound II which showed IC50 of 2.5  $\mu M$ 

against rat hepatic V1 receptor binding and IC50 of 0.86  $\mu\text{M}$  against rat kidney medullary V2 receptor binding.

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1099466-57-5
                                        1099466-58-6
ΙT
     1099466-42-8
     1099466-59-7
                      1099466-60-0
                                        1099466-69-9
     1099466-70-2
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                                        1099467-03-4
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                      1099467-23-8
     1099467-22-7
                                        1099467-24-9
     1099467-25-0
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RL: PRPH (Prophetic)

(Preparation of tricyclic benzazepine vasopressin antagonists)

RN 1099466-42-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

PAGE 1-A

RN 1099466-57-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099466-58-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1099466-60-0 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]- (CA INDEX NAME)

RN 1099466-69-9 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1099466-70-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1099466-71-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

RN 1099467-03-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

RN 1099467-04-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

RN 1099467-05-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-06-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-07-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

RN 1099467-08-9 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-5-(methylthio)- (CA INDEX NAME)

PAGE 2-A

RN 1099467-09-0 CAPLUS

CN 3-Thiophenecarboxamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

1099467-10-3 CAPLUS RN3-Furancarboxamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)CN

PAGE 2-A

RN 1099467-11-4 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1099467-12-5 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-13-6 CAPLUS
CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-14-7 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-15-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1099467-16-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1099467-17-0 CAPLUS
CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX

NAME)

PAGE 2-A

RN 1099467-18-1 CAPLUS
CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX

NAME)

PAGE 2-A

RN 1099467-19-2 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

RN 1099467-20-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-21-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-22-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

RN 1099467-23-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-24-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-25-0 CAPLUS
CN Benzamide, 2,4-dichloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]- (CA INDEX NAME)

PAGE 2-A

IT 169879-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of tricyclic benzazepine vasopressin antagonists)

RN 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

10/565,702

IT 169878-98-2P 169878-99-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzazepine vasopressin antagonists)

RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 55 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:289524 CAPLUS

DOCUMENT NUMBER: 128:321569

ORIGINAL REFERENCE NO.: 128:63744h,63745a

TITLE: Preparation of tricyclic benzazepine vasopressin

antagonists

INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 101 pp., Cont.-in-part of U.S. Ser. No.

5,512,563. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747487	A	19980505	US 1996-638067	19960425
US 5512563	A	19960430	US 1994-254823	19940613
NZ 299340	A	20000825	NZ 1994-299340	19940728
PRIORITY APPLN. INFO.:			US 1993-100003 B	2 19930729
			US 1994-254823 A	2 19940613
			NZ 1994-264116 A	1 19940728

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 128:321569

GΙ

$$\begin{bmatrix} R^1 & & & \\ & & \\ & & \\ & & \\ A-B & & I \end{bmatrix}$$

The title compds. [I; Y = a bond; AB = (CH2)2N(R3); R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(0)Ar (wherein Ar = (un)substituted Ph, thienyl, etc.); Z = (un)substituted fused benzo, thiazole, etc.], which exhibit antagonistic activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and antagonistic activity at oxytocin receptors, and therefore useful in treating diseases characterized by excess renal reabsorption of water such as congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, liver cirrhosis, brain edema, cerebral ischemia, or cerebral hemorrhage-stroke, were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of

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4-(dimethylamino)pyridine in pyridine afforded the title compound II which
     showed IC50 of 2.5 \mu M against rat hepatic V1 receptors binding and IC50
     of 0.86 \mu\text{M} against rat kidney medullary V2 receptors binding.
ΙT
     1099466-57-5
                      1099466-58-6
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                                        1101631-30-4
     1101631-31-5
                      1101631-32-6
                                        1101631-33-7
     1101631-35-9
                      1175339-15-7
                                        1175339-18-0
     RL: PRPH (Prophetic)
        (Preparation of tricyclic benzazepine vasopressin antagonists)
RN
     1099466-57-5 CAPLUS
CN
     Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-
```

yl)carbonyl]-3-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099466-58-6 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

10/565,702

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1099466-60-0 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]- (CA INDEX NAME)

RN 1099471-79-0 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-80-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-81-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1099471-82-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099471-83-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-84-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

10/565,702

1099471-85-8 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-CN d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

1099471-86-9 CAPLUS

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

10/565,702

RN 1099471-88-1 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1099471-89-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1099471-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-91-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099471-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-93-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101631-21-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

10/565,702

RN 1101631-22-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-23-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-24-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-25-7 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

| F

RN 1101631-26-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101631-28-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-29-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-30-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-31-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-32-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1101631-33-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101631-35-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1175339-15-7 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[3-fluoro-4-[(5,6,9,10-tetrahydro-4H-thieno[3,2-d][1]benzazepin-5-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1175339-18-0 CAPLUS

CN Benzamide, 5-fluoro-2-methyl-N-[3-methyl-4-[(5,6,9,10-tetrahydro-4H-thieno[3,2-d][1]benzazepin-5-yl)carbonyl]phenyl]- (CA INDEX NAME)

IT 169879-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzazepine vasopressin antagonists)

RN 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

10/565,702

IT 169878-98-2P 169878-99-3P RL: RCT (Reactant); SPN (Synthetic preparation)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzazepine vasopressin antagonists)

RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 56 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:226808 CAPLUS

DOCUMENT NUMBER: 128:282791

ORIGINAL REFERENCE NO.: 128:55979a,55982a

TITLE: Preparation of tricyclic benzazepine vasopressin

antagonists

INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred; Sum,

Fuk-wah; Du, Xuemei

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 104 pp., Cont.-in-part of U.S. 5,512,563.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5739128	A	19980414	US 1996-637058	19960424
US 5512563	A	19960430	US 1994-254823	19940613
NZ 299340	A	20000825	NZ 1994-299340	19940728
US 5786353	A	19980728	US 1997-893497	19970711
PRIORITY APPLN. INFO.:			US 1993-100003 B	2 19930729
			US 1994-254823 A	2 19940613
			NZ 1994-264116 A	1 19940728
			US 1996-637058 A	3 19960424

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 128:282791

GΙ

$$\mathbb{Z} \xrightarrow{\mathbb{R}^1} \mathbb{R}^2$$

$$\mathbb{R}^3$$

$$\mathbb{R}^1$$

$$\mathbb{R}^2$$

$$\mathbb{R}^3$$

$$\mathbb{R}^1$$

$$\mathbb{R}^2$$

$$\mathbb{R}^3$$

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$$\mathbb{R}^1$$

$$\mathbb{R}^1$$

$$\mathbb{R}^2$$

$$\mathbb{R}^3$$

AB The title compds. [I; Z-containing ring = (un)substituted fused Ph; Y = NH, NCOMe; N(C1-3 alkyl); R1 = H, halo, OH, etc.; R2 = H, C1, Br, I, F, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar (wherein Ar = (un)substituted Ph, furanyl, thienyl, pyrrolyl)] which exhibit antagonist activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and antagonist activity at oxytocin receptors, and are therefore useful in treating diseases characterized by excess renal reabsorption of water, were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of

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4\text{-}(\text{dimethylamino})\,\text{pyridine} and NaH in pyridine afforded compound II which showed IC50 of 2.5 \mu\text{M} against rat hepatic V1 receptor binding and IC50 of 0.86 \mu\text{M} against rat kidney medullary V2 receptor binding.
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ΙT
     1099466-42-8
                      1099466-59-7
                                        1099466-60-0
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                      1099466-70-2
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                                        1099472-06-6
     1099472-07-7
                      1099472-08-8
```

RL: PRPH (Prophetic)

(Preparation of tricyclic benzazepine vasopressin antagonists)

RN 1099466-42-8 CAPLUS

CN

Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1099466-60-0 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]- (CA INDEX NAME)

RN 1099466-69-9 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1099466-70-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1099466-71-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

RN 1099467-03-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

RN 1099467-04-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

RN 1099467-05-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-06-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-07-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

RN 1099467-08-9 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-5-(methylthio)- (CA INDEX NAME)

PAGE 2-A

RN 1099467-09-0 CAPLUS

CN 3-Thiophenecarboxamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-10-3 CAPLUS

CN 3-Furancarboxamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-11-4 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1099467-12-5 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-13-6 CAPLUS
CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-14-7 CAPLUS
CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-15-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1099467-16-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

1099467-17-0 CAPLUS RNBenzamide, N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX СИ

NAME)

PAGE 2-A

RN 1099467-18-1 CAPLUS
CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-19-2 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

RN 1099467-20-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-21-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-22-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

RN 1099467-23-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-24-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099467-25-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(4,5-dihydro-1-methylpyrazolo[4,3-d][1]benzazepin-6(1H)-yl)carbonyl]-3-methylphenyl]- (CA INDEX NAME)

PAGE 2-A

RN 1099471-79-0 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-80-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-81-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1099471-82-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099471-83-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-84-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

1099471-85-8 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-CN d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

1099471-86-9 CAPLUS

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-87-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-88-1 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

F

RN 1099471-89-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-91-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-93-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-94-9 CAPLUS

CN Benzamide, N-[2-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-95-0 CAPLUS

CN Benzamide, N-[2-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1099471-96-1 CAPLUS

CN Benzamide, N-[2-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-97-2 CAPLUS

CN Benzamide, N-[2-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-98-3 CAPLUS

CN Benzamide, 2-chloro-N-[2-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-99-4 CAPLUS

CN Benzamide, 2,3-dichloro-N-[2-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1099472-00-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1099472-01-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099472-02-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1099472-03-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099472-04-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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RN 1099472-05-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1099472-06-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methylphenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099472-07-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099472-08-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-methoxyphenyl]-2-methyl- (CA INDEX NAME)

IT 169879-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzazepine vasopressin antagonists)

RN 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

IT 169878-98-2P 169878-99-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzazepine vasopressin antagonists)

RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 57 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:219347 CAPLUS

DOCUMENT NUMBER: 128:257347 ORIGINAL REFERENCE NO.: 128:50947a

TITLE: Tricyclic benzazepine oxytocin and vasopressin

antagonists

INVENTOR(S): Albright, Jay Donald; Du, Xuemei PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 109 pp., Cont.-in-part of U.S. 5,512,563.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5736538	A	19980407	US 1996-638059	19960425
US 5512563	A	19960430	US 1994-254823	19940613
NZ 299340	A	20000825	NZ 1994-299340	19940728
PRIORITY APPLN. INFO.:			US 1993-100003 B2	2 19930729
			US 1994-254823 A2	2 19940613
			NZ 1994-264116 A	19940728

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 128:257347

GΙ

$$\begin{bmatrix} \mathbf{R}^{1} & & & \\ \mathbf{R}^{2} & \mathbf{R}^{2} & \mathbf{I} & & \\ \mathbf{R}^{2} & \mathbf{I} & & & \\ \mathbf{R}^{3} & \mathbf{R}^{2} & \mathbf{I} & & \\ \mathbf{R}^{4} & \mathbf{R}^{2} & \mathbf{I} & & \\ \mathbf{R}^{2} & \mathbf{R}^{3} & \mathbf{R}^{2} & \mathbf{I} & & \\ \mathbf{R}^{3} & \mathbf{R}^{2} & \mathbf{R}^{3} &$$

AB This invention relates to title compds. I wherein: Y = e.g., (CH2)n, O, S wherein n is an integer from 0-2; A-B is (CH2)mNR3 or NR3(CH2)m, wherein m is an integer from 1-2, provided that when Y is (CH2)n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH2)n and n is 2, m may not also be two; R1 = e.g., H, halo, OH; R2 = e.g., H, halo, OH; R3 is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V1 and/or V2 receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control

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of premature birth. Thus, e.g., acylation of
     6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with
     4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded
     N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-
     methylbenzamide (II) which exhibited binding to rat hepatic V1 receptors
     and rat kidney medullary V2 receptors with IC50 = 0.15 and 0.068 \muM,
     resp., and oxytocin receptor binding with IC50 = 2.9 \mu M.
     1099466-57-5
                      1099466-58-6
                                        1099466-59-7
     1099466-60-0
                      1099471-79-0
                                        1099471-80-3
     1099471-81-4
                      1099471-82-5
                                        1099471-83-6
     1099471-84-7
                      1099471-85-8
                                        1099471-86-9
     1099471-87-0
                      1099471-88-1
                                        1099471-89-2
     1099471-90-5
                      1099471-91-6
                                        1099471-92-7
     1099471-93-8
                                        1101631-22-4
                      1101631-21-3
     1101631-23-5
                      1101631-24-6
                                        1101631-25-7
     1101631-26-8
                      1101631-28-0
                                        1101631-29-1
     1101631-30-4
                      1101631-31-5
                                        1101631-32-6
     1101631-35-9
                      1146445-27-3
     RL: PRPH (Prophetic)
        (Tricyclic benzazepine oxytocin and vasopressin antagonists)
RN
     1099466-57-5 CAPLUS
CN
     Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-
     yl)carbonyl]-3-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)
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RN 1099466-58-6 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1099466-60-0 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]- (CA INDEX NAME)

RN 1099471-79-0 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-80-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-81-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1099471-82-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099471-83-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-84-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

1099471-85-8 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-CN d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

1099471-86-9 CAPLUS

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-87-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-88-1 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-89-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

F

RN 1099471-91-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-93-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101631-21-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-22-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-23-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-24-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-25-7 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

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RN 1101631-26-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101631-28-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-29-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-30-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-31-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-32-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1101631-35-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1146445-27-3 CAPLUS

CN Benzenecarbothioamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-y1)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

IT 169879-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

IT 169878-98-2P 169878-99-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 58 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:203750 CAPLUS

DOCUMENT NUMBER: 128:282795

ORIGINAL REFERENCE NO.: 128:55983a,55986a

TITLE: Synthesis of pyrrolidinothieno-(or

[1]benzothieno)[3]azepinones from the corresponding

azepinediones or N-(thienyl or [1]benzothienyl)acetylprolinals

AUTHOR(S): Othman, Mohamed; Netchitailo, Pierre; Decroix, Bernard

CORPORATE SOURCE: Lab. Chimie, Fac. Scis. Techniques, Univ. Havre, Le

Havre, 76600, Fr.

SOURCE: Heterocycles (1998), 48(2), 335-346

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

AB Title compds. I [RR1 = CH:CHS, SCH:CH, o-C6H4S, o-SC6H4] were prepared from the diones or by direct cyclization of prolinals II.

IT 205761-43-9P 205761-47-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of pyrrolidinothienoazepinones)

RN 205761-43-9 CAPLUS

CN 5H-Pyrrolo[1,2-a]thieno[2,3-d]azepin-5-one, 4,7,8,9-tetrahydro- (CA INDEX NAME)

RN 205761-47-3 CAPLUS

CN 5H-[1]Benzothieno[2,3-d]pyrrolo[1,2-a]azepin-5-one, 1,2,3,6-tetrahydro-(CA INDEX NAME)

10/565,702

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/565,702

L28 ANSWER 59 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

1998:146590 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 128:192647 ORIGINAL REFERENCE NO.: 128:38063a

TITLE: Preparation of tricyclic benzazepine derivatives as

vasopressin antagonists

Albright, Jay D.; Delos Santos, Efren G.; Du, Xuemei; INVENTOR(S):

Reich, Marvin F.

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 56 pp., Cont.-in-part of U.S. 5,532,235.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5719278	A	19980217	US 1996-657830	19960531
US 5532235	A	19960702	US 1995-373139	19950117
PRIORITY APPLN. INFO.:			US 1995-373139	A2 19950117
ASSIGNMENT HISTORY FOR	US PATENT	r available	IN LSUS DISPLAY	FORMAT

OTHER SOURCE(S): MARPAT 128:192647

GT

AB Title tricyclic compds. I (Y = e.g., bond, CH2, CH(OH); A-B is a moiety selected from (CH2)nNR3 and NR3(CH2)n where n = 1 or 2 provided that when Y = bond, n = 2; ring Z represents: (1) an unsatd. 6-membered heterocyclic aromatic ring containing one nitrogen atom, optionally substituted by one or two

substituents selected from C1-3 lower alkyl, halogen, amino, C1-3 lower alkoxy or C1-3 lower alkylamino; (2) a 5-membered aromatic (unsatd.) heterocyclic ring having one heteroatom selected from O, or S; ring E represents: (1) an unsatd. 6-membered heterocyclic aromatic ring containing one or two nitrogen atoms, optionally substituted by one or two substituents selected from C1-3 lower alkyl, halogen, amino, C1-3 lower alkoxy or C1-3 lower alkylamino; (2) a 5-membered aromatic (unsatd.) heterocyclic ring having one heteroatom selected from O, N or S; (3) a 5-membered aromatic (unsatd.) heterocyclic ring having two adjacent nitrogen atoms; (4) a 5-membered aromatic (unsatd.) heterocyclic ring having one nitrogen atom together with either one oxygen or one sulfur atom; wherein the 5 or 6-membered heterocyclic rings are optionally substituted by C1-3 lower alkyl, halogen, or C1-3 lower alkoxy; R3 = COAr where Ar = substituted Ph,

furyl, thienyl, pyrrolyl, thiazolyl, pyridyl) were prepared Thus, e.g., acylation of 6-(4-aminobenzoyl)-1,4,5,6-tetrahydropyrazolo[3,4-d]thieno[3,2-b]azepin e (preparation given) with 2-chloro-4-fluorobenzoyl chloride afforded N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl] phenyl]-2-chloro-4-fluorobenzamide (II) which exhibited IC50 = 2.0 and 0.34  $\mu\text{M}$ , resp., for binding to rat hepatic V1 receptors and rat kidney medullary V2 receptors, and IC50 = 2.5  $\mu\text{M}$  for binding to oxytocin receptors. N-[4-[(4,5-Dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl] -3-chlorophenyl]-5-fluoro-2-methylbenzamide exhibited IC50 = 0.0061  $\mu\text{M}$  for V2 receptor binding.

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ΙT
     1101696-19-8
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     1101696-22-3
                      1101696-24-5
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1175342-17-2
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RL: PRPH (Prophetic)

(Preparation of tricyclic benzazepine derivatives as vasopressin antagonists)

RN 1101696-19-8 CAPLUS

Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

CN

RN 1101696-20-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101696-21-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(phenylmethyl)- (CA INDEX NAME)

RN 1101696-22-3 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-24-5 CAPLUS

CN Benzamide, 2-bromo-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-25-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,

yl)carbonyl]phenyl]-2,4-difluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1101696-26-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1101696-27-8 CAPLUS

CN Benzamide, 5-bromo-2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-28-9 CAPLUS

CN Benzamide, N-[3-bromo-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101696-29-0 CAPLUS

CN Benzamide, 2,5-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-30-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101696-31-4 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-

6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101696-32-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1101696-33-6 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-6-fluoro- (CA INDEX NAME)

RN 1101696-34-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101696-35-8 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101696-36-9 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1101696-37-0 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-y1)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101696-38-1 CAPLUS

CN Benzamide, 2,3,5-trichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-39-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101696-40-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-nitro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A | NO2

RN 1101696-41-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)

RN 1101696-42-7 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101696-43-8 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-(methylthio)- (CA INDEX NAME)

RN 1101696-44-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-4-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A | CF3

RN 1101696-45-0 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

RN 1101696-46-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101696-47-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101696-48-3 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101696-49-4 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-3,4,5-trimethoxy- (CA INDEX NAME)

RN 1101696-50-7 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-51-8 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,4-difluoro- (CA INDEX NAME)

RN 1101696-52-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-53-0 CAPLUS

CN Benzamide, 2-bromo-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-54-1 CAPLUS

CN Benzamide, 5-bromo-2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-55-2 CAPLUS

CN Benzamide, N-[3-bromo-5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methyl- (CA INDEX NAME)

RN 1101696-56-3 CAPLUS

CN Benzamide, N-[3-chloro-5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101696-57-4 CAPLUS

CN Benzamide, 2,5-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-58-5 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-fluoro- (CA INDEX NAME)

RN 1101696-59-6 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101696-60-9 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1101696-61-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-62-1 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(2-pyridinyl)- (CA INDEX NAME)

RN 1101696-63-2 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(2-thienyl)- (CA INDEX NAME)

RN 1101696-64-3 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101696-65-4 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-fluoro- (CA INDEX NAME)

RN 1101696-66-5 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-4-fluoro- (CA INDEX NAME)

RN 1101696-67-6 CAPLUS

CN Benzamide, 2,3-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-68-7 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methoxy- (CA INDEX NAME)

RN 1101696-69-8 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101696-70-1 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101696-71-2 CAPLUS

CN Benzamide, 2,3,5-trichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-72-3 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101696-73-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101696-79-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-80-3 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-81-4 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-82-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-83-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-84-7 CAPLUS

CN Benzamide, 2,5-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-85-8 CAPLUS

CN Benzamide, 2,5-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-86-9 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101696-87-0 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101696-88-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101696-89-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101696-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101696-91-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101696-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101696-93-8 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101696-94-9 CAPLUS

CN Benzamide, 2,6-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-95-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-96-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101696-97-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101696-98-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

## 10/565,702

RN 1101696-99-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-00-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-01-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-02-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-03-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-04-4 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101697-05-5 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101697-06-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ \hline & & & \\ N & & \\ \hline & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 1101697-07-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

RN 1101697-08-8 CAPLUS

CN Benzamide, 2-amino-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

## 10/565,702

RN 1101697-09-9 CAPLUS

CN Benzamide, 2-amino-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-10-2 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-11-3 CAPLUS

CN Benzeneacetamide, 2,6-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-12-4 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101697-13-5 CAPLUS

CN Benzeneacetamide, 2,4-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-14-6 CAPLUS

CN Benzeneacetamide, 2,4-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101697-15-7 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

$$\begin{array}{c|c} H & S & O & \\ N & N & C & \\ N & C & \\ \end{array}$$

RN 1101697-16-8 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-17-9 CAPLUS

CN Benzeneacetamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-18-0 CAPLUS

CN Benzeneacetamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

## 10/565,702

RN 1101697-19-1 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,5-dimethoxy- (CA INDEX NAME)

RN 1101697-20-4 CAPLUS

CN Benzeneacetamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,5-dimethoxy- (CA INDEX NAME)

RN 1101697-21-5 CAPLUS

CN Benzeneacetamide, 5-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-22-6 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101697-23-7 CAPLUS

CN Benzeneacetamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-3-fluoro- (CA INDEX NAME)

RN 1101697-24-8 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

$$\begin{array}{c|c} H & O & O \\ NH - C - CH_2 & Me \end{array}$$

RN 1101697-25-9 CAPLUS

CN Benzeneacetamide, 2,3-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} H & O & O \\ N & N & C & C \\ N & C & C \\ \end{array}$$

RN 1101697-26-0 CAPLUS

CN Benzeneacetamide, 2,3-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101697-27-1 CAPLUS

CN Benzeneacetamide, 3-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101697-28-2 CAPLUS

CN Benzeneacetamide, 4-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro- (CA INDEX NAME)

RN 1101697-29-3 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

## 10/565,702

RN 1101697-30-6 CAPLUS

CN Benzeneacetamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-31-7 CAPLUS

CN Benzeneacetamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101697-32-8 CAPLUS

CN Benzeneacetamide, 5-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro- (CA INDEX NAME)

RN 1101697-33-9 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

$$\begin{array}{c|c} H & O & F \\ \hline N & O & NH-C-CH_2 \\ \hline \end{array}$$

RN 1101697-34-0 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-4-nitro- (CA INDEX NAME)

RN 1101697-35-1 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-y1)carbonyl]-2-pyridinyl]-2,5-dimethyl- (CA INDEX NAME)

RN 1101697-36-2 CAPLUS

CN Benzamide, 5-amino-2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101697-37-3 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-38-4 CAPLUS

CN Benzamide, 5-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-39-5 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-(methylthio)- (CA INDEX NAME)

RN 1101697-40-8 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-41-9 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro-4-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-42-0 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-43-1 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-nitro- (CA INDEX NAME)

RN 1101697-44-2 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro- (CA INDEX NAME)

RN 1101697-45-3 CAPLUS

CN Benzamide, 4-amino-2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101697-46-4 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-47-5 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-48-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Cl

RN 1101697-49-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Cl

RN 1101697-50-0 CAPLUS

CN Benzamide, 2,5-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-51-1 CAPLUS

CN Benzamide, 2,5-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-52-2 CAPLUS

CN Benzamide, 5-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101697-53-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101697-54-4 CAPLUS

 $\texttt{CN} \quad \texttt{Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido$ 

6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101697-55-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101697-56-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101697-57-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-58-8 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-59-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101697-60-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 1101697-61-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 1101697-62-4 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-63-5 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-64-6 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-65-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-66-8 CAPLUS

CN Benzamide, 2,3,5-trichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-67-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-

yl)carbonyl]phenyl]-2-(methylamino)- (CA INDEX NAME)

RN 1101697-68-0 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylamino)- (CA INDEX NAME)

RN 1101697-69-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-70-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-71-5 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methyl- (CA INDEX NAME)

RN 1101697-72-6 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-73-7 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-74-8 CAPLUS

CN Benzeneacetamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-75-9 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-76-0 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101697-77-1 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101697-83-9 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-

6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101697-84-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-85-1 CAPLUS

CN Benzamide, 2,6-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-86-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101697-87-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101697-88-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-89-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-90-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-91-9 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-92-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1101697-93-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1101697-94-2 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101697-95-3 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101697-96-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

RN 1101697-97-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

RN 1101697-98-6 CAPLUS

CN Benzamide, 2-amino-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-

6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-99-7 CAPLUS

CN Benzamide, 2-amino-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-00-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 1101698-01-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 1101698-02-5 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101698-03-6 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-04-7 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-05-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-06-9 CAPLUS

CN Benzamide, 2,3,5-trichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-08-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylamino)- (CA INDEX NAME)

RN 1101698-09-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylamino)- (CA INDEX NAME)

RN 1101698-10-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[4,5-dihydropyrazolo[4,4-d]pyrido[4,5-dihydropyrazolo[4,4-d]pyrido[4,4-d]py

yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-11-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-12-7 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101698-13-8 CAPLUS

CN Benzeneacetamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methyl- (CA INDEX NAME)

RN 1101698-14-9 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)

RN 1101698-40-1 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101698-41-2 CAPLUS

CN Benzamide, 5-amino-2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-42-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-43-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-44-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,

yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1101698-45-6 CAPLUS

CN Benzamide, 4-amino-2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

 $\begin{array}{ccc} \text{PAGE } 2\text{-A} \\ | \\ \text{NH}_2 \end{array}$ 

RN 1175342-15-0 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydropyrazino[2,3-b]pyrazolo[3,4-d]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

1175342-16-1 CAPLUS RN

Benzamide, 2,5-dichloro-N-[4-[(4,5-dihydropyrazino[2,3-b]pyrazolo[3,4-CN d]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN

1175342-17-2 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydropyrazino[2,3-b]pyrazolo[3,4d]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1200803-49-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(2-pyridinyl)- (CA INDEX NAME)

RN 1200803-55-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-dihydropyrazolo[3,4-d]pyrido[2,4-d]pyri

## yl)carbonyl]phenyl]-2-(2-thienyl)- (CA INDEX NAME)

IT 203636-61-7P 203636-62-8P 203636-63-9P 203636-64-0P 203636-66-2P 203636-67-3P 203636-69-5P 203636-70-8P 203636-71-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of tricyclic benzazepine derivs. as vasopressin antagonists) 203636-61-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

RN 203636-62-8 CAPLUS CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-

RN

RN 203636-63-9 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 203636-64-0 CAPLUS

CN Benzamide, 5-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 203636-66-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 203636-67-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 203636-68-4 CAPLUS

CN Methanone, [1,1'-biphenyl]-4-yl(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 203636-69-5 CAPLUS

CN [1,1'-Bipheny1]-2-carboxamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 203636-70-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 203636-71-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

IT 203636-73-1

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of tricyclic benzazepine derivs. as vasopressin antagonists)

## 10/565,702

RN 203636-73-1 CAPLUS

CN Methanone, (4-amino-2-chlorophenyl)(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)- (CA INDEX NAME)

IT 180339-70-2P 180339-71-3P 180339-94-0P 180339-95-1P 180340-73-2P 203636-53-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzazepine derivs. as vasopressin antagonists)

RN 180339-70-2 CAPLUS

CN Methanone, (2-chloro-4-nitrophenyl)(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 180339-71-3 CAPLUS

CN Methanone, (4-amino-2-chlorophenyl)(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 180339-94-0 CAPLUS

CN Methanone, (4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 180339-95-1 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 180340-73-2 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 203636-53-7 CAPLUS

CN Methanone, (4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)(4-nitrophenyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 60 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:13962 CAPLUS

DOCUMENT NUMBER: 128:75393

ORIGINAL REFERENCE NO.: 128:14747a,14750a

TITLE: Preparation of tricyclic benzazepines as vasopressin

antagonists

INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: PCT Int. Appl., 289 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.					DATE			
WO	9747624				A1 19971218			WO 1997-US9548					19970603				
	W:	AL,	ΑU,	ΒA,	BB,	BG,	BR,	CA,	CN,	CU,	CZ,	EE,	GE,	GH,	HU,	IL,	IS,
		JP,	KP,	KR,	LC,	LK,	LR,	LT,	LV,	MG,	MK,	MN,	MX,	NO,	NΖ,	PL,	RO,
		RU,	SG,	SI,	SK,	TR,	TT,	UA,	UZ,	VN,	YU,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,
		ТJ,	TM														
	RW:	GH,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,
		GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
		ML,	MR,	ΝE,	SN,	TD,	ΤG										
AU 9732964				A	A 19980107				AU 1997-32964				19970603				
PRIORITY APPLN. INFO.:									US 1	996-	6634	00		A 1	9960	613	
										WO 1	997-	US95	48	1	W 1	9970	603
OTHER SOURCE(S):				MAR	MARPAT 128:75393												

GΙ

AB The title compds. [I; Y = a bond, CH2; AB = (CH2)2NR3, NR3(CH2)2; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar; Ar = (un)substituted Ph, 5-indolyl, thienyl, etc.; Z = (un)substituted fused pyrazole, benzene, etc.] and their salts which exhibit vasopressin antagonist activity and are useful in treating

diseases characterized by excess renal reabsorption of water, were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 6,11-dihydro-5H-dibenz[b,e]azepine in the presence of Et3N in THF afforded the title compound II which showed IC50 of 0.15  $\mu\text{M}$  against rat hepatic V1 receptor binding and IC50 of 0.068  $\mu\text{M}$  against rat kidney medullary V2 receptor binding. Compound II also showed 73% inhibition of oxytocin receptor binding at 10  $\mu\text{M}$ .

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1099466-57-5
                 1099466-58-6
                                   1099466-59-7
1099466-60-0
                 1099471-79-0
                                   1099471-80-3
1099471-81-4
                 1099471-82-5
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1101631-30-4
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                                   1101631-32-6
1101631-33-7
                 1101631-35-9
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RL: PRPH (Prophetic)

(Preparation of tricyclic benzazepines as vasopressin antagonists) 1099466-57-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN

RN 1099466-58-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

10/565,702

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1099466-60-0 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]- (CA INDEX NAME)

RN 1099471-79-0 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-80-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-81-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1099471-82-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099471-83-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-84-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

10/565,702

1099471-85-8 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-CN d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

1099471-86-9 CAPLUS

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

10/565,702

RN 1099471-87-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-88-1 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

1099471-89-2 CAPLUS RNCN

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

F

RN 1099471-91-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-93-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101631-21-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

10/565,702

RN 1101631-22-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-23-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-24-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-25-7 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

| F

RN 1101631-26-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101631-28-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-29-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-30-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-31-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-32-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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RN 1101631-33-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101631-35-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

IT 169879-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzazepines as vasopressin antagonists)

RN 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

## 10/565,702

IT 200729-57-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tricyclic benzazepines as vasopressin antagonists)

RN 200729-57-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydropyrazolo[4,3-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

IT 169878-98-2P 169878-99-3P 200729-55-1P

200729-56-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzazepines as vasopressin antagonists)

RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

10/565,702

RN 200729-55-1 CAPLUS

CN Methanone, (2-chloro-4-nitrophenyl)(4,5-dihydropyrazolo[4,3-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

RN 200729-56-2 CAPLUS

CN Methanone, (4-amino-2-chlorophenyl)(4,5-dihydropyrazolo[4,3-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 61 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:772293 CAPLUS

DOCUMENT NUMBER: 128:48246

ORIGINAL REFERENCE NO.: 128:9479a,9482a

TITLE: Preparation of tricyclic benzazepines as vasopressin

antagonists

INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 103 pp., Cont.-in-part of U.S. Ser. No. 639,014.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.					KINI	CIND DA		DATE		APPLICATION NO.				DATE				
US	S 5693635				A		19971202			US 1996-662546					19960613			
US	5 5512563			Α		19960430			US 1994-254823					19940613				
NZ	Z 299340			А		20000825			NZ 1994-299340					19940728				
US	5869483			А		19990209			US 1996-639014					19960424				
WO	9747625			A1		19971218			WO 1997-US9549					19970603				
	W:	AL,	ΑU,	BA,	BB,	BG,	BR,	CA,	CN,	CU,	CZ,	EE,	GE,	GH,	HU,	IL,	IS,	
		JP,	KP,	KR,	LC,	LK,	LR,	LT,	LV,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,	
		RU,	SG,	SI,	SK,	TR,	TT,	UA,	UZ,	VN,	YU,	AM,	AZ,	BY,	KG,	KΖ,	MD,	
		ΤJ,	TM															
	RW:	GH,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FΙ,	FR,	GB,	
		GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	
		ML,	MR,	ΝE,	SN,	TD,	ΤG											
AU 9732965					А		1998	0107		AU 1997-32965					19970603			
PRIORITY APPLN. INFO.:										US 1993-100003					B2 19930729			
						US 1994-254823								A2 19940613				
						US 1996-639014								A2 19960424				
			$N_{i}$				NZ 1994-264116				A1 19940728							
							US 1996-662546							A 19960613				
										WO 1	L997-1	US95	49	1	W 1	9970	603	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 128:48246

GΙ

$$\mathbb{Z}$$
 $\mathbb{R}^1$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 

AB The title compds. [I; Y = a bond; AB= (CH2)2NR3, NR3(CH2)2; R1 = H, halo,

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OH, etc.; R2 = H, halo, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3
= COAr (wherein Ar = substituted Ph); Z with two carbon atoms attached
represents a (un)substituted fused thiophene ring, Ph, etc.] which exhibit
antagonist activity at V1 and/or V2 receptors, in vivo vasopressin
antagonist activity, and also antagonist activity at oxytocin receptors,
and are useful in treating diseases characterized by excess renal
reabsorption of water, were prepared Thus, reaction of
4-[(2-methylbenzoyl)amino]benzoyl chloride with
10,11-dihydro-5H-dibenz[b,f]azepine in the presence of NaH and
4-(dimethylamino)pyridine in pyridine afforded II which showed IC50 of 2.5
\mu\text{M} against rat hepatic V1 receptor binding and IC50 of 0.86 \mu\text{M}
against rat kidney medullary V2 receptor binding.
1099466-57-5
                 1099466-58-6
                                  1099466-59-7
1099471-79-0
                 1099471-80-3
                                   1099471-81-4
1099471-82-5
                 1099471-83-6
                                   1099471-84-7
                 1099471-86-9
                                   1099471-87-0
1099471-85-8
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ΙT 1099471-88-1 1099471-89-2 1099471-90-5 1099471-91-6 1099471-92-7 1099471-93-8 1101631-21-3 1101631-22-4 1101631-23-5 1101631-24-6 1101631-25-7 1101631-26-8 1101631-28-0 1101631-29-1 1101631-30-4 1101631-31-5 1101631-32-6 1101631-33-7 1101631-35-9 1230705-29-9

RL: PRPH (Prophetic)

(Preparation of tricyclic benzazepines as vasopressin antagonists) 1099466-57-5 CAPLUS

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN

CN

RN 1099466-58-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F.

RN 1099471-79-0 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Cl

RN 1099471-80-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-81-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1099471-82-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099471-83-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-84-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

10/565,702

1099471-85-8 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-CN d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

1099471-86-9 CAPLUS

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

10/565,702

RN 1099471-87-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-88-1 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-89-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-91-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099471-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-93-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101631-21-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

10/565,702

RN 1101631-22-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-23-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-24-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-25-7 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

F

RN 1101631-26-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101631-28-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-29-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-30-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-31-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-32-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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RN 1101631-33-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101631-35-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1230705-29-9 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]- (CA INDEX NAME)

IT 200115-00-0P 200115-04-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

(CA INDEX NAME)

RN

CN

(Reactant or reagent); USES (Uses)
 (preparation of tricyclic benzazepines as vasopressin antagonists)
200115-00-0 CAPLUS
4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid,
6-[4-[(5-fluoro-2-methylbenzoyl)amino]benzoyl]-5,6-dihydro-, ethyl ester

PAGE 1-A

PAGE 2-A

RN 200115-04-4 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

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200115-05-5P
ΙT
     169879-79-2P
                      200115-02-2P
     200115-16-8P
                      200115-29-3P
                                       200115-32-8P
     200115-33-9P
                      200115-37-3P
                                       200115-38-4P
                                       200115-44-2P
     200115-39-5P
                      200115-40-8P
     200115-45-3P
                      200115-46-4P
                                       200115-47-5P
     200115-48-6P
                      200115-49-7P
                                       200115-73-7P
     200116-00-3P
                      200116-23-0P
                                       200116-49-0P
     200116-73-0P
                      200116-82-1P
                                       200116-83-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of tricyclic benzazepines as vasopressin antagonists)
RN
     169879-79-2 CAPLUS
CN
     Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-
     yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)
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200115-02-2 CAPLUS
4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid,
6-[4-[(5-fluoro-2-methylbenzoyl)amino]benzoyl]-5,6-dihydro- (CA INDEX CN NAME)

PAGE 1-A

PAGE 2-A

RN 200115-05-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 200115-16-8 CAPLUS

CN Benzamide, N-[4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 200115-29-3 CAPLUS

CN Benzamide, N-[4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)phenyl]-2-methyl- (CA INDEX NAME)

RN 200115-32-8 CAPLUS

CN Benzamide, N-[4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 200115-33-9 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 200115-37-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 200115-38-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 200115-39-5 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 200115-40-8 CAPLUS

CN Benzamide, N-[2,5-dichloro-4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 200115-44-2 CAPLUS

CN Benzamide, N-[3-chloro-4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)phenyl]-5-fluoro-2-(methylthio)- (CA INDEX NAME)

RN 200115-45-3 CAPLUS

CN Benzamide, 2-chloro-N-[4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)phenyl]-5-fluoro- (CA INDEX NAME)

RN 200115-46-4 CAPLUS

CN Benzamide, 3-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 200115-47-5 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 200115-48-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methoxy- (CA INDEX NAME)

RN

200115-49-7 CAPLUS
Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME) CN

PAGE 1-A

PAGE 2-A | F

RN 200115-73-7 CAPLUS

CN Benzamide, N-[4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 200116-00-3 CAPLUS

CN Benzamide, N-[4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

RN 200116-23-0 CAPLUS

CN Benzamide, N-[4-(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 200116-49-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 200116-73-0 CAPLUS

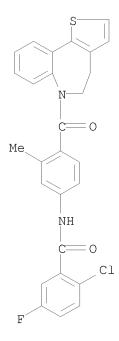
CN Benzamide, N-[4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]-3-fluorophenyl]-2-methyl- (CA INDEX NAME)

RN 200116-82-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 200116-83-2 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-5-fluoro- (CA INDEX NAME)



IT 169878-98-2P 169878-99-3P 200122-33-4P 200122-34-5P 200122-35-6P 200122-36-7P 200122-37-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzazepines as vasopressin antagonists)

RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

RN 200122-33-4 CAPLUS

CN 4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid, 5,6-dihydro-6-(4-nitrobenzoyl)-, ethyl ester (CA INDEX NAME)

RN 200122-34-5 CAPLUS
CN 4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid,
6-(4-aminobenzoyl)-5,6-dihydro-, ethyl ester (CA INDEX NAME)

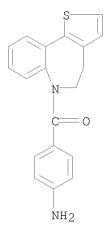
RN 200122-35-6 CAPLUS
CN 4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid, 5,6-dihydro-6-(4-nitrobenzoyl)- (CA INDEX NAME)

RN 200122-36-7 CAPLUS

CN Methanone, (4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 200122-37-8 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 62 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:735922 CAPLUS

DOCUMENT NUMBER: 128:22824
ORIGINAL REFERENCE NO.: 128:4475a,4478a

TITLE: Pyridobenzoxazepine and pyridobenzothiazepine

vasopressin antagonists

INVENTOR(S): Albright, Jay Donald; Du, Xuemei

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 107 pp., Cont.-in-part of U.S. 5,512,563.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5686445	A	19971111	US 1996-637908	19960425
US 5512563	Α	19960430	US 1994-254823	19940613
NZ 299340	A	20000825	NZ 1994-299340	19940728
US 5854236	A	19981229	US 1997-834706	19970401
PRIORITY APPLN. INFO.:			US 1993-100003 B	2 19930729
			US 1994-254823 A	.2 19940613
			NZ 1994-264116 A	1 19940728
			US 1996-637908 A	.3 19960425

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 128:22824

AB Approx. 80 title compds., primarily N-(substituted benzoylaminobenzoyl)dibenzazepines, were prepared by N-acylation of the azepine. E.g., acylation of 10,11-dihydro-5H-dibenz[b,f]azepine with o-MeC6H4CONHC6H4COCl-p gave N-[4-(10,11-dihydro-5H-dibenz[b,f]azepin-5-ylcarbonyl)phenyl]-2-methylbenzamide. The title compds. exhibit antagonist activity at V1 and/or V2 receptors and extensive data is given for vasopressin antagonist activity.

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1099466-58-6
                                    1099466-59-7
ΙT
    1099466-57-5
    1099466-60-0
                   1099471-79-0
                                    1099471-80-3
    1099471-81-4
                   1099471-82-5
                                    1099471-83-6
    1099471-84-7
                   1099471-85-8
                                    1099471-86-9
    1099471-87-0
                   1099471-88-1
                                    1099471-89-2
    1099471-90-5
                   1099471-91-6
                                    1099471-92-7
    1099471-93-8
                   1101631-21-3
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                                    1101631-25-7
    1101631-26-8
                   1101631-28-0
                                    1101631-29-1
    1101631-30-4
                                     1101631-35-9
                    1101631-32-6
    1146445-27-3
                    1230763-45-7
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RL: PRPH (Prophetic)

(Pyridobenzoxazepine and pyridobenzothiazepine vasopressin antagonists)

RN 1099466-57-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099466-58-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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RN 1099466-60-0 CAPLUS
CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]- (CA INDEX NAME)

RN 1099471-79-0 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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RN 1099471-80-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-81-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1099471-82-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099471-83-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

1099471-84-7 CAPLUS

CN Benzamide, N-[4-[(4,5-d)]] Benzazepin-6yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN

1099471-85-8 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-dihydro-6H-isox d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1099471-86-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-87-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-88-1 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

RN 1099471-89-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

PAGE 2-A

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RN 1099471-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1099471-91-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1099471-92-7 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-93-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101631-21-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-22-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-23-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-24-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-25-7 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1101631-26-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101631-28-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-29-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-30-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-32-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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RN 1101631-35-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1146445-27-3 CAPLUS

CN Benzenecarbothioamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1230763-45-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-3-fluoro-5-methyl- (CA INDEX NAME)

IT 169879-79-2P

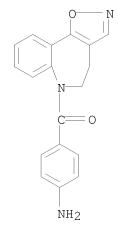
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and vasopressin antagonist activity of (benzoylaminobenzoyl)dibenzazepines)

RN 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

IT 169878-98-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and vasopressin antagonist activity of
 (benzoylaminobenzoyl)dibenzazepines)
RN 169878-98-2 CAPLUS
CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 63 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:568814 CAPLUS

DOCUMENT NUMBER: 127:248029

ORIGINAL REFERENCE NO.: 127:48461a,48464a

TITLE: Preparation of oxime group-containing benzazepines as

arginine vasopressin V1 receptor antagonists for

treatment of diabetic nephropathy

INVENTOR(S): Tanaka, Akihiro; Kono, Norimasa; Matsuhisa, Akira; Shimada, Yoshiaki; Akane, Hiroaki; Yazu, Takeyuki

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09221475	А	19970826	JP 1996-25094	19960213
PRIORITY APPLN. INFO.:			JP 1996-25094	19960213

OTHER SOURCE(S): MARPAT 127:248029 GI For diagram(s), see printed CA Issue.

AB Title compds. I [R1 = (lower alkoxy-substituted) lower alkyl; R2 = H, (lower alkoxy-substituted) lower alkyl; A = Q1, Q2; R3 = H, halo, lower alkyl, (alkyl)amino, lower alkoxy; ring B = (un)substituted N-containing 5-membered heterocyclyl (containing O or S); D1, D2 = bond, lower alkylene, lower alkenylene; R4 = H, lower alkyl, lower alkenyl, cycloalkyl, OH, CO2H, cyano, (un)substituted aryl, etc.; E = Q3, Q4, NR5D5 (the N may be oxidized); m = 0, 1; p = 0-3; D3-D5 = bond, lower alkylene, lower alkenylene; R5 = H, lower alkyl; p, q = 1-3; p + q = 3-5; n = 2-7] or their pharmaceutically acceptable salts are prepared 2-Methoxyiminopropionic acid (147 mg) was chlorinated by oxalyl chloride in CH2C12, then treated with 200 mg 6-(4-aminobenzoyl)-2-methyl-1,4,5,6-tetrahydroimidazo[4,5-d][1]benzazepine under reflux to give 264 mg II.

IT 195531-37-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzazepines as arginine vasopressin V1 receptor antagonists for treatment of diabetic nephropathy)

RN 195531-37-4 CAPLUS

CN Propanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-[(methoxymethoxy)imino]- (CA INDEX NAME)

IT 195530-96-2P 195530-97-3P 195530-98-4P 195530-99-5P 195531-00-1P 195531-01-2P 195531-02-3P 195531-03-4P 195531-04-5P 195531-05-6P 195531-06-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzazepines as arginine vasopressin V1 receptor antagonists for treatment of diabetic nephropathy)

RN 195530-96-2 CAPLUS

CN Propanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(methoxyimino)-, hydrochloride (1:1) (CA INDEX NAME)

RN 195530-97-3 CAPLUS

CN Propanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(ethoxyimino)- (CA INDEX NAME)

RN 195530-98-4 CAPLUS

CN Butanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(methoxyimino)-, hydrochloride (1:1) (CA INDEX NAME)

RN 195530-99-5 CAPLUS

CN Butanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(hydroxyimino)-, hydrochloride (1:1) (CA INDEX NAME)

RN 195531-00-1 CAPLUS

CN Butanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(ethoxyimino)-, hydrochloride (1:1) (CA INDEX NAME)

RN 195531-01-2 CAPLUS

CN Propanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-[(1,1-dimethylethoxy)imino]- (CA INDEX NAME)

RN

CN yl)carbonyl]phenyl]-2-[(methoxymethoxy)imino]-, hydrochloride (1:1) (CA INDEX NAME)

RN

 $195531-03-4 \quad \text{CAPLUS} \\ \text{Pentanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-1]} \\ \text{CAPLUS} \\ \text{CAPLUS}$ CN yl)carbonyl]phenyl]-2-(hydroxyimino)- (CA INDEX NAME)

RN

 $195531-04-5 \quad \text{CAPLUS} \\ \text{Pentanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-1]} \\ \text{CAPLUS} \\ \text{CAPLUS}$ CN yl)carbonyl]phenyl]-2-(methoxyimino)-, hydrochloride (1:1) (CA INDEX

195531-05-6 CAPLUS RN

Butanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-CN yl)carbonyl]phenyl]-2-(methoxyimino)-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

RN

195531-06-7 CAPLUS
Propanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-CN yl)carbonyl]phenyl]-3-methoxy-2-(methoxyimino)-, hydrochloride (1:1) (CA INDEX NAME)

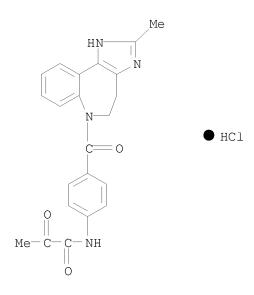
195531-22-7 ΙT

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzazepines as arginine vasopressin V1 receptor antagonists for treatment of diabetic nephropathy)

195531-22-7 CAPLUS RN

Methanone, (4-aminophenyl)(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-CN 6(1H)-y1)- (CA INDEX NAME)

CN Propanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-oxo-, hydrochloride (1:1) (CA INDEX NAME)



RN 195531-28-3 CAPLUS
CN Pentanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-oxo- (CA INDEX NAME)

RN 195531-31-8 CAPLUS

CN Butanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-3-methyl-2-oxo- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L28 ANSWER 64 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:140708 CAPLUS

DOCUMENT NUMBER: 126:131678

ORIGINAL REFERENCE NO.: 126:25437a,25440a

TITLE: Flow Thermolysis Rearrangements in the Indole Alkaloid

Series: Strictamine and Akuammicine Derivatives. The

Absolute Configurations of Ngouniensine and

epi-Ngouniensine

AUTHOR(S): Hugel, Georgette; Royer, Daniel; Le Men-Olivier,

Louisette; Richard, Bernard; Jacquier, Marie-Jose;

Levy, Jean

CORPORATE SOURCE: Laboratoire de Transformations et Synthese de

Substances Naturelles et Laboratoire de

Pharmacognosie, Universite de Reims Champagne-Ardenne

Faculte de Pharmacie, Reims, F-51096, Fr.

SOURCE: Journal of Organic Chemistry (1997), 62(3), 578-583

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 126:131678

GΙ

AB Flow thermolysis of strictamine generated two of the predictable rearrangement products, resulting from [1,5]-sigmatropic shifts: akuammicine and indolenine I. Besides formation of these two compds., a quite different pathway gave rise to a novel rearrangement leading to a indole, with the framework of the natural alkaloid ngouniensine. Rearrangement to the ngouniensine skeleton became the major pathway when the akuammicine derivs. were submitted to thermolysis. These results allowed us to assign the absolute configuration of (-)-ngouniensine (II) (3R,20R) and that of (-)-epingouniensine (3R,20S).

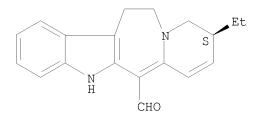
IT 186252-97-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (flow thermolysis rearrangements of indole alkaloids strictamine and akuammicine derivs., absolute configurations of ngouniensine and epi-ngouniensine)

RN 186252-97-1 CAPLUS

CN 5H-Pyrido[1',2':1,2]azepino[4,5-b]indole-6-carboxaldehyde, 9-ethyl-9,10,12,13-tetrahydro-, (9S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 65 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:725149 CAPLUS

DOCUMENT NUMBER: 126:74775

ORIGINAL REFERENCE NO.: 126:14473a,14476a

TITLE: Synthesis and biological activity of some new

heterocyclic annelated compounds from 2,3,4,5-tetrahydro-1-benzazepines

AUTHOR(S): Peesapati, Venkateswarlu; Anuradha, Kancharla

CORPORATE SOURCE: Dep. Chem., Osmania Univ., Hyderabad, 500 007, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996),

35B(12), 1287-1293

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal LANGUAGE: English

AB Synthesis of a number of tricyclic compds. with a fused isoxazole, pyrazole, thiophene and thiadiazole ring systems starting from 4-methoxycarbonyl and 4-hydroxymethylene-2,3,4,5-tetrahydro-1-tosyl-1-benzazepin-5(1H)-one has been described. These compds. are effective bactericides and fungicides.

IT 185348-99-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation and biol. activity of heterocyclic annelated

tetrahydrobenzazepines)

RN 185348-99-6 CAPLUS

CN 4H-Isoxazolo[4,5-d][1]benzazepine,

5,6-dihydro-8,9-dimethoxy-6-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

IT 185349-20-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and biol. activity of heterocyclic annelated tetrahydrobenzazepines)

RN 185349-20-6 CAPLUS

CN 4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid,

5,6-dihydro-8,9-dimethoxy-6-[(4-methylphenyl)sulfonyl]-, methyl ester (CA

INDEX NAME)

IT 185349-38-6P

RN 185349-38-6 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepin-3(2H)-one,

1,4,5,6-tetrahydro-8,9-dimethoxy-6-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 66 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:641143 CAPLUS

DOCUMENT NUMBER: 125:275872

ORIGINAL REFERENCE NO.: 125:51601a,51604a

TITLE: Method for industrial manufacture of condensed

benzazepine derivatives as AVP antagonists

INVENTOR(S): Tsunoda, Takashi; Yamazaki, Atsuki; Tanaka, Akihiro PATENT ASSIGNEE(S): Yamanouchi Pharma Co Ltd, Japan; Astellas Pharma Inc.

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08198879	A	19960806	JP 1995-6172	19950119
JP 3733606	В2	20060111		
JP 2004231668	A	20040819	JP 2004-146924	20040517
JP 4085178	В2	20080514		
PRIORITY APPLN. INFO.:			JP 1995-6172	A3 19950119
OTHER SOURCE(S):	MARPAT	125:275872		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Benzazepine derivs. [I; R1, R2 = H, halo, lower alkyl, alkoxy, etc.; R3 = H, alkyl, alkenyl, imidazolyl, pyridinyl, etc.; X1, X2 = N, O, S, NR4 (wherein R4 = H, alkyl, etc.); ring C is optionally substituted], useful as AVP antagonists (no data), are manufactured with good yields in two ways: (1) by reacting intermediate II (Ra = protecting group) with III; or (2) by reacting intermediate IV with V. Thus, VI was prepared by reacting 2-phenylbenzoic acid with 6-(4-aminobenzoyl)-2-methyl-1,4,5,6-tetrahydroimidazo[4,5-d][1]benzazepine (preparation given). VI was also

by reacting 4-(2-phenylbenzamido) benzoic acid with 2-methyl-1,4,5,6-tetrahydroimidazo[4,5-d][1]benzazepine.

IT 182202-69-3P 182202-71-7P 182202-73-9P

182202-75-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(industrial manufacture of condensed benzazepine derivs. useful as AVP antagonists)

RN 182202-69-3 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-methyl-6-[(4-methylphenyl)sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 182202-71-7 CAPLUS

CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)(4-nitrophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 182202-73-9 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 182202-75-1 CAPLUS
CN Methanone (4-aminophenyl) (4.5-dihydro-2-methylimidaz

CN Methanone, (4-aminophenyl) (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

L28 ANSWER 67 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:567275 CAPLUS

DOCUMENT NUMBER: 125:221884

ORIGINAL REFERENCE NO.: 125:41473a,41476a

TITLE: Preparation of tricyclic benzazepines and benzodiazepines as vasopressin antagonists

INVENTOR(S): Albright, Jay Donald; Venkatesan, Aranapakam Mudumbai;

Delos Santos, Efren Guillermo American Cyanamid Company, USA

PATENT ASSIGNEE(S): American Cyanamid Compa SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	PATENT NO.					D	DATE		APPLICATION NO.						DATE			
WC	9622	9622282			A1 19960725				WO 1996-US1051						19960116			
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		KP,	KR,	LK,	LR,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,	
		SG,	SI,	SK,	TR,	TT,	UA,	UZ,	VN,	ΑZ,	BY,	KΖ,	RU,	ΤJ,	TM			
	RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	
		ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	
		NE,	SN,	TD,	ΤG													
US	5849	735			Α		1998	1215	US 1995-548805						19951222			
AU	9649	042			A		1996	0807	AU 1996-49042						19960116			
BF	9606	977			A		1997	1104	BR 1996-6977						19960116			
EF	8044	20			A1		1997	1105	EP 1996-905227						19960116			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	
		SI,	LT,	LV														
JF	1051	2865			Τ		1998	1208		JP 1	996-	5224	48		1	9960	116	
PRIORIT	Y APP	LN.	INFO	.:						US 1	995-	3731	69		A 1	9950	117	
										US 1	995-	5488	05		A 1	9951	222	
									WO 1996-US1051					1	W 19960116			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 125:221884
GI

AB The title compds. [I; Y = (CH2)n (wherein n = 0-2), O, S, etc.; AB = (N-substituted) (CH2)mNH, NH(CH2)m (wherein m = 1-2); R1, R2 = H, halo, OH, etc.; Z = (substituted) fused Ph, 5-membered fused heteroaryl, etc.]

which exhibit antagonist activity at V1 and/or V2 receptors and therefore useful as diuretics and antihypertensives, and in the treatment and/or prevention of congestive heart failure, liver cirrhosis, brain edema, cerebral ischemia, cerebral hemorrhage-stroke, thrombosis-bleeding, etc., were prepared Thus, reaction of 10,11-dihydrodibenz[b,f][1,4]oxazepine with 6-[(5-fluoro-2-methylbenzoyl)amino]pyridine-3-carbonyl chloride in the presence of Et3N in CH2Cl2 afforded the desired product II which showed IC50 of 0.24  $\mu\text{M}$  against rat hepatic V1 receptors and of 0.054  $\mu\text{M}$  against rat kidney medullary V2 receptors.

IT 181131-09-9P 181131-20-4P 181131-51-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzazepines and benzodiazepines as vasopressin antagonists)

RN 181131-09-9 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]-2-pyridinyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 181131-20-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[(4,5-dihydro-6H-thieno[3,2-d][1]benzazepin-6-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 181131-51-1 CAPLUS

CN Methanone, [1,1'-biphenyl]-4-yl(4,5-dihydropyrazolo[4,3-d][1]benzazepin-6(1H)-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 68 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:452764 CAPLUS

DOCUMENT NUMBER: 125:167976

ORIGINAL REFERENCE NO.: 125:31481a,31484a

TITLE: Tricyclic azepine oxytocin and vasopressin receptor

antagonists

INVENTOR(S): Albright, Jay D.; Delos Santos, Efren G.; Du, Xuemei;

Reich, Marvin E.; Venkatesan, Aranapakam M.

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 53 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KIN	D	DATE			APP	LICAT	DATE							
US	5532235 A 19960702							US	1995-		19950117								
ZA	9600	299			Α		1997	0715		ZA	1996-		19960115						
CA	2210	632			A1		1996	0725		CA	1996-		19960116						
WO	9622.	295			Αl	1 19960725				WO	1996-	19960116							
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		SG,	SI,	SK,	TR,	TT,	UA,	UZ,	VN,	AZ	, BY,	KΖ,	RU,	ΤJ,	TM				
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							SE,	BF,	ВJ,	CF	, CG,	CI,	CM,	GΑ,	GN,	ML,	MR,		
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AU	AU 9647755 A					19960807 AU 1996-47755								19960116					
EP	8044	40			A1		1997	1105	EP 1996-903777						19960116				
EP	8044																		
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		SI,	LT,	LV	_										_				
	9607	186			A		1997	1111		BR	1996-	7186	<b>^</b> -		1	9960	116		
CN	1181	084			A			0506		CN	1996-	1925	9 /		1	9960	116		
CN	1061	658			7.0			0207			1007	0010			1	0060	116		
HU	1061 9702 9702	219			A2			0728		HU	1997-	2219			1	9960	116		
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EC	2297	70 225			д. Т		2000	0501			1996-					9960			
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 125:167976

GΙ

Title tricyclic compds. I are provided wherein: Y = e.g., bond, CH2, AΒ CH(OH); A-B is a moiety selected from (CH2)nNR3 and NR3(CH2)n where n=1or 2 provided that when Y = bond, n = 2; ring Z represents: (1) an unsatd. 6-membered heterocyclic aromatic ring containing one nitrogen atom, optionally substituted by one or two substituents selected from C1-3 lower alkyl, halogen, amino, C1-3 lower alkoxy or C1-3 lower alkylamino; (2) a 5-membered aromatic (unsatd.) heterocyclic ring having one heteroatom selected from O, or S; ring E represents: (1) an unsatd. 6-membered heterocyclic aromatic ring containing one or two nitrogen atoms, optionally substituted by one or two substituents selected from C1-3 lower alkyl, halogen, amino, C1-3 lower alkoxy or C1-3 lower alkylamino; (2) a 5-membered aromatic (unsatd.) heterocyclic ring having one heteroatom selected from O, N or S; (3) a 5-membered aromatic (unsatd.) heterocyclic ring having two adjacent nitrogen atoms; (4) a 5-membered aromatic (unsatd.) heterocyclic ring having one nitrogen atom together with either one oxygen or one sulfur atom; wherein the 5 or 6-membered heterocyclic rings are optionally substituted by C1-3 lower alkyl, halogen, or C1-3 lower alkoxy; R3 = COAr where Ar = substituted Ph, furyl, thienyl, pyrrolyl, thiazolyl, pyridyl. Thus, e.g., acylation of 6-(4-aminobenzoyl)-1,4,5,6-tetrahydropyrazolo[3,4-d]thieno[3,2-b]azepine (preparation given) with 2-chloro-4-fluorobenzoyl chloride afforded N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)yl)carbonyl]phenyl]-2-chloro-4-fluorobenzamide (II) which exhibited IC50 = 2.0 and 0.34  $\mu\text{M}$ , resp., for binding to rat hepatic V1 receptors and rat kidney medullary V2 receptors, and IC50 =  $2.5 \mu M$  for binding to oxytocin receptors. N-[4-[(4,5-Dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-3-chlorophenyl]-5-fluoro-2-methylbenzamide exhibited  $IC50 = 0.0061 \mu M$  for V2 receptor binding.

1101696-19-8 203636-66-2 ΤT 203636-64-0 1101696-20-1 1101696-21-2 1101696-22-3 1101696-26-7 1101696-24-5 1101696-25-6 1101696-27-8 1101696-28-9 1101696-29-0 1101696-30-3 1101696-31-4 1101696-32-5 1101696-33-6 1101696-34-7 1101696-35-8 1101696-36-9 1101696-37-0 1101696-38-1 1101696-39-2 1101696-40-5 1101696-41-6 1101696-42-7 1101696-43-8 1101696-44-9 1101696-45-0 1101696-46-1 1101696-47-2 1101696-49-4 1101696-50-7 1101696-51-8 1101696-52-9 1101696-53-0 1101696-54-1 1101696-55-2 1101696-57-4 1101696-58-5 1101696-59-6 1101696-60-9 1101696-61-0 1101696-62-1 1101696-63-2 1101696-64-3 1101696-67-6 1101696-68-7

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1229796-17-1
                 1229796-18-2
RL: PRPH (Prophetic)
   (Tricyclic azepine oxytocin and vasopressin receptor antagonists)
203636-64-0 CAPLUS
Benzamide, 5-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-
b]azepin-6(1H)-y1)carbony1]pheny1]-2-fluoro- (CA INDEX NAME)
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1101696-69-8

RN

CN

RN 203636-66-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101696-19-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101696-20-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-y1)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101696-21-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(phenylmethyl)- (CA INDEX NAME)

RN 1101696-22-3 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-24-5 CAPLUS

CN Benzamide, 2-bromo-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-

6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-25-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,4-difluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A | F

RN 1101696-26-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1101696-27-8 CAPLUS

CN Benzamide, 5-bromo-2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-28-9 CAPLUS

CN Benzamide, N-[3-bromo-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101696-29-0 CAPLUS

CN Benzamide, 2,5-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-30-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101696-31-4 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-dihydropyrazolo[3,4-d]pyrido[2,4-d]py

6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101696-32-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1101696-33-6 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-6-fluoro- (CA INDEX NAME)

RN 1101696-34-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101696-35-8 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101696-36-9 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1101696-37-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101696-38-1 CAPLUS

CN Benzamide, 2,3,5-trichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-39-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101696-40-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-nitro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A | NO2

RN 1101696-41-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)

RN 1101696-42-7 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101696-43-8 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-(methylthio)- (CA INDEX NAME)

RN 1101696-44-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-4-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

CF3

RN 1101696-45-0 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

RN 1101696-46-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101696-47-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101696-49-4 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-3,4,5-trimethoxy- (CA INDEX NAME)

RN 1101696-50-7 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-51-8 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,4-difluoro- (CA INDEX NAME)

RN 1101696-52-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-53-0 CAPLUS

CN Benzamide, 2-bromo-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-54-1 CAPLUS

CN Benzamide, 5-bromo-2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-55-2 CAPLUS

CN Benzamide, N-[3-bromo-5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methyl- (CA INDEX NAME)

RN 1101696-57-4 CAPLUS

CN Benzamide, 2,5-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-58-5 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-fluoro- (CA INDEX NAME)

RN 1101696-59-6 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101696-60-9 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1101696-61-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-62-1 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(2-pyridinyl)- (CA INDEX NAME)

RN 1101696-63-2 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(2-thienyl)- (CA INDEX NAME)

RN 1101696-64-3 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101696-67-6 CAPLUS

CN Benzamide, 2,3-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-68-7 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methoxy- (CA INDEX NAME)

RN 1101696-69-8 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101696-70-1 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101696-71-2 CAPLUS

CN Benzamide, 2,3,5-trichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101696-72-3 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101696-73-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101696-79-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-80-3 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-81-4 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-82-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-83-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

## 10/565,702

RN 1101696-84-7 CAPLUS

CN Benzamide, 2,5-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-85-8 CAPLUS

CN Benzamide, 2,5-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-86-9 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101696-87-0 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101696-88-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101696-89-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101696-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101696-91-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101696-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101696-93-8 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101696-94-9 CAPLUS

CN Benzamide, 2,6-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-95-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101696-96-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101696-97-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101696-98-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101696-99-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-00-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-01-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-02-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-03-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-04-4 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

## 10/565,702

RN 1101697-05-5 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101697-06-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ \hline & & & \\ N & & \\ \hline & & \\ & & \\ C1 & & \\ & & \\ & & \\ \end{array}$$

RN 1101697-07-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

RN 1101697-08-8 CAPLUS

CN Benzamide, 2-amino-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-09-9 CAPLUS

CN Benzamide, 2-amino-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ \hline & & & \\ N & & \\ \hline & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 1101697-34-0 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-4-nitro- (CA INDEX NAME)

RN 1101697-35-1 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,5-dimethyl- (CA INDEX NAME)

RN 1101697-36-2 CAPLUS

CN Benzamide, 5-amino-2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101697-37-3 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-38-4 CAPLUS

CN Benzamide, 5-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-39-5 CAPLUS

CN Benzamide, 2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-(methylthio)- (CA INDEX NAME)

RN 1101697-40-8 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-41-9 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-y1)carbonyl]-2-pyridinyl]-2-fluoro-4-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-42-0 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-43-1 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-nitro- (CA INDEX NAME)

RN 1101697-44-2 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro- (CA INDEX NAME)

RN 1101697-45-3 CAPLUS

CN Benzamide, 4-amino-2-chloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1101697-46-4 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-47-5 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-48-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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RN 1101697-49-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1101697-50-0 CAPLUS
CN Benzamide, 2,5-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-51-1 CAPLUS

CN Benzamide, 2,5-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-52-2 CAPLUS

CN Benzamide, 5-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101697-53-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101697-54-4 CAPLUS

 $\texttt{CN} \quad \texttt{Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido$ 

6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101697-55-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101697-56-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101697-57-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-58-8 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-59-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

## 10/565,702

RN 1101697-60-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 1101697-61-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 1101697-62-4 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101697-63-5 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-64-6 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-66-8 CAPLUS

CN Benzamide, 2,3,5-trichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} H & O & O \\ N & N & C \\ \end{array}$$

RN 1101697-67-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylamino)- (CA INDEX NAME)

RN 1101697-68-0 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-

6(1H)-yl)carbonyl]phenyl]-2-(methylamino)- (CA INDEX NAME)

RN 1101697-69-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-70-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-71-5 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-y1)carbonyl]-2-pyridinyl]-2-methyl- (CA INDEX NAME)

RN 1101697-72-6 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-83-9 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1101697-84-0 CAPLUS

CN Benzamide, 2,6-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-85-1 CAPLUS

CN Benzamide, 2,6-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-86-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101697-87-3 CAPLUS

 $\texttt{CN} \quad \texttt{Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido$ 

6(1H)-yl)carbonyl]phenyl]-2,6-dimethyl- (CA INDEX NAME)

RN 1101697-88-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-89-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1101697-90-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-91-9 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1101697-92-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1101697-93-1 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1101697-94-2 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101697-95-3 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1101697-96-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

RN 1101697-97-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-nitro- (CA INDEX NAME)

RN 1101697-98-6 CAPLUS

CN Benzamide, 2-amino-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-

## 6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101697-99-7 CAPLUS

CN Benzamide, 2-amino-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-00-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 1101698-01-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(dimethylamino)- (CA INDEX NAME)

RN 1101698-02-5 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1101698-03-6 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-04-7 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-06-9 CAPLUS

CN Benzamide, 2,3,5-trichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-08-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylamino)- (CA INDEX NAME)

RN 1101698-09-2 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(methylamino)- (CA INDEX NAME)

RN 1101698-10-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-11-6 CAPLUS

 $\texttt{CN} \quad \texttt{Benzamide, N-[3-chloro-4-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido[3,4-d]pyrido[3,4-b]azepin-dihydropyrazolo[3,4-d]pyrido$ 

6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-40-1 CAPLUS

CN Benzamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1101698-41-2 CAPLUS

CN Benzamide, 5-amino-2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101698-42-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-43-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-y1)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101698-44-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

| F

RN 1101698-45-6 CAPLUS

CN Benzamide, 4-amino-2-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

 $\begin{array}{ccc} \text{PAGE} & 2\text{-A} \\ | & & \\ \text{NH}_2 & & & \end{array}$ 

RN 1200803-49-1 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(2-pyridinyl)- (CA INDEX NAME)

RN 1200803-55-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-(2-thienyl)- (CA INDEX NAME)

RN 1229795-72-5 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-3-fluoro- (CA INDEX NAME)

RN 1229795-73-6 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1229795-75-8 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1229795-76-9 CAPLUS

CN Benzamide, 2,6-dichloro-N-[5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-4-fluoro- (CA INDEX NAME)

RN 1229795-77-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1229795-78-1 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-6-fluoro- (CA INDEX NAME)

RN 1229795-79-2 CAPLUS

CN Benzamide, N-[3-chloro-5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methyl- (CA INDEX NAME)

RN 1229795-80-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1229795-81-6 CAPLUS

CN Benzeneacetamide, 3-chloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1229795-82-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 1229795-83-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1229795-84-9 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1229795-85-0 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1229795-86-1 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1229795-87-2 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1229795-88-3 CAPLUS

CN Benzeneacetamide, 2,4-dichloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1229795-89-4 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 1229795-91-8 CAPLUS

CN Benzeneacetamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methoxy- (CA INDEX NAME)

RN 1229795-92-9 CAPLUS

CN Benzeneacetamide, 2,3-dichloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} H & & \\ N & & \\ N & & \\ \end{array}$$

RN 1229795-93-0 CAPLUS

CN Benzeneacetamide, 5-chloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1229795-94-1 CAPLUS

CN Benzeneacetamide, 5-chloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro- (CA INDEX NAME)

RN 1229795-95-2 CAPLUS

CN Benzeneacetamide, 5-chloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-fluoro- (CA INDEX NAME)

RN 1229795-96-3 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methoxy- (CA INDEX NAME)

RN 1229795-97-4 CAPLUS

CN Benzamide, 2,6-dichloro-N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1229795-98-5 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1229795-99-6 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,4-difluoro- (CA INDEX NAME)

RN 1229796-00-2 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-

6(1H)-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

RN 1229796-01-3 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2,5-dimethoxy- (CA INDEX NAME)

RN 1229796-02-4 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-5-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-6-fluoro- (CA INDEX NAME)

RN 1229796-04-6 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-methyl- (CA INDEX NAME)

RN 1229796-05-7 CAPLUS

CN Benzeneacetamide, 2,6-dichloro-N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1229796-06-8 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1229796-08-0 CAPLUS

CN Benzamide, N-[5-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-5-fluoro-2-methyl- (CA INDEX NAME)

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RN 1229796-09-1 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1229796-12-6 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,5-dimethyl- (CA INDEX NAME)

RN 1229796-13-7 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,5-dimethoxy- (CA INDEX NAME)

RN 1229796-14-8 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]-2-pyridinyl]-2-(methylthio)- (CA INDEX NAME)

RN 1229796-15-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1229796-16-0 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1229796-17-1 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1229796-18-2 CAPLUS

CN Benzeneacetamide, N-[3-[(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-

6(1H)-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

IT 180340-49-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tricyclic azepine oxytocin and vasopressin receptor antagonists)

RN 180340-49-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[5,4-d]thieno[3,2-b]azepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

IT 180339-77-9 180340-72-1,

6-(4-Nitrobenzoy1)-1,4,5,6-tetrahydropyrazolo[3,4-b]thieno[3,2-b]azepine RL: RCT (Reactant); RACT (Reactant or reagent)

(tricyclic azepine oxytocin and vasopressin receptor antagonists)

RN 180339-77-9 CAPLUS

CN Methanone, (2-chloro-4-nitrophenyl)(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 180340-72-1 CAPLUS

CN Methanone, (4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-y1)(4nitrophenyl) - (CA INDEX NAME)

180339-70-2P ΙT 180339-71-3P 180339-78-0P 180339-94-0P 180339-95-1P 180339-98-4P 180340-73-2P, 6-(4-Aminobenzoy1)-1, 4, 5, 6-tetrahydropyrazolo[3, 4d]thieno[3,2-b]azepine RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (tricyclic azepine oxytocin and vasopressin receptor antagonists) RN 180339-70-2 CAPLUS

CN Methanone, (2-chloro-4-nitrophenyl)(4,5-dihydropyrazolo[3,4-d]thieno[3,2b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 180339-71-3 CAPLUS

Methanone, (4-amino-2-chlorophenyl)(4,5-dihydropyrazolo[3,4-d]thieno[3,2-CN b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 180339-78-0 CAPLUS

CN Methanone, (4-amino-2-chlorophenyl)(4,5-dihydropyrazolo[3,4-d]pyrido[3,2-b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 180339-94-0 CAPLUS

CN Methanone, (4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 180339-95-1 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydropyrazolo[3,4-d]pyrido[2,3-b]azepin-6(1H)-yl)- (CA INDEX NAME)

RN 180339-98-4 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[5,4-d]thieno[3,2-b]azepin-6-yl)- (CA INDEX NAME)

RN 180340-73-2 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydropyrazolo[3,4-d]thieno[3,2-b]azepin-6(1H)-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 69 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:323956 CAPLUS

DOCUMENT NUMBER: 125:86517

ORIGINAL REFERENCE NO.: 125:16313a,16316a

TITLE: Tricyclic benzazepine oxytocin and vasopressin

antagonists

INVENTOR(S): Albright, Jay D.; Sum, Fuk Wah; Du, Xuemei

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 95 pp., Cont.-in-part of U.S. Ser. No. 100,003,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5512563 EP 640592 EP 640592	A A1 B1	19960430 19950301 19981230	US 1994-254823 EP 1994-111040	19940613 19940715
	B1	19981230	EP 1994-111040  GR, IE, IT, LI, LU, AT 1994-111040  ES 1994-111040  SK 1994-880  IL 1994-110436  FI 1994-3542  NO 1994-2817  AU 1994-68776  ZA 1994-5604  JP 1994-195886  HU 1994-2223  RU 1994-27580  NZ 1994-299340  CN 1994-108768  PL 1994-304496  TW 1994-83108599  US 1996-637058  US 1996-637058  US 1996-637908  US 1996-637908  US 1996-637911  US 1996-662546  US 1997-834706  US 1997-874314	
US 5843952 US 5786353 HK 1011362	A A A1	19981201 19980728 20010727	US 1997-889858 US 1997-893497 HK 1998-112373	19970708 19970711 19981127
FI 2001001100 FI 111077	A B1	20010525 20030530	FI 2001-1100	20010525

FI 2001001101 FI 111075	A B1	20010525 20030530	FI	2001-1101		20010525
FI 2001001102 FI 111076	A B1	20010525 20030530	FI	2001-1102		20010525
PRIORITY APPLN. INFO.:			US	1993-100003	В2	19930729
			US	1994-254823	A2	19940613
			NZ	1994-264116	A1	19940728
			US	1996-637058	A3	19960424
			US	1996-639014	A2	19960424
			US	1996-637908	A3	19960425
			US	1996-663400	B1	19960613

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 125:86517

AB This invention relates to title compds. I wherein: Y = e.g., (CH2)n, O, S wherein n is an integer from 0-2; A-B is (CH2)mNR3 or NR3(CH2)m , wherein m is an integer from 1-2, provided that when Y is (CH2)n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH2)n and n is 2, m may not also be two; R1 = e.g., H, halo, OH; R2 = e.g., H, halo, OH; R3 is the moiety COAr where Ar is selected from, e.q., substituted Ph, (un) substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V1 and/or V2 receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-y1)carbonyl]phenyl]-2methylbenzamide (II) which exhibited binding to rat hepatic V1 receptors and rat kidney medullary V2 receptors with  $\overline{\text{IC50}} = 0.15$  and  $0.068 \, \mu\text{M}$ , resp., and oxytocin receptor binding with IC50 =  $2.9 \mu M$ .

ΙT	1099466-57-5	1099466-58-6	1099466-59-7
	1099466-60-0	1099471-79-0	1099471-80-3
	1099471-81-4	1099471-82-5	1099471-83-6
	1099471-84-7	1099471-85-8	1099471-86-9
	1099471-87-0	1099471-88-1	1099471-89-2
	1099471-90-5	1099471-91-6	1099471-92-7
	1099471-93-8	1101631-21-3	1101631-22-4

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1101631-25-7
     1101631-23-5
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     1101631-26-8
                     1101631-28-0
                                       1101631-29-1
    1101631-30-4
                      1101631-31-5
                                       1101631-32-6
     1101631-35-9
                      1146445-27-3
     RL: PRPH (Prophetic)
        (Tricyclic benzazepine oxytocin and vasopressin antagonists)
     1099466-57-5 CAPLUS
RN
     Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-
CN
     yl)carbonyl]-3-methoxyphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)
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RN 1099466-58-6 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-2-methyl- (CA INDEX NAME)

RN 1099466-59-7 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]-4-fluoro- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1099466-60-0 CAPLUS

CN Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methoxyphenyl]- (CA INDEX NAME)

RN 1099471-79-0 CAPLUS

CN Benzamide, 4-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

| Cl

RN 1099471-80-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1099471-81-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

RN 1099471-82-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1099471-83-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-84-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-(methylthio)- (CA INDEX NAME)

1099471-85-8 CAPLUS Benzamide, 2,3-dichloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-CN d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

1099471-86-9 CAPLUS

Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-87-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1099471-88-1 CAPLUS

CN Benzamide, 2-chloro-N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-89-2 CAPLUS
CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

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RN 1099471-90-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-3-(trifluoromethyl)- (CA INDEX NAME)

PAGE 2-A

| F

RN 1099471-91-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 1099471-92-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

RN 1099471-93-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-fluoro-6-(trifluoromethyl)- (CA INDEX NAME)

RN 1101631-21-3 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-22-4 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-23-5 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-24-6 CAPLUS

CN Benzamide, N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-25-7 CAPLUS

CN Benzamide, 2-chloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4-fluoro- (CA INDEX NAME)

PAGE 2-A

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RN 1101631-26-8 CAPLUS

CN Benzamide, 2,3-dichloro-N-[3-chloro-4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

RN 1101631-28-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

RN 1101631-29-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2,3-dimethyl- (CA INDEX NAME)

RN 1101631-30-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-3-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-31-5 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-5-fluoro-2-methyl- (CA INDEX NAME)

RN 1101631-32-6 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-4-fluoro-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

F

RN 1101631-35-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]-3-methylphenyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

RN 1146445-27-3 CAPLUS

CN Benzenecarbothioamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-y1)carbonyl]-3-methylphenyl]-2-methyl- (CA INDEX NAME)

IT 169879-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

IT 169878-98-2P 169878-99-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS

RECORD (18 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 70 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:898877 CAPLUS

DOCUMENT NUMBER: 123:313792

ORIGINAL REFERENCE NO.: 123:56251a,56254a

TITLE: Preparation of tricyclic benzazepine vasopressin

antagonists

INVENTOR(S): Albright, Jay D.; Reich, Marvin F.; Sum, Fuk-Wah; Du,

Xuemei

American Cyanamid Co., USA PATENT ASSIGNEE(S): SOURCE: Can. Pat. Appl., 288 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2128955 CA 2128955 EP 640592	A1			19940727
CA 2128955	С	20061114		
EP 640592	A1	19950301	EP 1994-111040	19940715
EP 640592	B1	19981230		
	, DE, DK		GB, GR, IE, IT, LI, LU,	
AT 175198	T	19990115	AT 1994-111040 ES 1994-111040	19940715
AT 175198 ES 2125377 SK 281194	Т3	19990301	ES 1994-111040	19940715
SK 281194	В6	20010118	SK 1994-880	19940720
IL 110436	A	20031210	IL 1994-110436	19940725
FI 9403542	A	19950130	FI 1994-3542	19940728
FI 108433	B1	20020131		
NO 9402817			NO 1994-2817	19940728
NO 308601	B1	20001002		
AU 9468776			AU 1994-68776	19940728
AU 676737				
ZA 9405604			ZA 1994-5604	
JP 07179430			JP 1994-195886	19940728
JP 3630449				
	A2		HU 1994-2223	19940728
HU 221017				
RU 2149160			RU 1994-27580	
NZ 299340			NZ 1994-299340	
CN 1106802	A	19950816	CN 1994-108768	19940729
CN 1064354	С	20010411		
PL 181918	B1	20011031	PL 1994-304496	19940729
TW 402592	В	20000821	TW 1994-83108599	19940916
HK 1011362	A1	20010727	нк 1998-112373	
FI 2001001100		20010525	FI 2001-1100	20010525
	B1			
FI 2001001101	A	20010525	FI 2001-1101	20010525
FI 111075	В1	20030530		
FI 2001001102	A	20010525	FI 2001-1102	20010525
	B1	20030530		
RIORITY APPLN. INFO.:			US 1993-100003	A 19930729
			NZ 1994-264116	A1 19940728
THE COHPORION.	ת עם כו עזע	100 01070	\ 1	

OTHER SOURCE(S): MARPAT 123:313792

GΙ

AB The title compds. [I; AB = (CH2)mNR3, (un)substituted R3N(CH2)m; R3 = (un)substituted arylcarbonyl, (un)substituted 5-indolylcarbonyl, etc.; m = 1, 2; R1 = H, halogen, OH, alkylthio, SH, acyl, etc.; R2 = H, Cl, F, Br, I, alkyl, alkoxy; Z = (un)substituted fused Ph, (un)substituted 5-member heteroarom. ring, etc.], useful as vasopressin antagonists for diseases requiring diuretic application, are prepared Thus, dibenzazepine II was prepared and demonstrated a IC50 for human V2 receptors of 0.86  $\mu$ M.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzazepine vasopressin antagonists) 169879-79-2 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

RN

IT 169878-98-2P 169878-99-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic benzazepine vasopressin antagonists from) RN 169878-98-2 CAPLUS

CN Methanone, (4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)(4-nitrophenyl)- (CA INDEX NAME)

RN 169878-99-3 CAPLUS

CN Methanone, (4-aminophenyl)(4,5-dihydro-6H-isoxazolo[4,5-d][1]benzazepin-6-yl)- (CA INDEX NAME)

OS.CITING REF COUNT:

THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L28 ANSWER 71 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:835467 CAPLUS

DOCUMENT NUMBER: 123:256545

ORIGINAL REFERENCE NO.: 123:45886h,45887a

TITLE: Preparation of fused benzazepine derivs. as arginine

vasopressin antagonists

INVENTOR(S): Tanaka, Akihiro; Koshio, Hiroyuki; Taniguchi, Nobuaki;

Matsuhisa, Akira; Sakamoto, Ken-ichiro; Yamazaki,

Atsuki; Yatsu, Takeyuki

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIN	ID DATE	APPLICATION NO.	DATE
KZ,	A1 AU, BB, BG, LK, LT, LV,	19950202 BR, BY, CA,	WO 1994-JP1183 CN, CZ, FI, GE, HU, JP MW, NO, NZ, PL, PT, RO	, KE, KG, KR,
RW: AT, BF, CA 2167673 CA 2167673 CA 2453123	BE, CH, DE, BJ, CF, CG, A1 C	DK, ES, FR, CI, CM, GA, 19950202 20040921 19950202	CA 1994-2453123	19940719 19940719
EP 709386 EP 709386	A1 B1	20030507	EP 1994-921117	
R: AT, CN 1127508 CN 1040210 HU 74582 JP 2744527 RU 2129123 PL 177738 EP 1097920	שת עט שם	סים ער	GB, GR, IE, IT, LI, LU CN 1994-192831  HU 1996-102 JP 1995-505056 RU 1996-105390 PL 1994-312654	19940719
EP 109/920	BI	DK, ES, FR,	EP 2000-204704  GB. GR. IT. LI. LU. NL	19940719 . SE. PT. IE
FI 9600260 FI 113178	T T3 T T3 A B1 A	. 20040315	AT 1994-921117 ES 1994-921117 AT 2000-204704 ES 2000-204704 FI 1996-260	
US 5723606 AU 9739906 US 5856564 PRIORITY APPLN. I	A A A	19980303	NO 1996-231 US 1996-586686 AU 1997-39906 US 1997-972271 JP 1993-180435 CA 1994-2167673 EP 1994-921117 WO 1994-JP1183	A3 19940719 A3 19940719

US 1996-586686 A3 19960119

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 123:256545

GI For diagram(s), see printed CA Issue.

AΒ Title compds. I (B = a nitrogenous aromatic 5-membered ring that may besubstituted, has at least one nitrogen atom and may further have one oxygen or sulfur atom; R1, R2 = hydrogen, halogen, lower alkyl, amino; A = a single bond or NHCO(CR3R4)n; n = 0, 1, 2, 3; R3, R4 = hydrogen, lower alkyl; R3R4 may be combined together to form a C2-C7 alkylene; C =optionally substituted benzene ring) and their pharmaceutically acceptable salts, useful as arginine vasopressin antagonists, were prepared Thus, refluxing 2-phenyl-4'-[(5-oxo-2,3,4,5-tetrahydro-1H-1-benzazepin-1yl)carbonyl]bezanilide with CuBr2 in CHC13 and EtOAc for 3 h followed by refluxing with thiourea in EtOH for 3 h gave 4'-[(2-amino-5,6-dihydro-4H-thiazolo[5,4-d][1]benzazepin-6-yl)carbonyl]-2phenylbenzanilide hydrobromide (II). II showed pKi values of 8.33 and 7.21 in V1 and V2 receptor binding assay using [H3]-arginine vasopressin for rate liver membrane and rabbit kidney medullary substance membrane, resp. Formulations containing I were given.

IT 168626-93-5P 168626-97-9P 168626-98-0P 168626-99-1P 168627-00-7P 168627-01-8P 168627-04-1P 168627-14-3P 168627-15-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused benzazepine derivs. as arginine vasopressin antagonists)

RN 168626-93-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 168626-97-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(2-ethyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A

● HCl

RN 168626-98-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-propylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 168626-99-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[4,5-dihydro-2-(phenylmethyl)imidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 168627-00-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(2-cyclopropyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 168627-01-8 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 168627-04-1 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(1-methylethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 168627-14-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-(4-aminobutyl)-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 168627-15-4 CAPLUS

CN Cyclopentanecarboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

IT 168626-66-2P 168626-67-3P 168626-68-4P

168626-71-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fused benzazepine derivs. as arginine vasopressin antagonists)

RN 168626-66-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

$$\begin{array}{c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & \\ & & \\ & & \\ & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ & \\ & \\ & & \\ &$$

PAGE 2-A

RN 168626-67-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 168626-68-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 168626-71-9 CAPLUS

CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)(4-nitrophenyl)- (CA INDEX NAME)

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ΙT
     168626-95-7P
                      168626-96-8P
                                        168627-02-9P
                                        168627-06-3P
     168627-03-0P
                      168627-05-2P
     168627-07-4P
                      168627-08-5P
                                        168627-09-6P
     168627-10-9P
                      168627-11-0P
                                        168627-12-1P
     168627-13-2P
                      168627-16-5P
                                        168627-26-7P
     168627-27-8P
                      168627-28-9P
                                        168627-29-0P
     168627-30-3P
                      168627-31-4P
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused benzazepine derivs. as arginine vasopressin antagonists)  $\$ 

RN 168626-95-7 CAPLUS

CN

[1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methyl-6H-oxazolo[4,5-d][1]benzazepin-6-yl)carbonyl]phenyl]-4'-methyl- (CA INDEX NAME)

PAGE 2-A



RN 168626-96-8 CAPLUS CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-4'-methyl-, hydrochloride (1:1)

(CA INDEX NAME)

PAGE 2-A



● HCl

RN 168627-02-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-03-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-ethoxy-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A



● HCl

RN 168627-05-2 CAPLUS

CN Benzamide, N-[4-[(2-cyclopropyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(1-methylethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-06-3 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-fluoro-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-07-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-08-5 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-09-6 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 168627-10-9 CAPLUS

CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)(2'-methoxy[1,1'-biphenyl]-4-yl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 168627-11-0 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(2-ethyl-1H-imidazol-1-yl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 168627-12-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-(2-aminoethyl)-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2-\text{CH}_2-\text{NH}_2 \\ \text{NN} \\ \text{C} = \text{O} \\ \\ \text{NH} \\ \text{C} = \text{O} \\ \end{array}$$

●2 HC1

RN 168627-13-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[2-(3-aminopropyl)-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl]carbonyl]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 168627-16-5 CAPLUS

CN Cyclohexanecarboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 168627-26-7 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 168627-27-8 CAPLUS

CN Benzamide, N-[4-[(2-cyclopropyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-

6(1H)-yl)carbonyl]phenyl]-2-(1-methylethoxy)- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 168627-28-9 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(1-methylethyl)- (CA INDEX NAME)

PAGE 2-A

RN 168627-29-0 CAPLUS CN Benzeneacetamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methoxy- (CA INDEX NAME)

PAGE 2-A

RN 168627-30-3 CAPLUS

CN Benzeneacetamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

PAGE 2-A

RN 168627-31-4 CAPLUS

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(2-ethyl-1H-imidazol-1-yl)- (CA INDEX NAME)

PAGE 2-A

OS.CITING REF COUNT:

REFERENCE COUNT:

14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/565,702

L28 ANSWER 72 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:419668 CAPLUS

DOCUMENT NUMBER: 122:265125

ORIGINAL REFERENCE NO.: 122:48400h, 48401a

TITLE: Synthesis of biliverdins with stable extended

conformations. Part II

AUTHOR(S): Bari, Sara E.; Iturraspe, Jose; Frydman, Benjamin CORPORATE SOURCE: Fac. Farm. Bioquim., Univ. Buenos Aires, Buenos Aires,

1113, Argent.

SOURCE: Tetrahedron (1995), 51(8), 2255-66

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:265125

GΙ

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The synthesis of two hexacyclic, I and II, and one heptacyclic biliverdin, III, with extended conformations was achieved using base catalyzed intramol. substitution reactions of 2-chloroethyl biliverdins. The 2-chloroethyl residues were located at selected  $\beta$ -pyrrole positions as to enable them to react with proximal basic nitrogens at the adjacent pyrrole rings. Seven membered rings were thus formed which distorted either two or the three exocyclic double bonds at the biliverdin meso-bridges away from their usual Z-syn configuration. The hexacyclic bilverdin I is isomorphous with the chromophores of C-phycocyanin, biliverdin II is an isomer of isophorcabilin, and the heptacyclic biliverdin III has the fullest extended conformation that the biliverdin backbone can achieve.

IT 118631-58-6P 130877-88-2P 162661-71-4P RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of hexacyclic and heptacyclic biliverdins)

RN 118631-58-6 CAPLUS

CN Dipyrrolo[1,2-a:2',3'-d]azepine-9-propanoic acid, 2-[[4,5-dihydro-9-(3-methoxy-3-oxopropyl)-3,8-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2(7H)-ylidene]methyl]-1,4,5,7-tetrahydro-3,8-dimethyl-7-oxo-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 130877-88-2 CAPLUS

CN Pyrrolo[1,2-a]pyrrolo[1'''',2'''':1''',7''']azepino[4''',5''':4'',5'']pyrrolo[1'',2'':1',7']azepino[4',5':4,5]pyrrolo[2,3-d]azepine-2,12-dipropanoic acid, 3,5,6,7,8,13,15,16-octahydro-1,11,17-trimethyl-3,13-dioxo-, 2,12-dimethyl ester (CA INDEX NAME)

PAGE 1-B

- OMe

RN 162661-71-4 CAPLUS

CN 10H-Dipyrrolo[1',2'-a':2,3-d]pyrrolo[1,5-a:2,3-d']bisazepine-9-propanoic acid, 2-[[1,5-dihydro-4-(3-methoxy-3-oxopropyl)-3-methyl-5-oxo-2H-pyrrol-2-ylidene]methyl]-4,5,12,13-tetrahydro-3,8,14-trimethyl-10-oxo-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

## 10/565,702

L28 ANSWER 73 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:419667 CAPLUS

DOCUMENT NUMBER: 122:290543

ORIGINAL REFERENCE NO.: 122:52971a,52974a

TITLE: Synthesis of biliverdins with stable extended

conformations. Part I

AUTHOR(S): Iturraspe, Jose; Bari, Sara E.; Frydman, Benjamin CORPORATE SOURCE: Fac. Farm. Bioquimica, Univ. Buenos Aires, Buenos

Aires, 1113, Argent.

SOURCE: Tetrahedron (1995), 51(8), 2243-54

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:290543

Biliverdins with extended conformations stabilized by intramol. Et bridges were obtained by base treatment of helical biliverdins with 2-chloroethyl side chains. Thus, neobiliverdin  ${\rm IC}\beta$  was obtained by reaction of 13,18-di(2-chloroethyl)-biliverdin with DBH. During the reaction, the 2-chloroethyl-C(13) residue underwent an intramol. substitution reaction with N-24 while the 2-chloroethyl-C(18) residue underwent an elimination reaction to form a vinyl residue. This reaction scheme was unambiguously demonstrated by performing the synthesis of [15N-24]-dihydro-neobiliverdin  ${\tt IX}{\beta}$  and of [15N-23]-dihydrophorcabilin. The method was then applied to the synthesis of neobiliverdin IX $\delta$ , a natural product isolated from the ovaries of the sea snake Turbo cornutus. It was concluded that when the 2-chloroethyl side chains are at C(3) (or the equivalent C(17)) and C(2) (or the equivalent C(18)) positions of the biliverdin, elimination reactions lead to vinyl residues in basic media; at any other of the  $\beta$ -pyrrole sites, treatment with base leads to the formation of seven-membered rings by intramol. substitution reactions.

IT 118631-57-5P 163014-57-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of pentacyclic biliverdins)

RN 118631-57-5 CAPLUS

CN 1H-Pyrrole-3-propanoic acid, 2-[[2-[(8-ethenyl-1,4,5,7-tetrahydro-3,9-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2-yl)methylene]-4-(3-methoxy-3-oxopropyl)-3-methyl-2H-pyrrol-5-yl]methylene]-2,5-dihydro-4-methyl-5-oxomethyl ester, (Z,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 163014-57-1 CAPLUS

CN 1H-Pyrrole-3-propanoic acid, 2-[[2-[(8-ethyl-1,4,5,7-tetrahydro-3,9-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2-yl-6-15N)methylene]-4-(3-methoxy-3-oxopropyl)-3-methyl-2H-pyrrol-5-yl]methylene]-2,5-dihydro-4-methyl-5-oxo-, methyl ester, (Z,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L28 ANSWER 74 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:605360 CAPLUS

DOCUMENT NUMBER: 121:205360

ORIGINAL REFERENCE NO.: 121:37397a,37400a

Preparation of antiallergic triazolo(pyrrolo, thieno TITLE:

or furano) azepine derivatives

INVENTOR(S): Janssens, Frans Eduard; Lacrampe, Jean Fernand Armand;

Pilatte, Isabelle Noelle Consta

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 42 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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										WO 1993-EP3322									
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С	Α	. 2150804			•	A1 19940623			CA 1993-2150804						19931125				
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А	U	67670	)3			В2		1997	0320										
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											ΕP	199	94-	9018	88		A 1	9931	125
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

MARPAT 121:205360 OTHER SOURCE(S):

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Title compds. I (E-G = XCR1CH, CH:CR2X wherein X = 0, S or R3N wherein R3 =H, C1-6 alkyl, C1-4 alkylcarbonyl, R1, R2 = H, C1-4 alkyl, halo, (substituted)ethenyl, etc.; BD = CR4:N, N:CR5 wherein R4 H, C1-4 alkyl, (substituted)ethenyl, HO-C1-4 alkyl, HCO, HO2C, R5 = H, Ph, pyridinyl, etc.; L = H, (substituted)C1-6 alkyl, (aryl)C3-6 alkenyl, Alk-Y-Het, Alk-NHCO-Het, Alk-Het wherein Alk = C1-4 alkanediyl,, Y = 0, S, NH, Het = (substituted)heterocyclyl) or a salt or stereomer thereof, are prepared (1-Methyl-4-piperidinyl)[1-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-1H-1,2,4-triazol-5-yl]methanone (preparation given) was added to MeSO3H at 0° followed by NaOH to give after workup II. Pharmaceutical formulations comprising I are given.

IT 1236831-63-2

RL: PRPH (Prophetic)

(Preparation of antiallergic triazolo(pyrrolo, thieno or furano)azepine derivatives)

RN 1236831-63-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

IT 158144-23-1P 158144-25-3P 158144-26-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

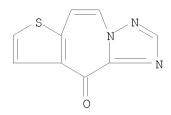
(preparation and reaction of, in preparation of antiallergy agents)

RN 158144-23-1 CAPLUS

CN 10H-Thieno[3,2-d]-1,2,4-triazolo[4,3-a]azepine (CA INDEX NAME)

RN 158144-25-3 CAPLUS

CN 10H-Thieno[3,2-d][1,2,4]triazolo[1,5-a]azepin-10-one (CA INDEX NAME)



RN 158144-26-4 CAPLUS

CN 10H-Thieno[3,2-d][1,2,4]triazolo[1,5-a]azepin-10-ol, 10-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

IT 158143-86-3P 158143-89-6P 158144-02-6P

158144-10-6P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antiallergy agent)

RN 158143-86-3 CAPLUS

CN 10H-Thieno[3,2-d]-1,2,4-triazolo[4,3-a]azepine, 10-(1-methyl-4-piperidinylidene)- (CA INDEX NAME)

RN 158143-89-6 CAPLUS
CN Pyrrolo[3,2-d][1,2,4]triazolo[1,5-a]azepine,
7,10-dihydro-10-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-7-methyl(CA INDEX NAME)

RN 158144-02-6 CAPLUS CN 10H-Furo[3,2-d][1,2,4]triazolo[1,5-a]azepine, 8-methyl-10-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

RN 158144-10-6 CAPLUS

CN 1-Piperidinepropanoic acid, 4-(10H-thieno[3,2-d]-1,2,4-triazolo[4,3-a]azepin-10-ylidene)-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 75 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:244848 CAPLUS

DOCUMENT NUMBER: 120:244848

ORIGINAL REFERENCE NO.: 120:43401a,43404a

TITLE: Thiopheno[3,2][1]benzazepine,

benzo[3,4]cyclohepta[2,1-b]thiophenes, thiazolo[5,4-d][1]benzazepine and benzo[3,4]cyclohepta[2,1-d]thiazoles

AUTHOR(S): Peesapati, V.; Lingaiah, N.

CORPORATE SOURCE: Dep. Chem., Osmania Univ., Hyderabad, 500 007, India SOURCE: Organic Preparations and Procedures International

(1993), 25(5), 602-6

CODEN: OPPIAK; ISSN: 0030-4948

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:244848

GΙ

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 $R^3$ 

Cyclocondensation of 2-benzazepinecarboxaldehydes I (R = tosyl, H; R1 = H, MeO; R2 = H, Cl, OMe) gave the thiopheno[3,2-d][1]benzazepines II (same R, R1; R3 = H, Et, etc.) in good yield. The thiazolo[5,4-d]benzazepines III (same R1, R2) were also prepared

IT 153894-28-1P 153894-29-2P 153894-30-5P

153894-33-8P 153894-34-9P

RN 153894-28-1 CAPLUS

CN 4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid,

5,6-dihydro-6-[(4-methylphenyl)sulfonyl]-, ethyl ester (CA INDEX NAME)

153894-29-2 CAPLUS 4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid, CN 8-chloro-5,6-dihydro-6-[(4-methylphenyl)sulfonyl]-, ethyl ester (CA INDEX NAME)

153894-30-5 CAPLUS RN

4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid, CN 5,6-dihydro-8,9-dimethoxy-6-[(4-methylphenyl)sulfonyl]-, ethyl ester (CA INDEX NAME)

RN 153894-33-8 CAPLUS

CN 4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid, 5,6-dihydro- (CA INDEX NAME)

RN 153894-34-9 CAPLUS

CN 4H-Thieno[3,2-d][1]benzazepine-2-carboxylic acid, 8-chloro-5,6-dihydro-(CA INDEX NAME)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

AUTHOR(S):

L28 ANSWER 76 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:133367 CAPLUS

DOCUMENT NUMBER: 120:133367

ORIGINAL REFERENCE NO.: 120:23471a,23474a

TITLE: Synthesis and conformational flexibility of

4,9-dihydroindolo[3,2-d][1,2,4]triazolo[4,3-

a][1]benzazepines
Kunick, Conrad

CORPORATE SOURCE: Inst. Pharm., Univ. Hamburg, Hamburg, 20146, Germany

SOURCE: Liebigs Annalen der Chemie (1993), (10), 1141-3

CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: Journal LANGUAGE: German

GΙ

AB The title compds. (I; R = H, Me, Et, Ph, o-tolyl) were prepared by cyclization of a thiolactam with acyl hydrazides. The influence of R on the barrier to ring inversion in I was studied by dynamic 1H-NMR spectroscopy.

IT 153079-84-6P 153079-85-7P 153079-86-8P

153079-87-9P 153079-88-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and ring inversion barrier of)

RN 153079-84-6 CAPLUS

CN Indolo[3,2-d][1,2,4]triazolo[4,3-a][1]benzazepine, 9,14-dihydro- (CA INDEX NAME)

RN 153079-85-7 CAPLUS

CN Indolo[3,2-d][1,2,4]triazolo[4,3-a][1]benzazepine, 9,14-dihydro-6-methyl-(CA INDEX NAME)

RN 153079-86-8 CAPLUS

CN Indolo[3,2-d][1,2,4]triazolo[4,3-a][1]benzazepine, 6-ethyl-9,14-dihydro-(CA INDEX NAME)

RN 153079-87-9 CAPLUS

CN Indolo[3,2-d][1,2,4]triazolo[4,3-a][1]benzazepine, 9,14-dihydro-6-phenyl-(CA INDEX NAME)

RN 153079-88-0 CAPLUS

CN Indolo[3,2-d][1,2,4]triazolo[4,3-a][1]benzazepine, 9,14-dihydro-6-(2-methylphenyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L28 ANSWER 77 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1993:213072 CAPLUS

DOCUMENT NUMBER: 118:213072

ORIGINAL REFERENCE NO.: 118:36731a,36734a

TITLE: Preparation of imidazo[1,2-a] (pyrrolo, thieno or furano)[3,2-d]azepines as allergy inhibitors

INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas

Marcella; Leenaerts, Joseph Elisabeth; Cooymans,

Ludwig Paul

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: Eur. Pat. Appl., 60 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					KIND		DATE		API	PLICATION NO.				DATE 		
מש	510/	518434 R: PT 101851 1068116			7. 1		19921216									9920	
IL	101851			A 19960514			IL 1992-101851				19920513						
CN	1068116			А	A 19930120												
CN	1033	1033587			С	C 19961218											
CA	1033587 2102889			A1 19921214			CA 1992-2102889					19920609					
CA	2102889			C 20021126													
WO	9222553				A1 19921			1223	WO 1992-EP1331					19920609			
	W:	ΑU,	BB,	BG,	BR,	CA,	CS,	FΙ,	HU,	JI	P, KP,	KR,	LK,	MG,	MW,	NO,	PL,
					US												
	RW:										M, DE,			FR,	GΑ,	GB,	GN,
		GR,	ΙΤ,	LU,	MC,	ML,	MR,	NL,	SE,	SI	N, TD,	ΤG					
AU	9219011				А	19930112			AU 1992-19011				19920609				
AU	652841			В2	19940908												
EP	588859			A1	19940330			EP 1992-911643				19920609					
EP	5888	59			В1		2003	0813									
											R, IT,						
JP	06507890			T 19940908			JP 1992-510734					19920609					
JP	3182	421			В2		2001	0703									
HU	7042	8			A2					HU	1993-	3554			1	9920	609
HU	2210	13			В1		2002	0729									
PL	1703	76			В1		1996	1231		PL	1992-	3018	19		1	9920	609
AT	T 3102421 U 70428 U 221013 L 170376 T 247118 S 2204892 A 9204327			Т	20030815				ΑT	AT 1992-911643 ES 1992-911643 ZA 1992-4327				19920609			
ES	2204	892			Т3		2004	0501		ES	1992-	9116	43		1	9920	609
ZA	9204	327			А		1993	1213		ZA	1992- 1993-	4327			1	9920	612
US	2401	030			A					US	1993-	1501	21		1		
	9304				А		1994			ИО	1993-	4493			1	9931	209
NO	3006	89			В1		1997	0707									
	NO 300689 FI 104077				В1		1999	1115		FΙ	1993-	5557			_ 1	9931	210
PRIORIT	Y APP	LN.	INFO	.:							1991-						
										WO	1992-	EP13	31		A 1	9920	609

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 118:213072

GI For diagram(s), see printed CA Issue.

AB Title compds. [I; R1 = H, alkyl, halo, ethenyl substituted with CO2H or alkoxycarbonyl, hydroxylalkyl, CHO, HO2C, hydroxycarbonylalkyl; R2 = H, alkyl, ethenyl or alkyl substituted with CO2H or alkoxy carbonyl, hydroxyalkyl, CHO, CO2H; R3 = H, alkyl, hydroxyalkyl, Ph, halo; L = H,

(substituted) alkyl, alkenyl, ZYQ1, ZNHCOQ2, ZQ3; Y = O, S, NH; Z = C1-4 alkylene; Q1, Q2 = (substituted) furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrrolyl, pyrazolyl, thiadiazolyl, oxodiazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, imidazo[4,5-c]pyridin-2-yl; Q3 = Q1, (substituted) 4,5-dihydro-5-oxo-1H-tetrazolyl, 2-oxo-3-oxazolidinyl, 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl, etc.; X = 0, S, NR5; R5 = H,alkyl, alkoxycarrbonyl; dotted lines = optional double bonds| were prepared as broad spectrum antiallergics with excellent oral availability, lack of sedating properties, fast onset of action, and favorable duration of action (no data). Thus, [2-(1-methyl-1H-pyrrol-2-yl)ethyl] methanesulfonate was refluxed 3 daysa with imidazole and K2CO3 in THF to give 61.7% 1-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-1H-imidazole. The latter and then Et6 1-methyl-4-piperidinecarboxylate were added to a  $-70^{\circ}$ mixture of (MyCH)2NH and BuLi in THF. The mixture was stirred 1 h at  $-70^{\circ}$  and 2 h at room temperature ti give 60% (1-methyl-4-piperidinyl)[1-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-1H-imidazol-2-yl]methanone. This was stirred with MeSO3H at 80° to give 10.8% title compound II. Pharmaceutical I formulations are given.

TT 146800-71-7P 146800-72-8P 147184-18-7P 147184-19-8P 147184-20-1P 147184-22-3P 147184-24-5P 147184-27-8P 147210-29-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as allergy inhibitor)

RN 146800-71-7 CAPLUS

CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepine, 10-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

RN 146800-72-8 CAPLUS

CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepine, 10-(4-piperidinylidene)- (CA INDEX NAME)

RN 147184-18-7 CAPLUS

CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepine, 10-(1-methyl-4-piperidinylidene)-(CA INDEX NAME)

RN 147184-19-8 CAPLUS

CN Imidazo[1,2-a]pyrrolo[3,2-d]azepine, 7,10-dihydro-7-methyl-10-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

RN 147184-20-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(10H-imidazo[1,2-a]thieno[3,2-d]azepin-10-ylidene)-, ethyl ester (CA INDEX NAME)

# ● HCl

RN 147184-24-5 CAPLUS
CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepine,
10-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinylidene]-, ethanedioate (2:5)
(CA INDEX NAME)

CM 1

CRN 147184-23-4
CMF C24 H25 N3 O S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 147184-27-8 CAPLUS

CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepine, 10-(1-methyl-4-piperidinyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

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RN
     147210-29-5 CAPLUS
CN
     5H-Thiazolo[3,2-a]pyrimidin-5-one,
     6-[2-[4-(10H-imidazo[1,2-a]thieno[3,2-d]azepin-10-ylidene)-1-
     piperidinyl]ethyl]-7-methyl-, ethanedioate (1:2) (CA INDEX NAME)
          1
     CM
         147210-28-4
     CRN
     CMF
         C24 H23 N5 O S2
       CH<sub>2</sub>
       CH<sub>2</sub>
 Ме
           = 0
     CM
          2
     CRN 144-62-7
     CMF
         C2 H2 O4
   \bigcirc
      0
HO- C- C- OH
     146800-88-6P, 4H-Thieno[2,3-d]azepin-5-amine
ΙT
     146800-89-7P
                      146800-90-0P,
     10H-Imidazo[1,2-a]thieno[3,2-d]azepine
                                                 146800-91-1P
     146800-92-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
         (preparation of, as intermediates for imidazolazoloazepine inhibitor)
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RN

CN

146800-88-6 CAPLUS

4H-Thieno[2,3-d]azepin-5-amine (CA INDEX NAME)

RN 146800-89-7 CAPLUS

CN 4H-Thieno[2,3-d]azepin-5-amine, N-(2,2-dimethoxyethyl)- (CA INDEX NAME)

RN 146800-90-0 CAPLUS

CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepine (CA INDEX NAME)

RN 146800-91-1 CAPLUS

CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepin-10-one (CA INDEX NAME)

RN 146800-92-2 CAPLUS

CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepin-10-ol, 10-(1-methyl-4-piperidinyl)-(CA INDEX NAME)

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L28 ANSWER 78 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1993:34948 CAPLUS

DOCUMENT NUMBER: 118:34948

ORIGINAL REFERENCE NO.: 118:6287a,6290a

TITLE: The interplay between basicity, conformation, and

enzymic reduction in biliverdins

AUTHOR(S): Bari, Sara; Frydman, Rosalia B.; Grosman, Claudio;

Frydman, Benjamin

CORPORATE SOURCE: Fac. Farm. Bioquim., Univ. Buenos Aires, Buenos Aires,

Argent.

SOURCE: Biochemical and Biophysical Research Communications

(1992), 188(1), 48-56

CODEN: BBRCA9; ISSN: 0006-291X

DOCUMENT TYPE: Journal LANGUAGE: English

Biliverdins with extended conformations are reduced by biliverdin AB reductase (BvR) at higher rates than biliverdins with helical conformations. To find out the mol. basis for this important feature of BvR mechanism, helical and extended biliverdins were titrated for their acid-base equilibrium in a protic solvent (methanol). The basicity of biliverdins increased with the stretching of the conformation. Biliverdin IX  $\gamma$  (all-syn) has a pKa = 3.6; 5,10,15-syn,syn,anti-biliverdin has a pKa = 3.7; 5,10,15-syn,anti,syn-biliverdin has a pKa = 6.1; 5,10,15-syn, anti, anti-biliverdin has a pKa = 6.4; and 5,10,15-all-anti-biliverdin has a pKa = 7.9. The increase in basicity with progressive stretching of conformations closely parallels the increase in the reduction rates by BvR. A biliverdin constrained by a 4-carbon chain to a helical conformation and which is a very weak base (pKa = 0.4) is not reduced by BvR. Nucleophilic addns. of 2-mercaptoethanol at the C10 in biliverdins closely parallel their basicities, as can be expected if the formation of a pos. mesomeric species at C10 is linked to the basicity (i.e., the ease of protonation) of the N23 on the pyrrolenine ring.

IT 130877-88-2 145089-48-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with biliverdin reductase, substrate conformation and basicity in relation to)

RN 130877-88-2 CAPLUS

CN Pyrrolo[1,2-a]pyrrolo[1'''',2'''':1''',7''']azepino[4''',5''':4'',5'']pyrrolo[1'',2'':1',7']azepino[4',5':4,5]pyrrolo[2,3-d]azepine-2,12-dipropanoic acid, 3,5,6,7,8,13,15,16-octahydro-1,11,17-trimethyl-3,13-dioxo-, 2,12-dimethyl ester (CA INDEX NAME)

PAGE 1-B

- OMe

RN 145089-48-1 CAPLUS

CN 10H-Dipyrrolo[1',2'-a':2,3-d]pyrrolo[1,5-a:2,3-d']bisazepine-9-propanoic acid, 2-[[1,5-dihydro-4-(3-methoxy-3-oxopropyl)-3-methyl-5-oxo-2H-pyrrol-2-ylidene]methyl]-4,5,12,13-tetrahydro-3,8,14-trimethyl-10-oxo-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- OMe

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L28 ANSWER 79 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:526659 CAPLUS

DOCUMENT NUMBER: 117:126659

ORIGINAL REFERENCE NO.: 117:21869a,21872a

TITLE: Reconstitution of apomyoglobin with extended

biliverdins

AUTHOR(S): Fernandez, Marcelo; Frydman, Rosalia B.; Bari, Sara;

Frydman, Benjamin

CORPORATE SOURCE: Fac. Farm. Bioquim., Univ. Buenos Aires, Buenos Aires,

Argent.

SOURCE: Biochemical and Biophysical Research Communications

(1992), 183(3), 1209-15

CODEN: BBRCA9; ISSN: 0006-291X

DOCUMENT TYPE: Journal LANGUAGE: English

AB An anal. of the reconstitution of biliverdins with extended conformations and horse heart apomyoglobin was carried out. Biliverdins with the 5Z-syn, 10Z-syn, 15Z-anti and 5Z-anti, 10Z-syn, 15Z-anti conformations, as well as biliverdins with the Z,Z,Z all-syn conformation recombined with apomyoglobin. In every case the P enantiomers were bound in excess to the M enantiomers, with the exception of the 5-syn, 10-syn, 15-anti biliverdins where the M enantiomer bound preferentially to the protein. Biliverdins with an anti conformation at the C-10 meso bridge did not recombine with the protein. It was concluded that the presence of a syn conformation at the C-10 methine conferred to the biliverdin the necessary helicity to fit into the apomyoglobin heme pocket. This regioselectivity of the heme pocket is of importance in view of the well-known analogy between the ligand domains of myoglobin and the C-phycocyanins.

IT 130877-84-8 143222-57-5 143222-59-7

RL: PRP (Properties)

(apomyoglobin reconstitution with, structure in relation to)

RN 130877-84-8 CAPLUS

CN Pyrrolo[1,2-a]pyrrolo[1'''',2'''':1''',7''']azepino[4''',5''':4'',5'']pyrrolo[1'',2'':1',7']azepino[4',5':4,5]pyrrolo[2,3-d]azepine-2,12-dipropanoic acid, 3,5,6,7,8,13,15,16-octahydro-1,11,17-trimethyl-3,13-dioxo- (CA INDEX NAME)

RN 143222-57-5 CAPLUS

CN Dipyrrolo[1,2-a:2',3'-d]azepine-9-propanoic acid, 2-[[9-(2-carboxyethyl)-4,5-dihydro-3,8-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2(7H)-ylidene]methyl]-1,4,5,7-tetrahydro-3,8-dimethyl-7-oxo-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

CO<sub>2</sub>H

RN 143222-59-7 CAPLUS

CN 5H-Dipyrrolo[1',2'-a':2,3-d]pyrrolo[1,5-a:2,3-d']bisazepine-9-propanoic acid, 2-[[4-(2-carboxyethyl)-1,5-dihydro-3-methyl-5-oxo-2H-pyrrol-2-ylidene]methyl]-4,10,12,13-tetrahydro-3,8,14-trimethyl-10-oxo-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

\_\_CO2H

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L28 ANSWER 80 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1991:61950 CAPLUS

DOCUMENT NUMBER: 114:61950

ORIGINAL REFERENCE NO.: 114:10623a,10626a

TITLE: Preparation and formulation of tetra- and

decahydroquinoline-4-carboxylic acids and analogs for

use in tissue irrigating solutions

INVENTOR(S): Leclerc, Gerard; Ruhland, Beatrice; Andermann, Guy; De

Burlet, Georges; Dietz, Michel

PATENT ASSIGNEE(S): Laboratoires Alcon S. A., Fr.

SOURCE: U.S., 16 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4952573	A	19900828	US 1988-172047	19880323
PRIORITY APPLN. INFO.:			US 1988-172047	19880323

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 114:61950

AB The title compds. having  $\gamma$ -aminobutyric acid (GABA) like activity, were prepared for use in tissue irrigating solns. to promote corneal deswelling during otic surgery. Thus, N-methylquinoline-4-carboxamide was stirred with Ni-Al alloy in aqueous MeOH containing KOH and the product refluxed

14 h with aqueous HCl to give 1,2,3,4-tetrahydroquinoline-4-carboxylic acid-HCl, which gave 34.6  $\mu m$  reduction of bovine corneal swelling after 3 h perfusion at 0.01 mM compared to 17.2  $\mu m$  reduction by GABA under the same conditions.

IT 131753-37-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of otic tissue irrigant)

RN 131753-37-2 CAPLUS

CN 6H-Isoxazolo[4,5-d][1]benzazepine-6-carboxylic acid, 2,3,4,5-tetrahydro-3-oxo-, ethyl ester (CA INDEX NAME)

IT 131753-38-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as otic tissue irrigant)

RN 131753-38-3 CAPLUS

CN 3H-Isoxazolo[4,5-d][1]benzazepin-3-one, 2,4,5,6-tetrahydro-, hydrobromide (1:1) (CA INDEX NAME)

• HBr

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD

(6 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 81 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1991:2582 CAPLUS

DOCUMENT NUMBER: 114:2582
ORIGINAL REFERENCE NO.: 114:531a,534a

TITLE: The enzymic and chemical reduction of extended

biliverdins

AUTHOR(S): Frydman, Rosalia B.; Bari, Sara; Tomaro, Maria L.;

Frydman, Benjamin

CORPORATE SOURCE: Fac. Farm. Bioquim., Univ. Buenos Aires, Buenos Aires,

Argent.

SOURCE: Biochemical and Biophysical Research Communications

(1990), 171(1), 465-73

CODEN: BBRCA9; ISSN: 0006-291X

DOCUMENT TYPE: Journal LANGUAGE: English

AB The substrate specificity of rat liver biliverdin reductase was probed using helical and extended biliverdins. The former were the ZZZ-all-syn biliverdins IX  $\alpha$  and IX  $\gamma$ , and the latter were the 5Z-syn, 10Z-syn, 15Z-anti; 5Z-anti, 10Z-syn, 15Z-anti; 5Z-syn, 10E-anti, 15Z-syn; 5Z-syn, 10E-anti, 15Z-anti and 5Z-anti, 10E-anti, 15E-anti biliverdins. Reduction rates of the biliverdins increased with the progressive stretching of their conformations. The most extended biliverdin was reduced at a higher rate than biliverdin IX  $\alpha$ . The chemical reduction rates to bilirubins followed a similar pattern. Nucleophilic addition of 2-mercaptoethanol to the C10 methine was also favored in the extended biliverdins.

IT 130877-88-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydrolysis of)

RN 130877-88-2 CAPLUS

CN Pyrrolo[1,2-a]pyrrolo[1'''',2'''':1''',7''']azepino[4''',5''':4'',5'']pyrrolo[1'',2'':1',7']azepino[4',5':4,5]pyrrolo[2,3-d]azepine-2,12-dipropanoic acid, 3,5,6,7,8,13,15,16-octahydro-1,11,17-trimethyl-3,13-dioxo-, 2,12-dimethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- OMe

IT 130877-84-8P 130888-62-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and reduction by chemical reagent or mammalian biliverdin reductase,  $\$ 

structure relation to)

RN 130877-84-8 CAPLUS

CN Pyrrolo[1,2-a]pyrrolo[1'''',2'''':1''',7''']azepino[4''',5''':4'',5'']pyrrolo[1'',2'':1',7']azepino[4',5':4,5]pyrrolo[2,3-d]azepine-2,12-dipropanoic acid, 3,5,6,7,8,13,15,16-octahydro-1,11,17-trimethyl-3,13-dioxo- (CA INDEX NAME)

RN 130888-62-9 CAPLUS

CN Dipyrrolo[1,2-a:2',3'-d]azepine-8-propanoic acid, 2-[[8-(2-carboxyethyl)-4,5-dihydro-3,9-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2(7H)-ylidene]methyl]-1,4,5,7-tetrahydro-3,9-dimethyl-7-oxo-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

\_\_CO2H

RN 130877-89-3 CAPLUS

CN Pyrrolo[1,2-a]pyrrolo[1'''',2'''':1''',7''']azepino[4''',5''':4'',5'']pyrrolo[1'',2'':1',7']azepino[4',5':4,5]pyrrolo[2,3-d]azepine-2,12-dipropanoic acid, 3,5,6,7,8,13,15,16-octahydro-18-[(2-hydroxyethyl)thio]-1,11,17-trimethyl-3,13-dioxo- (CA INDEX NAME)

RN 130877-90-6 CAPLUS

CN Dipyrrolo[1,2-a:2',3'-d]azepine-8-propanoic acid, 2-[[8-(2-carboxyethyl)-1,4,5,7-tetrahydro-3,9-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2-yl][(2-hydroxyethyl)thio]methylene]-2,4,5,7-tetrahydro-3,9-dimethyl-7-oxo-, (Z)- (9CI) (CA INDEX NAME)

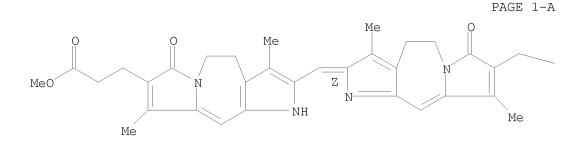
IT 130888-64-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (saponification of)

RN 130888-64-1 CAPLUS

CN Dipyrrolo[1,2-a:2',3'-d]azepine-8-propanoic acid, 2-[[4,5-dihydro-8-(3-methoxy-3-oxopropyl)-3,9-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2(7H)-ylidene]methyl]-1,4,5,7-tetrahydro-3,9-dimethyl-7-oxo-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



PAGE 1-B

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L28 ANSWER 82 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:75127 CAPLUS

DOCUMENT NUMBER: 110:75127

ORIGINAL REFERENCE NO.: 110:12401a,12404a

TITLE: Total synthesis of "extended" biliverdins. The relation between their conformation and their

spectroscopic properties

AUTHOR(S): Iturraspe, Jose B.; Bari, Sara; Frydman, Benjamin CORPORATE SOURCE: Fac. Farm. Bioquim., Univ. Buenos Aires, Buenos Aires,

1113, Argent.

SOURCE: Journal of the American Chemical Society (1989),

111(4), 1525-7

CODEN: JACSAT; ISSN: 0002-7863

Ι

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

AB Extended biliverdins of the neopterobilin type, e.g., I, were obtained by treatment of  $\mathbb{Z}, \mathbb{Z}, \mathbb{Z}-2$ -chloroethylbiliverdins, e.g., II, with DBU at  $25^{\circ}$ . When the 2-chloroethyl residue was at  $\mathbb{C}(7)$ , rotation at the  $\mathbb{C}(5)-\mathbb{C}(6)$  bond allowed a  $5\mathbb{Z}$ -syn to  $5\mathbb{Z}$ -anti conformational change followed by an intramol. alkylation at  $\mathbb{N}(21)$ . A seven-membered ring was thus formed, which kept the new biliverdin in a  $5\mathbb{Z}$ -anti,  $10\mathbb{Z}$ -syn  $15\mathbb{Z}$ -syn conformation. When two 2-chloroethyl residues at  $\mathbb{C}(7)$  and  $\mathbb{C}(13)$  were present in the bilitriene, the DBU treatment afforded a  $5\mathbb{Z}$ -anti,  $10\mathbb{Z}$ -syn,  $15\mathbb{Z}$ -anti biliverdin with two seven-membered rings which resulted from the intramol. alkylation at  $\mathbb{N}(21)$  and  $\mathbb{N}(24)$ . When the 2-chloroethyl chain was

at C(8), a seven-membered ring was formed by alkylation at N(23) and the resulting biliverdin had a 5Z-syn, 10E-anti, 15Z-syn conformation. The 1H-NMR spectra of the extended biliverdins are concentration dependent, indicating that these biliverdins (unlike those with a helicoidal conformation) associate in solution Their spectra were also temperature dependent and

at -80 °C a mixture of conformers could be detected. The  $\epsilon$  vis/ $\epsilon$  UV ratio of the extended biliverdins increased about a 40-fold over the ratio of the helical-shaped biliverdins, a fact that can be useful for the interpretation of the spectra of biliproteins.

IT 118631-57-5P 118631-58-6P 118631-60-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, conformation, and spectral characterization of)

RN 118631-57-5 CAPLUS

CN 1H-Pyrrole-3-propanoic acid, 2-[[2-[(8-ethenyl-1,4,5,7-tetrahydro-3,9-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2-yl)methylene]-4-(3-methoxy-3-oxopropyl)-3-methyl-2H-pyrrol-5-yl]methylene]-2,5-dihydro-4-methyl-5-oxo, methyl ester, (Z,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 118631-58-6 CAPLUS

CN Dipyrrolo[1,2-a:2',3'-d]azepine-9-propanoic acid, 2-[[4,5-dihydro-9-(3-methoxy-3-oxopropyl)-3,8-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2(7H)-ylidene]methyl]-1,4,5,7-tetrahydro-3,8-dimethyl-7-oxo-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 118631-60-0 CAPLUS

CN 1H-Pyrrole-3-propanoic acid, 2-[[2-[(8-ethenyl-1,4,5,7-tetrahydro-3,9-dimethyl-7-oxodipyrrolo[1,2-a:2',3'-d]azepin-2-yl-6-15N)methylene]-4-(3-methoxy-3-oxopropyl)-3-methyl-2H-pyrrol-5-yl]methylene]-2,5-dihydro-4-methyl-5-oxo-, methyl ester, (Z,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L28 ANSWER 83 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:32948 CAPLUS

DOCUMENT NUMBER: 106:32948

ORIGINAL REFERENCE NO.: 106:5523a,5526a

TITLE: Synthesis of pyrazolo [4,5-d] - and

pyrazolo[4,5-c][1]benzazepine derivatives. IV

AUTHOR(S): Melani, Fabrizio; Cecchi, Lucia; Palazzino, Giovanna;

Filacchioni, Guido

CORPORATE SOURCE: Dip. Sci. Farm., Univ. Firenze, Florence, 50121, Italy

SOURCE: Journal of Heterocyclic Chemistry (1986), 23(1), 173-6

CODEN: JHTCAD; ISSN: 0022-152X

Me

II

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:32948

GΙ

AB Title compds. I and II (R = H, 3-Cl, 4-Cl, 3-Me), analogs of the antitumor agent anthramycin, were prepared starting from pyrazoles III and IV, resp.

IT 106148-11-2P 106148-12-3P 106148-13-4P

106148-14-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antitumor agent)

RN 106148-11-2 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepin-5(1H)-one, 4,6-dihydro-3-methyl-1-phenyl-(CA INDEX NAME)

RN 106148-12-3 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepin-5(1H)-one, 1-(3-chlorophenyl)-4,6-dihydro-3-methyl- (CA INDEX NAME)

RN 106148-13-4 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepin-5(1H)-one, 4,6-dihydro-3-methyl-1-(3-methylphenyl)- (CA INDEX NAME)

RN 106148-14-5 CAPLUS

CN Pyrazolo[4,3-d][1]benzazepin-5(1H)-one, 1-(4-chlorophenyl)-4,6-dihydro-3-methyl- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L28 ANSWER 84 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:403202 CAPLUS

DOCUMENT NUMBER: 101:3202
ORIGINAL REFERENCE NO.: 101:559a,562a

TITLE: Determination of the radioprotective activity of

imipramine analogs

AUTHOR(S): Gansser, C.; Marcot, B.; Viel, C.; Fatome, M.; Laval,

J. D.

CORPORATE SOURCE: Lab. Pharm. Chim., Fac. Pharm., Chatenay-Malabry, F

92290, Fr.

SOURCE: Annales Pharmaceutiques Françaises (1983), 41(5),

465-71

CODEN: APFRAD; ISSN: 0003-4509

DOCUMENT TYPE: Journal LANGUAGE: French

GΙ

I

AB The radioprotective activity of analogs of imipramine (I) were examined The radioprotectant activity was studied in male albino mice exposed to  $\gamma\text{-irradiation}$  (0.3 Gy/min) and injected with 50-375 mg/kg i.p., and the results compared with AET. The I analogs containing pyridoazepine or azepinone had radioprotectant activity based on LD50/30, but were all inferior to AET.

IT 90358-80-8 90358-81-9 RL: BIOL (Biological study)

(radioprotection by)

RN 90358-80-8 CAPLUS

CN 8H-Dibenz[b,f]isoxazolo[5,4-d]azepine-8-ethanamine,

N, N-dimethyl-3-phenyl-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 90358-81-9 CAPLUS CN 8H-Dibenz[b,f]isoxazolo[5,4-d]azepine-8-propanamine, N,N, $\beta$ -trimethyl-3-phenyl-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

L28 ANSWER 85 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1983:143412 CAPLUS

DOCUMENT NUMBER: 98:143412

ORIGINAL REFERENCE NO.: 98:21853a,21856a

TITLE: Dibenzazepine tetracyclic derivatives and pharmaceutical compositions containing them

INVENTOR(S): Viel, Claude; Marcot, Bernoud; Redeuilh, Gerard;

Djiane, Alain; Cherqui, Jean

PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.

SOURCE: Eur. Pat. Appl., 54 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
					-
EP 63525	A1	19821027	EP 1982-400680	1982041	5
R: BE, CH, DE,	FR, GB	, IT, NL, SE			
FR 2504140	A1	19821022	FR 1981-7707	1981041	6
FR 2504140	B1	19831202			
JP 58088384	A	19830526	JP 1982-63793	1982041	6
PRIORITY APPLN. INFO.:			FR 1981-7707	A 1981041	6
OTHER SOURCE(S):	CASREA	CT 98:143412	; MARPAT 98:143412		
GI					

AB Azolodibenzazepines I (X = O, NR7; X1 = alkene; R = alkyl, Ph, substituted Ph; R1, R2 = H; R1R2 = bond, R3, R4 = H, alkyl, aralkyl; NR3R4 = heterocyclic; R5, R6 = H, alkyl, alkoxy, CF3, alkylenedioxy, OH, SH, OCC13, OCF3, SCF3, amino, aminosulfonyl, cyano, NO2, CO2H, alkoxycarbonyl, carbamoyl, acyl, sulfinyl, sulfonyl; R7 = Ph, substituted Ph) were prepared Thus, dibenzazepine was treated with C1CH2CH2NMe2 and cyclized with PhCC1:NOH to give II. At 5 mg/kg i.p. II was antireserpine activity in mice. II gave 70% protection against phenylbenzoquine writhing in mice at 20 mg/kg i.p. It had an anticholinergic ED50 of 5 + 10-4 mg/mL in the isolated quinea pig ileum.

IT 85008-87-3P 85008-88-4P 85008-90-8P 85008-92-0P 85008-93-1P 85008-94-2P

Ι

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antidepressant activity of)

RN 85008-87-3 CAPLUS

CN Dibenzo[b,f]pyrazolo[3,4-d]azepine, 1,8-dihydro-1,3-diphenyl- (CA INDEX

NAME)

RN 85008-88-4 CAPLUS
CN 8H-Dibenz[b,f]isoxazolo[4,5-d]azepine-8-propanamine,
 N,N-dimethyl-3-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 85008-90-8 CAPLUS
CN Dibenzo[b,f]pyrazolo[4,3-d]azepine-8(1H)-ethanamine,
N,N-dimethyl-1,3-diphenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 85008-92-0 CAPLUS
CN 8H-Dibenz[b,f]isoxazolo[5,4-d]azepine-8-ethanamine,
 N,N-dimethyl-3-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 85008-93-1 CAPLUS CN 8H-Dibenz[b,f]isoxazolo[5,4-d]azepine-8-propanamine, N,N, $\beta$ -trimethyl-3-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 85008-94-2 CAPLUS
CN Dibenzo[b,f]pyrazolo[4,3-d]azepine-8(1H)-propanamine,
 N,N-dimethyl-1,3-diphenyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

● HCl

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L28 ANSWER 86 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1980:495115 CAPLUS

DOCUMENT NUMBER: 93:95115

ORIGINAL REFERENCE NO.: 93:15245a,15248a

TITLE: Synthesis of pyrroles, pyridines, and azepines from

2H-azirines

AUTHOR(S): Saruwatari, Masumi; Hatano, Sumiko; Isomura, Kazuaki;

Taniquchi, Hiroshi

CORPORATE SOURCE: Fac. Eng., Kyushu Univ., Fukuoka, Japan

SOURCE: Fukusokan Kagaku Toronkai Koen Yoshishu, 12th (1979),

211-15. Kitasato Daigaku Yakugakubu: Tokyo, Japan.

CODEN: 42VCA9

DOCUMENT TYPE: Conference LANGUAGE: Japanese

GΙ

AB The controlling factor for the formation of pyrroles, pyridines, and azepines (e.g. I-III) from 2H-azirines (e.g. IV, R = H, Me, Ph) were discussed with mechanistic detail.

IT 63325-41-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 63325-41-7 CAPLUS

CN 5H-Benzofuro[2,3-d][1]benzazepine-6-carboxylic acid, ethyl ester (CA INDEX NAME)

L28 ANSWER 87 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:22951 CAPLUS

DOCUMENT NUMBER: 90:22951

ORIGINAL REFERENCE NO.: 90:3791a,3794a

TITLE: Azabenzocycloheptenones. Part 19. Formation of some

heterocyclic annulated compounds from

1,2,3,4-tetrahydro-1-benzazepine derivatives

AUTHOR(S): Proctor, George R.; Smith, Brian M. L.

CORPORATE SOURCE: Dep. Pure Appl. Chem., Univ. Strathclyde, Glasgow, UK SOURCE: Journal of the Chemical Society, Perkin Transactions

1: Organic and Bio-Organic Chemistry (1972-1999)

(1978), (8), 862-70

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 90:22951

GΙ

AB 4-(Ethoxycarbonyl)- and 4-(hydroxymethylene)benzazepin-5-one derivs. I were converted into pyrimidobenzazepines II, pyrazolobenzazepine III, and isoxazolobenzazepine IV by reaction with guanidine, NH2NH2, and NH2OH, resp. E.g., I [R = 4-MeC6H4SO2 (Ts)](R1 = CO2Et, R2 = H and R1R2 = CHOH) with guanidine gave II (R1 = OH, R2 = NH2 and R1 = H, R2 = NHMe), I (R = Ts, R1R2 = CHOH) with NH2NH2 gave 95% III, and I (R = CHO, R1R2 = CHOH) with NH2OH gave 62% IV. [1,2,3]Thiadiazolo[5,4-d]-, quinolino[3,2-d]-, indolo[3,2-c]- and isoxazolo[4,3-d][1]benzazepine derivs. were also prepared

IT 68595-18-6P 68595-20-0P 68595-35-7P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 68595-18-6 CAPLUS

CN 4H-Isoxazolo[4,5-d][1]benzazepine,

5,6-dihydro-6-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

RN 68595-20-0 CAPLUS

CN 6H-Isoxazolo[4,5-d][1]benzazepine-6-carboxaldehyde, 4,5-dihydro- (CA INDEX NAME)

RN 68595-35-7 CAPLUS

CN Imidazo[4,5-d][1]benzazepine, 7,9-dibromo-1,4,5,6-tetrahydro- (CA INDEX NAME)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L28 ANSWER 88 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1978:152465 CAPLUS

DOCUMENT NUMBER: 88:152465

ORIGINAL REFERENCE NO.: 88:24025a,24028a

TITLE: Studies on heterocyclic compounds. XLIII. Reaction of 1-phenyl-4-hydrazino-4.5-dihydro-6H-furo[2.3-

of 1-phenyl-4-hydrazino-4,5-dihydro-6H-furo[2,3-d][1]benzazepine-5-carboxylic acid hydrazide with

aromatic aldehydes

AUTHOR(S): Ito, Kazuo; Yakushijin, Kenichi; Yoshina, Shigetaka

CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, Japan

SOURCE: Heterocycles (1978), 9(2), 169-73

CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

AB The title compound (I; R = H) reacted with R1CHO (R1 = 2-furyl, Ph, p-ClC6H4) in EtOH to give I (R2 = CHR1) and the monoarylidene derivative II.

IT 66206-57-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and condensation with aldehydes)

RN 66206-57-3 CAPLUS

CN 6H-Furo[2,3-d][1]benzazepine-5-carboxylic acid, 1-phenyl-, hydrazide (CA INDEX NAME)

IT 63874-16-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with hydrazine)

RN 63874-16-8 CAPLUS

CN 6H-Furo[2,3-d][1]benzazepine-5-carboxylic acid, 1-phenyl-, ethyl ester

### (CA INDEX NAME)

RN 66206-54-0 CAPLUS
CN 6H-Furo[2,3-d][1]benzazepine-5-carboxylic acid, 1-phenyl-, 2-(phenylmethylene)hydrazide (CA INDEX NAME)

RN 66206-55-1 CAPLUS
CN 6H-Furo[2,3-d][1]benzazepine-5-carboxylic acid, 1-phenyl-, 2-[(4-chlorophenyl)methylene]hydrazide (CA INDEX NAME)

AUTHOR(S):

L28 ANSWER 89 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1977:502204 CAPLUS

DOCUMENT NUMBER: 87:102204

ORIGINAL REFERENCE NO.: 87:16223a,16226a

TITLE: Studies on heterocyclic compounds. Part XXXI.

Synthesis of ethyl 1-phenyl- and

2-methyl-6H-furo[2,3-d][1]benzazepine-5-carboxylates Yakushijin, Kenichi; Yoshina, Shiqetaka; Tanaka, Akira

CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, Japan

SOURCE: Heterocycles (1977), 6(6), 721-5 CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 87:102204

GΙ

AB Thermolysis of I (R = Ph, R1 = H; R = H, R1 = Me) in ligroin gave II, which on thermolysis in boiling xylene gave III. Reduction of III with Zn in AcOH gave IV (R2 = CO2Et), which when treated with NaBH4 in EtOH gave IV (R2 = CH2OH), which was also obtained by direct reduction of III with NaBH4 in EtOH.

IT 63874-16-8P 63874-17-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reduction of)

RN 63874-16-8 CAPLUS

CN 6H-Furo[2,3-d][1]benzazepine-5-carboxylic acid, 1-phenyl-, ethyl ester (CA INDEX NAME)

RN 63874-17-9 CAPLUS CN 6H-Furo[2,3-d][1]benzazepine-5-carboxylic acid, 2-methyl-, ethyl ester (CA INDEX NAME)

L28 ANSWER 90 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1977:453010 CAPLUS

DOCUMENT NUMBER: 87:53010
ORIGINAL REFERENCE NO.: 87:8395a,8398a

TITLE: Compelled azepine ring formation in thermal ring

expansion of 2H-azirine

AUTHOR(S): Isomura, Kazuaki; Taguchi, Hiroshi; Tanaka,

Tatsuyoshi; Taniquchi, Hiroshi

CORPORATE SOURCE: Fac. Eng., Kyushu Univ., Fukuoka, Japan SOURCE: Chemistry Letters (1977), (4), 401-4

CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

AB Thermolyses of benzofuran-2-ylvinyl azides I (R = H, Me, Ph) gave benzofuropyrrole II, benzofuropyridine III, and benzofurobenzazepine IV, resp. Photolysis of these azides gave the corresponding 2H-azirines V, which on heating gave the same heterocyclic comdps., II-IV, as arose from the thermolysis of I.

V

IT 63325-41-7P

RN 63325-41-7 CAPLUS

CN 5H-Benzofuro[2,3-d][1]benzazepine-6-carboxylic acid, ethyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L28 ANSWER 91 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1977:439331 CAPLUS

DOCUMENT NUMBER: 87:39331

ORIGINAL REFERENCE NO.: 87:6202h,6203a

TITLE: New route to the hexahydroazepino[4,5-b]indole series.

Rearrangement of hexahydroindolo[2,3-a]quinolizine by

the action of cyanogen bromide

AUTHOR(S): Costa, G.; Riche, C.; Husson, H. P.

CORPORATE SOURCE: Inst. Chim. Subst. Nat., CNRS, Gif-sur-Yvette, Fr.

SOURCE: Tetrahedron (1977), 33(3), 315-20

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal LANGUAGE: French

OTHER SOURCE(S): CASREACT 87:39331

GΙ

AB The enamine I (R = H) with BrCN and Na2CO3 in aqueous THF gave 47% hexahydroazepinoindole II and 5% octahydroindoloquinolizine III. II and III are formed via I (R = Br) which undergoes further reaction with BrCN to give III and reaction with HO- followed by rearrangement and further reaction with BrCN to give II. II with base in refluxing xylene gave cyclization product IV, the structure of which was determined by x-ray crystallog. anal.

IT 63281-60-7P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of)

RN 63281-60-7 CAPLUS

CN 5H-Pyrano[3',2':2,3]azepino[4,5-b]indole-5-carbonitrile, 2,3,4,6,7,12-hexahydro- (CA INDEX NAME)

RN 63281-59-4 CAPLUS

CN 5H-Pyrano[3',2':2,3]azepino[4,5-b]indole-5-carboximidic acid, 2,3,4,6,7,12-hexahydro-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L28 ANSWER 92 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1975:593122 CAPLUS

DOCUMENT NUMBER: 83:193122

ORIGINAL REFERENCE NO.: 83:30369a,30372a

TITLE: Nucleophilic displacement of aromatic fluorine. III.

Indologuinolines and benzofuranoquinolines

AUTHOR(S): Walser, Armin; Silverman, Gladys; Flynn, Thomas;

Fryer, R. Ian

CORPORATE SOURCE: Hoffman-LaRoche Inc., Nutley, NJ, USA

SOURCE: Journal of Heterocyclic Chemistry (1975), 12(2), 351-8

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 83:193122
GI For diagram(s), see printed CA Issue.

AB Several indoloquinoline, benzofuranoquinoline, and indolobenzazepine derivs., e.g. I-IV were prepared by intramol nucleophilic displacement of fluorine. Thus V (R = OEt) was aminated to give V (R = NH2), which was treated with NaH to give I.

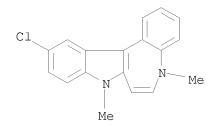
IT 57046-64-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 57046-64-7 CAPLUS

CN Indolo[2,3-d][1]benzazepine, 11-chloro-5,8-dihydro-5,8-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L28 ANSWER 93 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1975:43773 CAPLUS

DOCUMENT NUMBER: 82:43773
ORIGINAL REFERENCE NO.: 82:6977a,6980a

TITLE: Heat resistant polymers and solubilization

AUTHOR(S): Higgins, Jerry

CORPORATE SOURCE: Dep. Chem., Illinois State Univ., Normal, IL, USA SOURCE: Papers presented at [the] Meeting - American Chemical Society, Division of Organic Coatings and Plastics

Chemistry (1973), 33(1), 241-9 CODEN: ACOCAO; ISSN: 0096-512X

DOCUMENT TYPE: Journal LANGUAGE: English

AB The heat-resistant heterocyclic polymers (such as poly(2,4-pyrazinediyl-1,4-phenylene) [25482-93-3],

benzene-1,2,4,5-tetraamine-benzo[1,2-b:5,4-b'] dipyrrole-2,3,5,6-tetrone copolymer [35560-14-6], etc.) were prepared, and their solubilization in acids containing H2O2 studied.

IT 35165-04-9

RN

RL: PRP (Properties) (properties of) 35165-04-9 CAPLUS

CN Poly(7H-indolo[2,3-b]pyrazino[2,3-g]quinoxaline-2,3:9,10-tetrayl-9-imino) (9CI) (CA INDEX NAME)

L28 ANSWER 94 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1972:488890 CAPLUS

DOCUMENT NUMBER: 77:88890

ORIGINAL REFERENCE NO.: 77:14689a,14692a

TITLE: Polybenzodipyrroloquinoxalines AUTHOR(S): Janovic, Z.; Higgins, Jerry

CORPORATE SOURCE: Dep. Chem., Illinois State Univ., Normal, IL, USA SOURCE: Journal of Polymer Science, Part A-1: Polymer

Chemistry (1972), 10(6), 1609-15 CODEN: JPSPC3; ISSN: 0449-296X

DOCUMENT TYPE: Journal LANGUAGE: English

AB Condensation of benzo[1,2-b:5,4-b']dipyrrolo-2,3,4,5-tetraone (I) with 1,2,4,5-tetraaminobenzene in polyphosphoric acid at 200-50.deg. gave the ladder polymer benzo[1,2-b:5,4-b']dipyrrolo-2,3,4,5-tetraone-1,2,4,5-tetraaminobenzene polymer (II) [35560-14-6]. Semiladder polymers were similarly prepared from I and 3,3'-diaminobenzidine or bis(3,4-diaminophenyl) ether. The polymers had intrinsic viscosity (H2SO4) 0.86-0.90, and thermal stability 460.deg. and .leq.700.deg. in air and N, resp. Three model compds. were also prepared from 2,3-indandione and tetraamines.

IT 35165-04-9

RL: PRP (Properties)
 (heat resistance of)

RN 35165-04-9 CAPLUS

CN Poly(7H-indolo[2,3-b]pyrazino[2,3-g]quinoxaline-2,3:9,10-tetrayl-9-imino) (9CI) (CA INDEX NAME)

L28 ANSWER 95 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1972:435327 CAPLUS

DOCUMENT NUMBER: 77:35327
ORIGINAL REFERENCE NO.: 77:5885a,5888a

TITLE: Ladder poly(benzodipyrroloquinoxaline)

AUTHOR(S): Higgins, Jerry; Janovic, Z.

CORPORATE SOURCE: Dep. Chem., Illinois State Univ., Normal, IL, USA SOURCE: Journal of Polymer Science, Polymer Letters Edition

(1972), 10(4), 301-3

CODEN: JPYBAN; ISSN: 0360-6384

DOCUMENT TYPE: Journal LANGUAGE: English

AB Heat-resistant poly[7H-indolo[2,3-b]pyrazino[2,3-g]quinoxaline-2,3:9,10-tetrayl-9-imino] (I) [35165-04-9] was prepared by the reaction of benzo[1,2-b:5,4-b']dipyrrolo-2,3,5,6-tetrone and 1,2,4,5-C6H2(NH2)4 in polyphosphoric acid. 6,8-

Dihydrobenzo[1'',2'':4,5:5'',4'':4',5']dipyrrolo[2,3-b:2',3'-

b']diquinoxaline (II) [35180-58-6] and

5H-indolo[2,3-b]-9H-indolo[2',3':5,6]pyrazino[2,3-g]quinoxaline (III)

[35180-59-7] were prepared as model compds.

IT 35165-04-9P

RN 35165-04-9 CAPLUS

CN Poly(7H-indolo[2,3-b]pyrazino[2,3-g]quinoxaline-2,3:9,10-tetrayl-9-imino) (9CI) (CA INDEX NAME)

L28 ANSWER 96 OF 96 CAPLUS COPYRIGHT 2010 ACS on STN

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1962:436315 CAPLUS
ACCESSION NUMBER:
                          57:36315
DOCUMENT NUMBER:
ORIGINAL REFERENCE NO.:
                         57:7246d-i,7247a-i,7248a-i,7249a-i,7250a-c
TITLE:
                         1,3-Dipolar addition. I. Diphenylnitrilimine and its
                         1,3-dipolar additions to alkenes and alkynes
AUTHOR(S):
                         Huisgen, Rolf; Seidel, Michael; Wallbillich, Guenter;
                         Knupfer, Hans
CORPORATE SOURCE:
                         Univ. Munich, Germany
                         Tetrahedron (1962), 17, 3-29
SOURCE:
                         CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         German
     The previously undescribed diphenylnitrilimine PhCNNPh (I) is available by
     elimination of N from 2,5-diphenyltetrazole (II) at 160° or by
     dehydrochlorination of PhCCl:NNHPh (III) at 20-80° with NEt3.
     adds in situ to alkenes and alkynes forming 1,3-diphenyl-\Delta 2
     pyrazolines and 1,3-diphenylpyrazoles, resp. PhNHNHBz (40 g.) and 48 g.
     PC13 refluxed 10 hrs. (H2O-free atmospheric) in 50 ml. anhydrous Et2O and the
clear
     solution treated with 80 g. PhOH in 60 ml. Et2O and with 80 ml. MeOH, the
     main part of the Et20 evaporated with rise of internal temperature to 60-70°,
     and the cooled mixture filtered yielded 58% III, m. 129.530.5°. III
     (460 mg.) and 0.50 g. norbornene in 4 ml. anhydrous C6H6 treated at
     20° with 1.0 ml. NEt3 and the mixture kept several hrs., filtered
     from Et3NHCl, m. 253-5^{\circ}, and the filtrate and washings evaporated
     yielded 85% bicyclo[2.2.1]hept-2-ene adduct,
     1,3-diphenyl-4,7-methano-3a,4,5,6,7,7ahexahydroindazole (IV), m.
     171-2° (alc.), \lambda 244, 370 m\mu (log \epsilon 4.14, 4.32),
     strongly blue-green fluorescent in daylight, brown-yellow color in concentrated
     H2SO4 turning dark green in addition of concentrated HNO3. III (500 mg.) and
0.50
     g. norbornene in 5 ml. C6H6 shaken 8 hrs. with 200 mg. KOH in 1.5 ml. H2O
     at 20° yielded 76% IV, also produced in 94% yield by treating III
     and norbornene in boiling C6H6 with Et3N. IV in CHCl3 treated with 1.0
     mole-equivalent Br (exothermic reaction) and the cooled mixture washed with KOH
     and H2O, evaporated, and the residue sublimed at 120-40^{\circ}/0.003 mm.
     gave 1-(p-bromophenyl-3-phenyl-4,7-methano3a,4,5,6,7,7a-hexahydroindazole,
     m. 133-4^{\circ} (alc.), v 800, 825 cm.-1 II (2.0 g.) in 10 ml.
     dicyclopentadiene (V) heated 3 hrs. at 160-5° with liberation of
     9.0 millimoles N and the unchanged V distilled at 10 mm. yielded 68%
     1,3-dipheny14,8-methano-3a,4,4a,7,8,8a - hexahydroindeno [5,6-c] pyrazole
     (VI), m. 173-4^{\circ}. III (460 mg.) and 1.2 g. V in 6 ml. C6H6 refluxed
     1 hr. with addition of 1.0 ml. Et3N and the filtered solution evaporated
vielded 87%
     VI, \lambda 242, 370 m\mu (log 4.15, 4.33, CHCl3). VI (3.0 g.) refluxed
     42 hrs. with 3.0 g. chloranil in 20 ml. xylene and the dark brown solution
     extracted repeatedly with 4% KOH, the H2O-washed solution freed from solvent
and
     distilled at 120-65°/0.003 mm., the glassy product crystallized from 60 ml.
     hot alc., and the crystalline material (0.90 g.) sublimed in vacuo gave
     non-fluorescent 1,3-diphenyl4,8 - methano - 4,4a,7a,8 -
     tetrahydroindeno[5,6 - c]pyrazole (VII), m. 124.0-4.5°. VI (653
     mg.) heated 3 hrs. at 200-55^{\circ} with 90 mg. S with evolution of H2S
     and the product sublimed at 120-70^{\circ}/0.01 mm. yielded 48%
     1,3-diphenylpyrazole, m. 84-5^{\circ} (petr. ether). III (460 mg.) and
     2.25 g. bicycloheptadiene in 7 ml. C6H6 heated 3 hrs. at \tilde{65}^{\circ} with
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1.0 \, \text{ml.} Et3N and kept 16 hrs. at 20^{\circ}, the mixture filtered from 1.97 \,
millimoles Et3NHCl and the filtrate evaporated, the residue boiled in 50 ml.
alc. and filtered from 27 mg. insol. product, the solution cooled, and the
crystalline material (79%) recrystd. from ligroine (b. 80-120°) yielded
1,3-diphenyl4,7-methano-3a,4,7,7a-tetrahydroindazole (VIII), m.
133-5° (decomposition), \lambda 243, 369 m\mu (log \epsilon 4.13,
4.30). The insol. product recovered from HCONMe3 gave bright greenish
yellow amorphous 1,3,5,7-tetraphenyl-4,8-methano-3a,4,-
4a,7a,8,8a-hexahydropyrazolo[4,5-f]indazole, m. above 320°
(decomposition), \lambda 244, 359, m\mu (log \epsilon 4.39, 4.52). VIII
(2.29 g.) heated slowly from 130 to 185° several min. with vigorous
evolution of gas through a trap at -78^{\circ}, condensing 77%
cyclopentadiene (identified as maleic anhydride adduct, m.
165.0-5.5^{\circ}), and the residue distilled at 135-50^{\circ}/0.003 mm.
yielded 98% 1,3-diphenylpyrazole. III (2.00 millimoles) and 4.0
millimoles endo-cis-bicyclo[2.2.1]hept-5-ene-2,3dicarboxylic acid
anhydride refluxed 1 hr. in 4 ml. C6H6 with dropwise addition of 1.0 ml. Et3N
in 2 ml. C6H6 and the mixture refluxed 1 hr., filtered from Et3NHCl, and the
residue on evaporation recrystd. from EtOAc gave 55% pale green
1,3diphenyl-4,7-methano-3a,4,5,6,7,7a-hexahydroindazole-5,6dicarboxylic
acid anhydride, m. 279-81° (decomposition). The dipolarophilic activity
of normal unconjugated double bonds is relatively small as shown by a
comparative study of the addition of I to non-conjugated alkenes,
diphenylketene, and ketene acetal. III (3.98 millimoles), 21.5 millimoles
C5HnCH:CH2, and 1.5 ml. Et3N heated 30 hrs. at 80-90° in a sealed
tube and the filtered solution evaporated, the residue distilled at
160-80^{\circ}/0.001 mm. and the yellow oil crystallized from MeOH yielded 85%
1,3-diphenyl-5-pentyl-\Delta2-pyrazoline, m. 56-8° (MeOH),
dehydrated (0.75 millimole) by refluxing 2 hrs. with 1.5 millimoles
chloranil in 25 ml. xylene, the pale yellow oily
1,3-diphenyl-5-pentylpyrazole oxidized 80 min. in boiling 50% C6H5N with 2
g. KMnO4, washed with Et2O and filtered from MnO2, treated with Na2SO3 and
acidified to yield 0.13 g. 1,3-diphenyl-5-pyrazolecarboxylic acid (IX), m.
225-60° (H2O). Ill (3.98 millimoles) similarly treated with 16.5
millimoles H2C:CH(CH2)8CO2Et and the product distilled at
200-30°/0.003 mm. gave 80% material, recrystd. from MeOH to yield
yellow needles of Et 9-(1,3-diphenyl-\Delta2-pyrazolin-5-
v1) nonanecarboxylate, m. 40-2^{\circ}. III with 3 mole-equivs. unsatd.
ester in boiling C6H6 and the product distilled yielded also 28%
tetraphenyldihydrotetrazine, m. 200-3°, produced by head-to-tail
dimerization of I and showing the lacking activity of the dipolarophile.
III (1.99 millimoles), 11.3 millimoles cyclopentene and Et3N refluxed 150
min. in 5 ml. C6H6 and the mixture kept 16 hrs., the residue on evaporation of
the filtrate sublimed in a high vacuum, and the sublimate recrystd. from
alc. yielded 78% 1,3-diphenyl-cis-1,3a,4,5,6,6a-
hexahydrocyclopentapyrazole (X), m. 137.5-9.0°, \lambda 241,365
m\mu (log \epsilon 4.12, 4.31, CHCl3), with blue-green fluorescence.
III (2.00 millimoles), 0.7 q. Ph2C:CO refluxed with Et3N in C6H6 and the
filtered solution evaporated, the residue distilled at 150-220^{\circ}/0.001 mm. and
the red oil (1.06 g.) recrystd from alc. gave 0.19 g.
1,3,4,4-tetraphenyl-\Delta2-pyrazol-5-one, m. 160-2°, v 1712
cm.-1 III (2.00 millimoles) and 7.6 millimoles H2C:C(OEt)2 refluxed with
Et3N in C6H6 without separation of Et3NHCl, the filtered solution evaporated,
residue distilled at 160-70^{\circ}/0.004 mm., the red oil (0.50 g.)
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chromatographed in C6H6 over Al2O3 (Merck, activity I), and the eluate crystallized from 90% alc. gave 0.42 g. 1,3-diphenyl-5-ethoxypyrazole, m.

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67-9°, \lambda 275 m\mu (log \epsilon 4.36). The pyrazole (0.53
     q.) refluxed 9 days in 5 ml. alc. and 7 ml. concentrated HCl, the cooled
mixture
     neutralized with NaOH and extracted with CH2Cl2, the product distilled in a
high
     vacuum, and the distillate recrystd. from alc. and ligroine (b.
     80-110°) yielded 75% 1,3-diphenyl-\Delta2-pyrazol-5-one, m.
     136.0-7.5^{\circ}, 1708 cm.-1 The orientation in the addition of I to Ph2C:
     CO and to H2C:C(OEt)2 is that to be expected in regarding PhC+: N-N-Ph as
     a representation of I. III (2.31 g.) and 2.5 1. butadiene in 40 ml. C6H6
     shaken 4 hrs. with 3 ml. Et3N under pressure and kept several days, the
     blue fluorescent mixture filtered, and the residue on evaporation recrystd.
from
     alc. gave 2.34 g. crystalline 1,3-diphenyl-5-vinyl-\Delta2-pyrazoline (XI), m.
     76.0-7.5^{\circ}, b0.001 130-40°. XI (4.0 millimoles) refluxed 10
     hrs. with 4.7 millimoles chloranil in 10 ml. xylene and filtered from 2.9
     millimoles tetrachlorohydroquinone, the filtrate extracted with alkali, and
     the washed solution evaporated gave 0.87 g. noncryst. viscous oil, distilled at
     158-80^{\circ}/0.001 mm. The oil (0.61 g.) in 45 ml. Me2CO stirred 2 hrs.
     with gradual addition of 1.25 g. KMnO4 and kept 30 min. before reduction with
SO2
     and extraction with CH2Cl2, the residue on evaporation crystallized from CCl4
and MeOH,
     and the product (0.41 g.), m. 227.08.5° (decomposition), recrystd. gave
     IX. PhCH:CHCOCH2CO2Et and PhNHNH2 gave the known Et
     1,3-diphenyl-5-methyl-4-pyrazolinecarboxylate (XII), dehydrogenated with
     chloranil in xylene to Et 1,3-diphenyl-5-methyl-4-pyrazolecarboxylate and
     saponified by alkali and decarboxylated to 1,3-diphenyl-5-methylpyrazole, m.
     46-7^{\circ} (Et20-petr. ether), refluxed (2.0 g.) 2 hrs. with 6 g. KMnO4
     in 100 ml. 1:1 stabilized Me2CO-H2O, the filtered solution acidified with 2N
     HCl, and the product recrystd. from MeOH gave 31% starting material and
     24% IX, m. 228-9° (decomposition), neutralization equivalent 261. III (1.99
     millimoles) and 3.0 millimoles cyclopentadiene kept 20 hrs. with NEt3 in
     C6H6 and the product purified by crystallization from alc. and sublimation in a
     high vacuum gave 0.30 g. 1,3-diphenyl-cis-1,3a,4,6a-
     tetrahydrocyclopentapyrazole, m. 183-4°, \lambda 242, 367 m\mu
     (log \epsilon 4.11, 4.31, CHCl3), oxidized with KMnO4 in Me2CO at
     20° to give 1,3-diphenyl-4-pyrazolecarboxylic acid and BzOH,
     brominated with 1.0 molar equivalent Br in C6H6 to
     1-(4-bromophenyl)-3-phenyl-cis-1,3a,4,6a-tetrahydrocyclopentapyrazole, m.
     148-50^{\circ} (alc.), v 820 cm.-1 and hydrogenated (300 mg.) in 80 ml.
     EtOAc at 20° in 50 min. with Raney Ni to give 0.29 g.X. III
     treated with 5 molar equivs. cyclohexa-1,3-diene in C6H6 in the presence
     of Et3N yielded 73% 1,3-diphenyl-3a,4,5,7a-tetrahydro-indazole, b0.005
     150-60^{\circ}, m. 119.5-21.0^{\circ} (alc.), dehydrogen ated with
     chloranil in boiling xylene 18 hrs., the product distilled in a high vacuum
     and crystallized from MeOH yielded 79% 1,3-diphenylindazole, m.
     100.5-2.0°. III (1.99 millimoles) and 0.91 q. freshly distilled
     styrene kept 2 hrs. at 60° with Et3N and some hydroquinone in C6H6
     and the product recrystd, from MeOH yielded 88%
     1,3,5-triphenyl-\Delta2pyrazoline, m. 137-8°, \lambda 240, 361
     m\mu (log \epsilon 4.20, 4.28). II (2.0 g.) heated 3 hrs. at
     155-65° in 5 ml. 1,2-dihydronaphthalene with loss of 0.98 molar
     equivalent N, the excess d dihydronaphthalene evapd, i@ vacuo, and the residue
     crystallized from MeOH yielded 2.44~\mathrm{g}. material, m. 133-48^{\circ}. Treatment
     of 3.5 molar equivs. dihydronaphthalene with III in C6H6 in the presence
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of NEt3 yielded 75% product, recrystd. 4 times from alc. to give

1,3-diphenyl-3a,4,5,9b-tetrahydronaphtho[1,2-c]pyrazole, m. 151-2°,dehydrogenated with chloranil in C6H3Cl3 52 hrs. at 170°, the product distilled in a high vacuum and triturated with petr. ether yielded 70% 1,3-diphenylnaphtho[1,2-c]pyrazole (XIII), m. 100.5-2.0° (petr. ether, alc.). PhNHNH2 (1.2 ml.) and 2.48 q. 2,1BzC10H6OH heated (N atmospheric) 16 hrs. at 150° in 5 ml. EtOCH2CH2OH containing 20 mg. p-MeC6H4SO3H, the mixture stirred into H2O and the red-brown product recrystd. from alc. yielded 72% phenyl 1-hydroxy-2-naphthyl ketone phenylhydrazone (XIV), b0.001 220-30°, m. 130.0-1.5°. XI (1.02 g.) kept 2 hrs. at 95° in 70 ml. polyphosphone acid and the solution poured into 200 ml. ice H2O, the yellow precipitate distilled at  $210-30^{\circ}/0.001$  mm., and the distillate chromatographed from C6H6 on Al2O3 (Woelm, acid, activity I) gave 0.56 g. XIII. Treatment of 117 with 3.5 mole-equivs. indene in C6H6 in the presence of NEt3 and the product sublimed at  $140-70^{\circ}/0.004$ ml. gave 482 mg. 1,3-diphenyl-3a,8b-dihydro-4H-indeno[1,2-c]pyrazole, m. 171-2°,  $\lambda$  239, 364 m $\mu$  (log  $\epsilon$  4.15, 4.28). Similarly 2.8 mole-equivs. transstilbene in C6H6 yielded 86% 1,3,4,5tetraphenyl-4,5-trans-dihydropyrazole, m. 166.5-8.0°(alc.), refluxed 50 hrs. in xylene with chloranil, the dehydrogenation product distilled in vacuo and recrystd. from C6H12 gave 1,3,4,5-tetraphenylpyrazole (XV), m.  $217-19^{\circ}$ . III (4.0 millimoles) heated 3 days at  $50^{\circ}$ with 3.6 q. cisstilbene in a sealed tube and the adduct (53%) crystallized from CH2Cl2alc. gave greenish yellow needles of 1,3,4,5-tetraphenyl 4,5-cis-dihydropyrazole, m. 194.5-5.5°, taken up (110 mg.) in 5 ml.boiling Me2CO and treated gradually with 60 mg. KMnO4 in 20 ml. Me2CO, reduced with SO2, and the Me2CO evaporated to give 108 mg. XV. III (2.0 millimoles) in C6H6 treated with 6.0 millimoles acenaphthylene in the presence of Et3N 1 hr. at 80° and 7 hrs. at 20°, filtered from Et3N-HCl, and the product (90%) recrystd. from PhMe gave 7,9diphenyl - 6b,9a - dihydroacenaphtho[1,2 - c] pyrazole, m. 255.5-7.5° (decomposition). Dibenzo[b,f]azepine (1.20 g.) refluxed 2.5 hrs. in 10 ml. C6H6 with 1.43 g. III and 4.3 ml. NEt3 and the precipitate washed free from NEt3HCl with H2O yielded 55% material, recrystd. repeatedly from xylene to give 1,3-diphenyldibenzo [b,f] pyrazolo [3,4-d] azepiue, m.  $264.0-5.5^{\circ}$ ,  $\lambda$  302, 361 m $\mu$  (log  $\epsilon$  4.07, 4.14), v 3335 cm.-1 Ill treated by the usual procedure with 3 mole-equivs. H2C:CHCO2Et 45 min. at 20% gave 85% Et 1,3-diphenyl $\Delta$ 2-pyrazoline-5-carboxylate, m. 99-101° (MeOH), dehydrogenated with chloranil in boiling xylene to yield 94% Et 1,3-diphenyl-5-pyrazolecarboxylate, m. 84.5-6.0°, hydrolyzed with KOH in MeOH to IX. Similar reaction with 7 mole-equivs. H2C:CHCN 30 min. at 20° yielded 85% 1,3-diphenyl-5-cyano- $\Delta$ 2-pyrazoline, m. 138-40°, aromatized by refluxing 2 hrs. in xylene with chloranil to give 76% 1,3-diphenyl-5-cyanopyrazole, m. 133-5°, v 2240 cm.-1, hydrolyzed by 2 hrs. reflux in 1:1:1 H2SO4-AcOH-H2O to yield IX. II (2.0 q.) heated 8 hrs. at 155-65° in 7 ml. PhCH:CHCO2Et with liberation of 97% N, the excess ester evaporated, and the residue crystallized from alc. yielded 2.86 q. isomeric mixture, m. 113-16°. The mixture (2.0 q.) refluxed 20 hrs. in 10 ml. xylene with 5.7 millimoles chloranil and the product, m. 127-33°, recrystd. twice from alc. yielded 50% Et 1,3,5-triphenyl-4-pyrazolecarboxylate, m. 142-5°. Treatment of HI with 2 mole-equivs. PhCH:CHCO2Et in boiling C6H6 with NEt3 yielded 83% isomeric mixture, m.  $116-23^{\circ}$ . The direction of the addition seemed to be influenced more strongly by steric than by electronic factors. II (1.0  $\,$ g.) heated 2 hrs. at  $160-70^{\circ}$  in 5 ml. MeCOCH2CO2Et with evolution of 104% N and the residue distilled at  $170-80^{\circ}/0.01$  mm. yielded 67%

rapidly solidifying oil, recrystd. from C6H12-Et2O to give XII, also obtained in 19% yield by thermolysis of II in EtOCH: CHCO2Et, and in 62% yield by decomposition of II in AcOCH: CHCO2Et. Hydrolysis of XII with 12% KOH in MeOH gave 1,3-diphenyl-5-methyl-4pyrazolecarboxylic acid, m.  $193-4^{\circ}$  (alc.). II (9.0 millimoles) and 6 g. maleic anhydride heated 5 hrs. in 20 ml. MeOPh at 155° and the product recrystd. from C6H6 gave 1.21 g. 1,3-diphenyl- $\Delta$ 2-pyrazoline-cis-4,5-dicarboxylic anhydride (XVI), m. 191)-2° (decomposition) (determination made in preheated bath at  $180^{\circ}$ ). Decomposition of II at  $160-70^{\circ}$  caused decomposition of XVI in 3 hrs. with formation of 35% 1,3-diphenylpyrazolc. II (9.0 millimoles) heated in 5 g. trans-MeO2CCH:CHCO2Me with evolution of 0.94 moleequiv. N yielded 88% di-Me 1,3-diphcnyl- $\Delta$ 2-pyrazolinetrans-4,5dicarboxylate (XVII), m. 148-50° (alc.), also prepared in 99% yield by treatment with III in C6H6 with NEt3. XVI taken up in hot aqueous Na2CO3 and the dicarboxylic acid esterified with CH2N2 gave XVII. XVII (1.5 g.) refluxed 20 hrs. in xylene with 6.1 millimoles chloranil and the product crystallized from alc. gave 1.17 g. di-Me 1,3-diphenylpyrazole-4,5-dicarboxylate (XVIII), m. 151.2°. II (9.0 millimoles) in 5 g. cis-MeO2CCH:CHCO2Me heated, the excess ester distilled, and the residue fractionated from alc. yielded 51% XVII and 4% di-Mc 1,3-dil) henyl- $\Delta 2$ -pyrazolinecis-4,5-dicarboxylate, m.  $141-3^{\circ}$ , also produced in 72% yield by keeping XVI 3 days in dilute Me2CO and esterifying the product with CH2N2. II (9.0 millimoles) refluxed 6 hrs. in 20 ml. MeOPh containing 5.0) g. di-Mc malcic anhydride with evolution of 255 ml. N, the solvent and excess dipolarophile distilled, and the residue extracted with Et20 gave 1.58 g. residue, recrystd. repeatedly from C6H12 to give 1,3diphenyl-4,5-dimethyl- $\Delta 2$ -pyrazoline-cis-4,5-dicarboxylic anhydride, m.  $138-4^{\circ}$ . III (3.98 millimoles), 2.9 g. trans-MeO2CMe:CMeCO2Me, and 1.5 ml. NEt3 heated 2 days at 50° in a sealed tube and the product crystallized from MeOH yielded 74% di-Me 1,3-diphenyl-4,5-dimethyl- $\Delta 2$ -pyrazoline-trans-4,5-dicarboxylate, m. 107.5-8.5°. Similarly III and 5 mole-equivs. cis-MeO2CCMe:CMeCO2Me gave 33% di-Me 1,3-diphenyl-4,5-dimethyl- $\Delta$ 2-pyrazoline-cis-4,5dicarboxylate, m.  $144-5^{\circ}$ , also obtained from the cis-anhydride in 67% yield. II (9.0 millimoles) and 3 g.  $\alpha$ -naphthoguinone heated 2 hrs. at  $160-70^{\circ}$ , the residue digested with Et2O and crystallized from CHCl3 yielded 85% 1,3-diphenyl-4,9-dioxo-4,9-dihydronaphtho[2,3c]pyrazole, m. 257-9°. II (2.25 millimoles) refluxed 3 hrs. in 5 ml. MeOPh with 0.6 g. 2-methyl- $\alpha$ -naphthoguinone gave 0.27 g. 1,3-diphenyl-9a-methyl-4,9-dioxo-33,4,9,9atetrahydronaphtho[2,3-c]pyrazole, m. 245-7° (CHCl3), v 1780  $\,$  cm.-1 The reciprocal action of I with the CC triple bond led directly to aromatic pyrazole systems. III (1.30 millimoles) in 3 ml. PhC:CH heated on a steam bath with dropwise addition of 1.0 ml. NEt3, kept 1.5 hrs., the cooled mixture filtered from 95% Et3NHCl, the filtrate distilled at 130-50°/0.003 mm., the red oil chromatographed on basic Al203, eluted with C6H6, and the middle fraction recrystd. from MeOH yielded 72% 1,3,5-triphenylpyrazole (XVIII), m. 138.5-9.5°. II (9.0 millimoles) heated 6 hrs. at 155-65° with PhC:CPh with liberation of 235 ml. N gave 34% XIV, obtained only in 2.6% yield by treatment with III in C6H6 in the presence of Et3N. II (9.0 millimoles) heated in 5 ml. HC:CCH(OPr) 2 and the product distilled at  $190-205^{\circ}/0.001$  mm. gave 2.82 g. oily 1,3-diphenylpyrazole-5-aldehyde dipropyl acetal, hydrolyzed 48 hrs. at 20° in 20 ml. dioxane and 10 ml. 50% HCl to yield 79% 1,3-diphenyl-5-pyrazolecarboxaldehyde, m. 138-40°; 2,4-dinitrophenylhydrazone m.  $260^{\circ}$  (dccompn.). The aldehyde refluxed 2 hrs. in MeOCH2CH2OH with moist Ag2O and the neutral and acidic

products gave 35% IX. III with 2.5 mole-equivs. HC:CCO2Me gave 71% Me 1,3-diphenyl-5-pyrazolecarboxylate, m. 111.512.5° (MeOH), hydrolyzed quant. with KOH in MeOH to IX.

II (5.4 millimoles) decomposed in 4 g. PhC:CCO2Et yielded 84% di-Et 1,3,5-triphenyl-4-pyrazolecarboxylate, m. 144-5° (alc.), saponified with KOH in MeOH to 90% 1,3,5-triphenyl-4-pyrazolecarboxylic acid, m. 239-41° (decomposition) decarboxylated at 245° to XVIII. II (9.0 millimoles) and 5 ml. Me2O2CC:CCO2Me heated and the product distilled at 210-30°/0.001 mm. yielded 56% di-Me

1,3-diphenylpyrazole-4,5-dicarboxylate, m.  $153-4^{\circ}$ , saponified to the dicarboxylic acid, m.  $198-200^{\circ}$  (decomposition), neutralization equivalent 170, decarboxylated by heating 30 min. at 200° to give

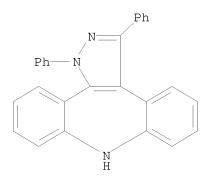
1,3-diphenylpyrazole-4-carboxylic acid, m.  $201-3^{\circ}$ , neutralization equivalent  $270^{\circ}$ . Proof of cis addition and determination of the orientation rules

represent contributions to the mechanism of 1,3-dipolar addition IT  $\,$  85008-87-3P  $\,$ 

RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation) (1,3-Dipolar addition. I. Diphenylnitrilimine and its 1,3-dipolar additions to alkenes and alkynes)

RN 85008-87-3 CAPLUS

CN Dibenzo[b,f]pyrazolo[3,4-d]azepine, 1,8-dihydro-1,3-diphenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 106 THERE ARE 106 CAPLUS RECORDS THAT CITE THIS RECORD (107 CITINGS)

L10 ANSWER 123 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

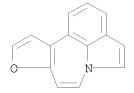
RN 1139-56-6 REGISTRY

ED Entered STN: 16 Nov 1984

CN Furo[2,3-d]pyrrolo[3,2,1-jk][1]benzazepine (8CI, 9CI) (CA INDEX NAME)

MF C14 H9 N O

CI RPS



L10 ANSWER 122 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 7486-12-6 REGISTRY

ED Entered STN: 16 Nov 1984

CN Pyrrolo[3', 4':3, 4]cyclobut[1, 2-d]imidazole (8CI, 9CI) (CA INDEX NAME)

MF C7 H3 N3

CI RPS

L10 ANSWER 121 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 80294-50-4 REGISTRY

ED Entered STN: 16 Nov 1984

CN Oxazolo[5,4-d][1,4]thiazino[2,3,4-jk][1]benzazepine (9CI) (CA INDEX NAME)

MF C13 H8 N2 O S

CI RPS

L10 ANSWER 120 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 80294-51-5 REGISTRY

ED Entered STN: 16 Nov 1984

 $\texttt{CN} \qquad \texttt{[1,4]Thiazino[2,3,4-jk]thiazolo[5,4-d][1]benzazepine} \quad \texttt{(9CI)} \quad \texttt{(CA INDEX)}$ 

NAME)

MF C13 H8 N2 S2

CI RPS

L10 ANSWER 119 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

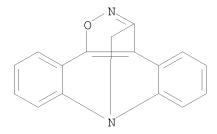
RN 87041-36-9 REGISTRY

ED Entered STN: 16 Nov 1984

CN 3,8-Methano-8H-dibenz[b,f]isoxazolo[4,5-d]azepine (9CI) (CA INDEX NAME)

MF C16 H10 N2 O

CI RPS



L10 ANSWER 116 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 93281-43-7 REGISTRY

ED Entered STN: 18 Dec 1984

CN 1H-[1]Benzothieno[5,6-b]azirine (9CI) (CA INDEX NAME)

MF C8 H5 N S

CI RPS

L10 ANSWER 117 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 88084-57-5 REGISTRY

ED Entered STN: 16 Nov 1984

CN Azirino[2,3,1-hi]thiazolo[5,4-e]indole (9CI) (CA INDEX NAME)

MF C9 H4 N2 S

CI RPS

L10 ANSWER 118 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 87208-25-1 REGISTRY

ED Entered STN: 16 Nov 1984

CN 4,9-Methano-4H-pyrrolo[1,2-a]thieno[3,2-d]azepine (9CI) (CA INDEX NAME)

MF C12 H9 N S

CI RPS



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L10 ANSWER 113 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN
RN 147184-23-4 REGISTRY
ED Entered STN: 23 Apr 1993
CN 10H-Imidazo[1,2-a]thieno[3,2-d]azepine,
10-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinylidene]- (CA INDEX NAME)
MF C24 H25 N3 O S
CI COM
SR CA
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L10 ANSWER 114 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 146340-64-9 REGISTRY

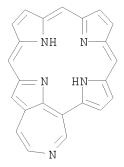
ED Entered STN: 09 Mar 1993

CN 4,7:14,17-Diimino-2,22-metheno-9,12-nitriloazepino[4,3-b]azacyclononadecine (9CI) (CA INDEX NAME)

MF C23 H15 N5

CI RPS

SR CA Index Guide or Ring Systems Handbook



L10 ANSWER 115 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 93281-55-1 REGISTRY

ED Entered STN: 18 Dec 1984

CN 2,6-Methano-1H-[1]benzothieno[5,6-b]azirine (9CI) (CA INDEX NAME)

MF C9 H5 N S

CI RPS

L10 ANSWER 109 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 264151-37-3 REGISTRY

ED Entered STN: 09 May 2000

CN 4,9-Imino-1H-naphtho[2',3':3,4]cyclobuta[1,2-d][1,2,3]triazole (9CI) (CA INDEX NAME)

MF C12 H6 N4

CI RPS

SR CA Index Guide or Ring Systems Handbook

L10 ANSWER 110 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 188965-71-1 REGISTRY

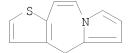
ED Entered STN: 13 May 1997

CN 4H-Pyrrolo[1,2-a]thieno[3,2-d]azepine (9CI) (CA INDEX NAME)

MF C11 H9 N S

CI RPS

 ${\tt SR} \ {\tt CA} \ {\tt Index} \ {\tt Guide} \ {\tt or} \ {\tt Ring} \ {\tt Systems} \ {\tt Handbook}$ 



L10 ANSWER 111 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 179528-39-3 REGISTRY

ED Entered STN: 14 Aug 1996

CN Benzamide, N-[1,1'-biphenyl]-2-yl-4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]- (CA INDEX NAME)

MF C32 H26 N4 O2

SR CA

LC STN Files: USPATFULL

PAGE 1-A

PAGE 2-A

L10 ANSWER 112 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN RN 147210-28-4 REGISTRY EDEntered STN: 27 Apr 1993 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(10H-imidazo[1,2-a]thieno[3,2-d]azepin-10-ylidene)-1piperidinyl]ethyl]-7-methyl- (CA INDEX NAME) OTHER CA INDEX NAMES: 10H-Imidazo[1,2-a]thieno[3,2-d]azepine, 5H-thiazolo[3,2-a]pyrimidin-5-one deriv. MFC24 H23 N5 O S2 CI COM SR CA

L10 ANSWER 105 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 344881-07-8 REGISTRY

ED Entered STN: 08 Jul 2001

CN Dibenzo[b,f]pyrazolo[4,3-d]azepine-8(1H)-ethanamine, N,N-dimethyl-1,3-diphenyl- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Dibenzo[b,f]pyrazolo[3,4-d]azepine-8(1H)-ethanamine, N,N-dimethyl-1,3-diphenyl- (9CI)

MF C31 H28 N4

CI COM

SR Reaction Database

L10 ANSWER 106 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 344766-72-9 REGISTRY

ED Entered STN: 06 Jul 2001

CN Dibenzo[b,f]pyrazolo[4,3-d]azepine-8(1H)-propanamine, N,N, $\beta$ -trimethyl-1,3-diphenyl- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Dibenzo[b,f]pyrazolo[3,4-d]azepine-8(1H)-propanamine, N,N, $\beta$ -trimethyl-1,3-diphenyl- (9CI)

MF C33 H32 N4

CI COM

SR Reaction Database

L10 ANSWER 107 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 341496-75-1 REGISTRY

ED Entered STN: 15 Jun 2001

5H-Pyrano[3',2':2,3]azepino[4,5-b]indole-5-carboxamide, CN 2,3,4,6,7,12-hexahydro- (CA INDEX NAME) C16 H17 N3 O2

MF

SR Reaction Database

- L10 ANSWER 108 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN
- RN 279253-81-5 REGISTRY
- ED Entered STN: 21 Jul 2000
- CN Spiro[cyclohexane-1,10'-[10H]imidazo[1,2-a]thieno[3,2-d]azepine] (9CI) (CA INDEX NAME)
- MF C15 H16 N2 S
- CI COM, RPS
- SR CA

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L10 ANSWER 100 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 707539-73-9 REGISTRY

ED Entered STN: 09 Jul 2004

CN Methanone, (4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)(2'-methoxy[1,1'-biphenyl]-4-yl)- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Imidazo[4,5-d][1]benzazepine, 1,4,5,6-tetrahydro-6-[(2'-methoxy[1,1'-biphenyl]-4-yl)carbonyl]-2-methyl- (9CI)

MF C26 H23 N3 O2

CI COM

SR CA

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L10 ANSWER 101 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN
RN 703401-73-4 REGISTRY
ED Entered STN: 02 Jul 2004
CN Butanamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-(methoxyimino)- (CA INDEX NAME)
MF C24 H25 N5 O3
CI COM
SR CA
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L10 ANSWER 102 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN RN 701193-15-9 REGISTRY ED Entered STN: 29 Jun 2004 CN 8H-Dibenz[b,f]isoxazolo[5,4-d]azepine-8-propanamine, N,N, $\beta$ -trimethyl-3-phenyl- (CA INDEX NAME) OTHER CA INDEX NAMES: CN 8H-Dibenz[b,f]isoxazolo[4,5-d]azepine-8-propanamine,

CN 8H-Dibenz[b,f]isoxazolo[4,5-d]azepine-8-propanamine, N,N, $\beta$ -trimethyl-3-phenyl- (9CI)

MF C27 H27 N3 O

CI COM

SR CA

L10 ANSWER 103 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 699532-52-0 REGISTRY

ED Entered STN: 25 Jun 2004

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(2-cyclopropyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

MF C34 H28 N4 O2

CI COM

SR CA

PAGE 1-A

PAGE 2-A

L10 ANSWER 104 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 344882-40-2 REGISTRY

ED Entered STN: 08 Jul 2001

CN Dibenzo[b,f]pyrazolo[4,3-d]azepine-8(1H)-propanamine,
 N,N-dimethyl-1,3-diphenyl- (CA INDEX NAME)

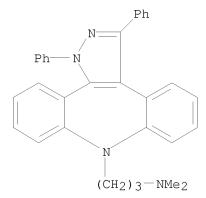
OTHER CA INDEX NAMES:

CN Dibenzo[b,f]pyrazolo[3,4-d]azepine-8(1H)-propanamine, N,N-dimethyl-1,3-diphenyl- (9CI)

MF C32 H30 N4

CI COM

SR Reaction Database



L10 ANSWER 98 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN

RN 724698-06-0 REGISTRY

ED Entered STN: 09 Aug 2004

CN Benzamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-2-ethoxy- (CA INDEX NAME)

MF C28 H26 N4 O3

CI COM

SR CA

PAGE 1-A

PAGE 2-A

L10 ANSWER 99 OF 123 REGISTRY COPYRIGHT 2010 ACS on STN RN 719305-66-5 REGISTRY ED Entered STN: 30 Jul 2004 4H-Imidazo[1,2-a]oxazolo[4,5-d]azepine (9CI) (CA INDEX NAME) CN

C9 H7 N3 O MF

CI RPS

CA Index Guide or Ring Systems Handbook SR



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L6
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L7
          3421 S 2436.13/RID
L8
          1182 S L5 AND L7
          1811 S L6 AND CAPLUS/LC
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           123 S L6 NOT L9
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     FILE 'REGISTRY' ENTERED AT 14:16:04 ON 16 SEP 2010
     FILE 'CAPLUS' ENTERED AT 14:18:25 ON 16 SEP 2010
L29
             82 S L18
L30
             67 S L19
L31
             11 S L29 AND L30
L32
             8 S L31 NOT (2010/SO OR 2009/SO OR 2008/SO OR 2007/SO OR 2006/SO
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=> d ibib abs hitstr total

L32 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1448448 CAPLUS

DOCUMENT NUMBER: 149:570726

TITLE: Methods for using vasopressin antagonists with

anthracycline chemotherapy agents to reduce

cardiotoxicity and/or improve survival

INVENTOR(S): Liu, Yongge; Kambayashi, Junichi

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 47pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.						DATE			APPL	ICAT	ION I					
	2008	1442	69		A2		2008	1127		 WO 2	008-			0080	512		
WO	2008	1442	69		A3		2010	0121									
	W:	ΑE,	ΑG,	ΑL,	ΑM,	ΑO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BΖ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA			
AU	2008	2542	73		A1		2008	1127		AU 2	-800	2542	73		2	0800	512
CA	2685	186			A1		2008	1127		CA 2	-800	2685	186		2	0800	512
EP	2146	721			A2		2010	0127		EP 2	-800	7552	84		2	0800	512
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	AL,	BA,	MK,	RS										
KR	2010	0194	39		Α		2010	0218		KR 2	009-	7235	86		2	0800	512
	KR 2010019439 AR 66544						2009	0826		AR 2	-800	1020	15		2	0800	513
MX							2009	1209		MX 2	009-	1216	4				
CN	MX 2009012164 CN 101808517						2010	0818		CN 2	-800	8001	5930				
	IN 2009DN07590										009-					0091	123
PRIORIT	ORITY APPLN. INFO.:									US 2	007-	9380	89P		P 2	0070	515
											008-					0800	
THER SO	ER SOURCE(S):					PAT	149:	5707.	26								
						_								,			

- AB The invention discloses methods for reducing cardiotoxicity and/or improving survival from treatment with anthracycline agents comprising administering a therapeutically effective amount of a composition comprising a vasopressin antagonist compound or a pharmaceutically acceptable salt thereof as an active ingredient, administered simultaneously or prior to the anthracycline administration.
- IT 168626-94-6, Conivaptan hydrochloride 210101-16-9,

Conivaptan 210101-16-9D, Conivaptan, salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(vasopressin antagonists with anthracycline chemotherapy agents to reduce cardiotoxicity and/or improve survival)

RN 168626-94-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Ph

● HCl

RN 210101-16-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 210101-16-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

Ph

PAGE 2-A

L32 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1099903 CAPLUS

DOCUMENT NUMBER: 149:347494

TITLE: Method for reducing infarction using vasopressin

antagonist compounds, and compositions and

combinations therefor

INVENTOR(S): Liu, Yongge; Kambayashi, Junichi; Fujiki, Hiroyuki;

Mori, Toyoki

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: U.S. Pat. Appl. Publ., 16pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Ε	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-					
J	JS 20080221084	A1	20080911	US 2007-927153	20071029
PRIOR	ITY APPLN. INFO.:			US 2006-863530P P	20061030
ASSIGN	NMENT HISTORY FOR U	S PATENT	' AVAILABLE	IN LSUS DISPLAY FORMAT	

OTHER SOURCE(S): MARPAT 149:347494

- AB The invention discloses a method for reducing infarction comprising administering to a patient ion need thereof a therapeutically effective amount of a composition comprising as an active ingredient a vasopressin antagonist compound, as well as a composition useful therefor. The invention also discloses a method for reducing infarction comprising administering to a patient in need thereof a therapeutically effective amount of a combination of a vasopressin antagonist compound and a  $\beta\text{-blocker}$ , as well as combinations useful therefor. The methods, compns. and combinations of the invention can be used for reducing infarction in the heart (myocardial infarction) and the brain (stroke). The methods, compns. and combinations of the invention can also be used for the treatment and/or prevention of hypertension, edema, ascites, heart failure, renal function disorder, vasopressin inappropriate secretion syndrome (SIADH), hepatocirrhosis, hyponatremia, hypokalemia, polycystic kidney disease, diabetes, or circulation disorder.
- IT 168626-94-6, Conivaptan hydrochloride 210101-16-9,

Conivaptan 210101-16-9D, Conivaptan, salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(vasopressin antagonist compds., compns., and combinations for reducing infarction)

RN 168626-94-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 210101-16-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

RN 210101-16-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

Ph

PAGE 2-A

L32 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:395085 CAPLUS

DOCUMENT NUMBER: 142:423900

TITLE: Combinations of cyclooxygenase (COX) inhibitors and vasopressin receptor antagonists for the treatment of

INVENTOR(S): Barker, Laura Daisy; Russell, Rachel Jane; Van der

Graaf, Pieter Hadewijn; Wayman, Christopher Peter

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIN							DATE			APPL	ICAT		DATE						
WO 2005039565				A1	_	 2005	0506	1	WO 2	004-	 IB33								
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		ΤJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,		
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,		
		SN,	TD,	TG															
AR 46919 A1						2006	0104		AR 2	004-	1038	20041026							
ORITY APPLN. INFO.:								003-	2502	1	i	A 20031027							
The invention describes							use	of	a coi	mbin	at.io	n of	(A)						

PRIOR

- AΒ The invention describes the use of a combination of (A) a vasopressin receptor family antagonist, or a pharmaceutically acceptable derivative thereof; and (B) a COX inhibitor, or a pharmaceutically acceptable derivative thereof, for the treatment or prophylaxis of dysmenorrhea. Preparation of celecoxib is also described.
- 168626-94-6, YM 087 210101-16-9, Conivaptan RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclooxygenase inhibitor-vasopressin receptor antagonist combination for treatment of dysmenorrhea)

168626-94-6 CAPLUS RN

[1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-CN d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 210101-16-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L32 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
                         2003:861136 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         140:59574
TITLE:
                         Practical Synthesis of
                         N-\{4-[(2-Methyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-
                         6(1H)-yl)carbonyl]phenyl}biphenyl-2-carboxamide
                         Monohydrochloride: an Arginine Vasopressin Antagonist
AUTHOR(S):
                         Tsunoda, Takashi; Yamazaki, Atsuki; Iwamoto, Hidenori;
                         Sakamoto, Shuichi
CORPORATE SOURCE:
                         Chemical Technology Labs, Yamanouchi Pharmaceutical
                         Co., Ltd., Takahagi-shi, Ibaraki, 318-0001, Japan
SOURCE:
                         Organic Process Research & Development (2003), 7(6),
                         883-887
                         CODEN: OPRDFK; ISSN: 1083-6160
                         American Chemical Society
PUBLISHER:
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
OTHER SOURCE(S):
                         CASREACT 140:59574
    A novel, reliable, and cost-effective synthetic route to
     N-[4-[(2-methyl-4,5-dihydroimidazo[4,5-d][1]benzazepin-6(1H)-
     yl)carbonyl]phenyl]biphenyl-2-carboxamide monohydrochloride (YM087), a
     potent arginine vasopressin antagonist, has been developed. Using
     moisture-controlled potassium carbonate, imidazole formation from
     \alpha-bromoketone furnished imidazobenzazepine, avoiding potential
     oxazole-ring formation. Catalytic reduction of nitro imidazobenzazepine
     afforded the corresponding amine in high yields. Treatment of the
     imidazole-containing amine directly, with a carbonyl chloride, afforded the
     target amide circumventing protection of the imidazole.
ΙT
     168626-94-6P, N-[4-[(4,5-Dihydro-2-methylimidazo[4,5-
     d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-[1,1'-biphenyl]-2-carboxamide
     monohydrochloride
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (YM087; practical synthesis of [[(methylimidazo[4,5-
        d][1]benzazepinyl)carbonyl]phenyl]biphenylcarboxamide monohydrochloride
        (arginine vasopressin antagonist))
RN
     168626-94-6 CAPLUS
CN
     [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-
     d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX
     NAME)
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PAGE 2-A

● HCl

PAGE 2-A

OS.CITING REF COUNT:

6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:780647 CAPLUS

DOCUMENT NUMBER: 135:335146

TITLE: Time-release coated solid compositions for oral

administration

INVENTOR(S): Sawada, Toyohiro; Sako, Kazuhiro; Yoshioka, Tatsunobu;

Watanabe, Shunsuke

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						KIND DATE				APPL	ICAT		DATE					
WO	2001	 0786	 86		A1	_			WO 2001-JP3229						20010416			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	
		YU,	ZA,	ZW														
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG			
US	US 20020028240 A1 20020307						0307		US 2	001-	8344	10		2	0010	412		
EP	EP 1275381 A1 20030115						0115	EP 2001-921849						2	0010	416		
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		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
US	US 20060292221 A1 20061228								US 2006-463570 20						0060	809		
US 20080199522 A1 20080821								0821		US 2	007-	8417.	31		20070820			
RIORITY APPLN. INFO.:									US 2000-198086P				P 20000417					
										US 2	001-	8344	10	1	A1 2	0010	412	
										WO 2	001-	JP32.	29	1	W 20010416			
										US 2	006-	4635	70		A1 2	0060	809	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

Disclosed are time-release coated solid compns. which are hydrogel-forming coated solid prepns. composed of a tablet core containing a drug, a hydrogel-forming polymer and a hydrophilic base characterized by (1) the tablet core containing a drug and a highly erodible filler, (2) the erosion ratio of the tablet core ranging from about 40 to about 90, and (3) the outer layer being substantially free from the same drug as the above-described drug. The drug is released after a definite time lag, which enables efficient drug delivery to a specific site in the digestive tract. Therefore, these prepns. are useful in the oral administration of drugs considered as exerting the efficacy when delivered to a disease site in the lower part of the digestive tract at a high concentration, drugs considered as being efficacious when absorbed in the lower part of the digestive tract, drugs being efficacious in time-scheduled drug therapy, etc. A time-release tablet was prepared from 4'-[(2-Methyl-1,4,5,6-tetrahydroimidazo[4,5-d][1]benzazepin-6-yl)carbonyl]-2-phenylbenzanilide hydrochloride (I) 1, HPMC2910 3, polysorbate 80 5, malic acid, polyethylene oxide (Polyox WSR303) 62.5, macrogol 6000 187.5 mg. The obtained tablet containing I was administered to a dog with a midazolam soluble The administration of the time-release tablet showed no

effect on the blood concentration of midazolam soluble as compared with the administration of I-containing solution

IT 168626-94-6

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (time-release coated solid compns. containing drugs, hydrogels and erodible fillers for oral administration)

RN 168626-94-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

● HCl

IT 210101-16-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (time-release coated solid compns. containing drugs, hydrogels and erodible

fillers for oral administration)

RN 210101-16-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Ph

OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD

(11 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:780643 CAPLUS

DOCUMENT NUMBER: 135:335144

TITLE: Drug delivery system for avoiding pharmacokinetic

interaction between drugs and method thereof

INVENTOR(S): Sawada, Toyohiro; Sako, Kazuhiro; Yoshioka, Tatsunobu;

Watanabe, Shunsuke

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.						KIND DATE				ICAT		DATE					
WO	2001078681				A1 20011025					WO 2	001-	JP32:	20010416					
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	
		YU,	ZA,	ZW														
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
							GB,											
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
US	2002	0022	054		A1		2002	0221		US 2	001-	8344	14		2	0010	412	
US	6761	895			В2		2004	0713										
EP	1275	373			A1		2003	0115	EP 2001-923966						20010416			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
US	US 20050163840						2005	0728		US 2	004-	8665	24	20040610				
PRIORIT	RIORITY APPLN. INFO.:									US 2	1975	P 2000417						
										US 2	001-	8344	14	Ž	A1 20010412			
							WO 2	001-	JP32:	28	I	W 2	0010	416				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

- AB Disclosed a system for avoiding an unfavorable pharmacokinetic interaction between a drug and another concomitant drug which comprises controlling the release time and/or release site of the drug and/or the concomitant drug in the body. A controlled-release tablet of conivaptan hydrochloride was prepared and applied to a dog with midazolam oral liquid to examine the blood concentration of midazolam. The obtained conivaptan tablet showed no effect on metabolism of midazolam through drug metabolizing enzyme CYP3A4.

  IT 168626-94-6, Conivaptan hydrochloride
- RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (drug delivery system for avoiding pharmacokinetic interaction between drugs and method thereof)
- RN 168626-94-6 CAPLUS
- CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A



● HCl

IT 210101-16-9, Conivaptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (drug delivery system for avoiding pharmacokinetic interaction between drugs and method thereof)

RN 210101-16-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 2-A

7

OS.CITING REF COUNT:

THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:17852 CAPLUS

DOCUMENT NUMBER: 134:86254

TITLE: Preparation of crystal of condensed benzazepine

derivative

INVENTOR(S): Inakoshi, Masatoshi; Kakuta, Takashi; Kato, Yoshinori PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Astellas

Pharma Inc.

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001002678	A	20010109	JP 1999-170444	19990617
JP 4461512	В2	20100512		
RITY APPLN. INFO.:			JP 1999-170444	19990617

reduced pressure, and coevaporated with CH2Cl2 to give a residue (o-phenylbenzoyl chloride). The residue was dissolved in 7.5 mL dry MeCN, added dropwise to a suspension of 0.5 g 6-(4-aminobenzoyl)-2-methyl-1,2,4,5-tetrahydro-imidazo[4,5-d][1]benzazepine in dry MeCN and 0.608 mL pyridine under ice-cooling, warmed to room temperature, refluxed for .apprx.1 h, cooled, stirred with 4 N HCl/AcOEt, and filtered to give 1.18 g crude I crystal. Crude I crystal (80 g) was added to a mixture of MeCN 400, MeOH 400, and H2O 80 mL, heated at 45° to dissoln., followed by filtering the solution to remove floating particles and washing the filter with 80 mL MeOH, and the combined filtrate and the washing was distilled under normal pressure until a total of 480 mL liquid was distilled To the residue was added 1,200 mL MeCN, refluxed for 3 h, slowly cooled to 20°, and the precipitated crystals were filtered, washed with 200 mL MeCN, and vacuum-dried at 80° to give 70.2% I (62.02 g).

IT 168626-94-6P

RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (preparation of  $\alpha$ -type crystal of imidazobenzazepine hydrochloride derivative by crystal dissoln. as vasopressin receptor antagonist)

RN 168626-94-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A



● HCl

IT 210101-16-9P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of  $\alpha$ -type crystal of imidazobenzazepine hydrochloride derivative by crystal dissoln. as vasopressin receptor antagonist) 210101-16-9 CAPLUS

RN 210101-16-9 CAPLUS CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(

[1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

Ph

PAGE 2-A

L32 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:495193 CAPLUS

DOCUMENT NUMBER: 131:120908

TITLE: Vasopressin antagonists as preventives or remedies for

vision disorders

INVENTOR(S): Ogawa, Takahiro; Watanabe, Noriko; Waki, Mitsunori PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan; Yamanouchi

Pharmaceutical Co., Ltd. PCT Int. Appl., 32 pp.

SOURCE: PCT Int. Appl., 3

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.									APF	LICA	DATE							
WO	9938533 W: CA, JP,				A1		19990805			WO 1999-JP261						19990125			
				KR,	US														
	RW:	ΑT,	BE,	CH,	CY,	DE	, DK,	ES,	FI,	FF	R, GB	, GR,	ΙE,	IT,	LU,	MC,	NL,		
		PT,	SE																
CA	2319	649			A1		1999	0805	1	CA	1999	-2319	649		1	9990	125		
EP	1050	308			A1		2000	1108		ΕP	1999	-9011	51		1	9990	125		
	R:	DE,	ES,	FR,	GB,	ΙT													
US	6268	359			В1		2001	0731		US	2000	-6012	16		2	0000	728		
PRIORIT	Y APP	LN.	INFO	.:					1	JΡ	1998	-1553	8		A 1	9980	128		
									,	WO	1999	-JP26	1	1	W 1	9990	125		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 131:120908

- AB Disclosed are preventives or remedies for vision disorders based on ocular circulatory disorders, e.g. intraocular hypertension and glaucoma, and vision disorders based on ciliary tension, e.g nearsightedness, wherein the preventives or remedies contain vasopressin antagonists, i.e. benzazepine derivs. as the active ingredients. A suspension eyedrop containing 4'-[(2-methyl-1,4,5,6-tetrahydroimidazo[4,5-d] [1]benzazepine-6-yl)carbonyl]2-phenylbenzanilide·HCl 1, NaPH2 0.1, polysorbate 80 0.1, NaCl 0.9 g, NaOH q.s., and water q.s. to 100 mL was prepared, and its effects on ocular circulation, intraocular pressure, etc. were tested using rabbits.
- IT 168626-94-6 210101-16-9
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(vasopressin antagonists containing benzazepine derivs. for treatment of vision disorders)

- RN 168626-94-6 CAPLUS
- CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 2-A

● HCl

RN 210101-16-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[(4,5-dihydro-2-methylimidazo[4,5-d][1]benzazepin-6(1H)-yl)carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

7

OS.CITING REF COUNT:

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT